

10/786,610

← **EAST Search History** →

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	("3849424").PN.	USPAT	OR	OFF	2006/03/17 08:52
L2	3	("10101647").PN.	EPO; JPO; DERWENT	OR	OFF	2006/03/17 08:55
L3	3	("4406689").PN.	EPO; JPO; DERWENT	OR	OFF	2006/03/17 08:55
L4	1	("4406689").PN.	USPAT	OR	OFF	2006/03/17 09:03
L5	2	"9837080"	EPO; JPO; DERWENT	OR	OFF	2006/03/17 09:13
L6	2	"9955706" <i>ELECTED SP.</i>	EPO; JPO; DERWENT	OR	OFF	2006/03/17 09:54
L7	1785	<i>EXPANDED GENUS</i> <u>546/194 OR 546/297</u>	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/17 10:02
L8	0	L1 AND KINASE	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/17 10:02
L9	93	L7 AND KINASE	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/17 10:02
L10	31	L9 AND BENZYLOXY	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/17 10:03
L11	0	L7 AND PIPERIDIN-4-YL-UREA	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/17 10:03
L12	361	L7 AND UREA	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/17 10:04
L13	12	L12 AND L10	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/03/17 10:04

-STN SEARCH TRANSCRIPT-

16/786610

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA16232CT

PASSWORD:

***** RECONNECTED TO STN INTERNATIONAL *****
SESSION RESUMED IN FILE 'REGISTRY' AT 07:48:31 ON 17 MAR 2006
FILE 'REGISTRY' ENTERED AT 07:48:31 ON 17 MAR 2006
COPYRIGHT (C) 2006 American Chemical Society (ACS)
COST IN U.S. DOLLARS

FULL ESTIMATED COST

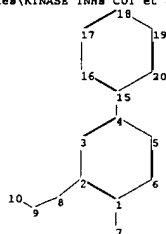
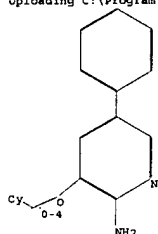
SINCE FILE	TOTAL
ENTRY	SESSION
2.64	4.37

=> del cui/l
DELETE CUI/L7 (Y)/N:y

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> Uploading C:\Program Files\Stnexp\Queries\KINASE INHs CUI et al.str



chain nodes :
7 8 9 10
ring nodes :
1 2 3 4 5 6 15 16 17 18 19 20
chain bonds :
1-7 2-8 4-15 8-9 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-7 2-8 8-9 9-10
exact bonds :
4-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 :

G1:C,O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

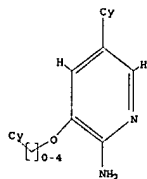
L3 STRUCTURE UPLOADED

=> que L3

L4 QUE L3

=> d l3

L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> log hold
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
4.84	6.57

FULL ESTIMATED COST

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 07:51:17 ON 17 MAR 2006

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA16232CT

PASSWORD:

***** RECONNECTED TO STN INTERNATIONAL *****
SESSION RESUMED IN FILE 'REGISTRY' AT 08:27:51 ON 17 MAR 2006
FILE 'REGISTRY' ENTERED AT 08:27:51 ON 17 MAR 2006
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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
4.84	6.57

FULL ESTIMATED COST

=> d his

(FILE 'HOME' ENTERED AT 07:41:29 ON 17 MAR 2006)

FILE 'REGISTRY' ENTERED AT 07:41:39 ON 17 MAR 2006
ACTIVATE CUI/L

L1 STR
L2 (868)SEA FILE-REGISTRY SSS FUL L1

FILE 'CAPLUS' ENTERED AT 07:42:41 ON 17 MAR 2006

FILE 'STINGUIDE' ENTERED AT 07:43:22 ON 17 MAR 2006

FILE 'REGISTRY' ENTERED AT 07:44:54 ON 17 MAR 2006

L3 DEL CUI/L
L4 STRUCTURE UPLOADED
QUE L3

=> s l3
SAMPLE SEARCH INITIATED 08:28:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 396 TO ITERATE

100.0% PROCESSED 396 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6727 TO 9113
PROJECTED ANSWERS: 640 TO 1520

L5 50 SEA SSS SAM L3

=> s l3 sss full
FULL SEARCH INITIATED 08:28:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 8144 TO ITERATE

100.0% PROCESSED 8144 ITERATIONS 1067 ANSWERS
SEARCH TIME: 00.00.01

L6 1067 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
171.78 173.51

FILE 'CAPLUS' ENTERED AT 08:28:17 ON 17 MAR 2006
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FILE COVERS 1907 - 17 Mar 2006 VOL 144 ISS 13
FILE LAST UPDATED: 16 Mar 2006 (20060316/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l6
L7 1 L6

=> d

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:740294 CAPLUS
DN 141:260769
TI Preparation of aminoheteroaryl compounds as protein kinase inhibitors
IN Cui, Jingdong Jean
PA Sugan, Inc., USA; Bhunalkar, Dilip; Botrous, Iriny; Chu Ji Yu; Funk, Lee A.; Hanau, Cathleen Elizabeth; Harris, G. Davis, Jr.; Jia, Lei; et al.
SO PCT Int. Appl. 312 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004076412	A2	20040910	WO 2004-US5495	20040226
WO 2004076412	A3	20041229		
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GR, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NG, OH, OM, PA, PE, PG, PH, PK, PL, PT, QA, RO, RU, RW, SA, SC, SD, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, SW, SY, TD, TG, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VE, VU, WO, XA, XB, XC, XN, XZ, YU, ZA, ZB, ZD, ZI, ZJ, ZK, ZL, ZM, ZN, ZZ, AA, AB, AC, AD, AE, AF, AG, AH, AI, AJ, AK, AL, AM, AN, AO, AP, AQ, AR, AS, AT, AU, AV, AW, AX, AY, AZ, BA, BB, BC, BD, BE, BF, BG, BH, BI, BJ, BK, BL, BM, BN, BO, BP, BQ, BR, BS, BT, BU, BV, BW, BX, BY, BZ, CA, CB, CC, CD, CE, CF, CG, CH, CI, CJ, CK, CL, CM, CN, CO, CP, CQ, CR, CS, CT, CU, CV, CW, CX, CY, CZ, DA, DB, DD, DE, DF, DG, DH, DI, DJ, DK, DL, DM, DN, DO, DP, DQ, DR, DS, DT, DU, DV, DW, DX, DY, DZ, EA, EB, EC, ED, EE, EF, EG, EH, EI, EJ, EK, EL, EM, EN, EO, EP, EQ, ER, ES, ET, EU, EV, EW, EX, EY, EZ, FA, FB, FC, FD, FE, FF, FG, FH, FI, FJ, FK, FL, FM, FN, FO, FP, FQ, FR, FS, FT, FU, FV, FW, FX, FY, FZ, GA, GB, GC, GD, GE, GF, GH, GI, GJ, GK, GL, GM, GN, GP, GQ, GR, GS, GT, GU, GV, GW, GX, GY, GZ, HA, HB, HC, HD, HE, HF, HG, HH, HI, HJ, HK, HL, HM, HN, HO, HP, HQ, HR, HS, HT, HU, HV, HW, HX, HY, HZ, IA, IB, IC, ID, IE, IF, IG, IH, II, IJ, IK, IL, IM, IN, IO, IP, IQ, IR, IS, IT, IU, IV, IW, IX, IY, IZ, JA, JB, JC, JD, JE, JF, JG, JH, JI, JJ, JK, JL, JM, JN, JO, JP, JQ, JR, JS, JT, JU, JV, JW, JX, JY, JZ, KA, KB, KC, KD, KE, KF, KG, KH, KI, KJ, KK, KL, KM, KN, KO, KP, KR, KS, KT, KU, KV, KW, KY, KZ, LA, LB, LC, LD, LE, LF, LG, LH, LI, LJ, LK, LM, LN, LO, LP, LQ, LR, LS, LT, LU, LV, LW, LX, LY, LZ, MA, MB, MC, MD, ME, MF, MG, MH, MI, MJ, MK, ML, MN, MO, MP, MQ, MR, MS, MT, MU, MV, MW, MX, MY, MZ, NA, NB, NC, ND, NE, NF, NG, NH, NI, NJ, NK, NL, NM, NO, NP, NQ, NR, NS, NT, NU, NV, NW, NX, NY, NZ, OA, OB, OC, OD, OE, OF, OG, OH, OI, OJ, OK, OL, OM, ON, OO, OP, OQ, OR, OS, OT, OU, OV, OW, OX, OY, OZ, PA, PB, PC, PD, PE, PF, PG, PH, PI, PJ, PK, PL, PM, PN, PO, PP, PQ, PR, PS, PT, PU, PV, PW, PX, PY, PZ, QA, QB, QC, QD, QE, QF, QG, QH, QI, QJ, QK, QL, QM, QN, QO, QQ, QR, QS, QT, QU, QV, QW, QX, QY, QZ, RA, RB, RC, RD, RE, RF, RG, RH, RI, RJ, RK, RL, RM, RN, RO, RP, RQ, RR, RS, RT, RU, RV, RW, RX, RY, RZ, SA, SB, SC, SD, SE, SF, SG, SH, SI, SJ, SK, SL, SM, SN, SO, SP, SQ, SR, SS, ST, SU, SV, SW, SX, SY, SZ, TA, TB, TC, TD, TE, TF, TG, TH, TI, TJ, TK, TL, TM, TN, TO, TP, TQ, TR, TS, TT, TU, TV, TW, TX, TY, TZ, UA, UB, UC, UD, UE, UF, UG, UH, UI, UJ, UK, UL, UM, UN, UO, UP, UQ, UR, US, UT, UU, UV, UW, UX, UY, UZ, VA, VB, VC, VD, VE, VF, VG, VH, VI, VJ, VK, VL, VM, VN, VO, VP, VQ, VR, VS, VT, VU, VV, VW, VX, VY, VZ, WA, WB, WC, WD, WE, WF, WG, WH, WI, WJ, WK, WL, WM, WN, WO, WP, WQ, WR, WS, WT, WU, WV, WX, WY, WZ, XA, XB, XC, XD, XE, XF, XG, XH, XI, XJ, XK, XL, XM, XN, XO, XP, XQ, XR, XS, XT, XU, XV, XW, XX, XY, XZ, YA, YB, YC, YD, YE, YF, YG, YH, YI, YJ, YK, YL, YM, YN, YO, YP, YQ, YR, YS, YT, YU, YV, YW, YX, YY, YZ, ZA, ZB, ZC, ZD, ZE, ZF, ZG, ZH, ZI, ZJ, ZK, ZL, ZM, ZN, ZO, ZP, ZQ, ZR, ZS, ZT, ZU, ZV, ZW, ZX, ZY, ZZ				

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
6.20 179.71

FILE 'REGISTRY' ENTERED AT 08:35:09 ON 17 MAR 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 MAR 2006 HIGHEST RN 877033-93-7
DICTIONARY FILE UPDATES: 15 MAR 2006 HIGHEST RN 877033-93-7

New CAS Information Use Policies, enter HELP USAOTERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

* The CA roles and document type information have been removed from *
* the IDE default display format and the ID field has been added, *
* effective March 20, 2005. A new display format, IDEML, is now *
* available and contains the CA role and document type information. *

Structure search iteration limits have been increased. See HELP SLIMITS for details.

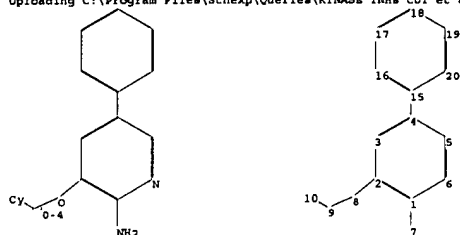
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprope.html>

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> Uploading C:\Program Files\Stnexp\Queries\KINASE IMHs CUI et al.str



chain nodes :
7 8 9 10
ring nodes :
1 2 3 4 5 6 15 16 17 18 19 20
chain bonds :
1-7 2-8 4-15 8-9 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 16-17 17-18 18-19 19-20
exact/norm bonds :
1-7 2-8 8-9 9-10
exact bonds :
4-15
normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 :

G1:C,O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

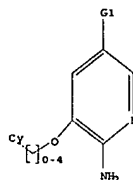
L8 STRUCTURE UPLOADED

=> que L8

L9 QUE L8

=> d l8

L8 HAS NO ANSWERS
L8 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l8 sss full
FULL SEARCH INITIATED 08:36:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2262 TO ITERATE

100.0% PROCESSED 2262 ITERATIONS 253 ANSWERS
SEARCH TIME: 00.00.01

L10 253 SEA SSS FUL L8

=> s l10 not l6

L11 253 L10 NOT L6

=> d his

(FILE 'HOME' ENTERED AT 07:41:29 ON 17 MAR 2006)

FILE 'REGISTRY' ENTERED AT 07:41:39 ON 17 MAR 2006
ACTIVATE CUI/L

L1 STR
L2 (868)SEA FILE=REGISTRY SSS FUL L1

FILE 'CAPLUS' ENTERED AT 07:42:41 ON 17 MAR 2006

FILE 'STNGUIDE' ENTERED AT 07:43:23 ON 17 MAR 2006

FILE 'REGISTRY' ENTERED AT 07:44:54 ON 17 MAR 2006

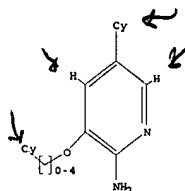
L3 DEL CUI/L
L4 STRUCTURE UPLOADED
L5 QUE L3
L6 50 S L3
L6 1067 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 08:28:17 ON 17 MAR 2006
L7 1 S L6

FILE 'REGISTRY' ENTERED AT 08:35:09 ON 17 MAR 2006
L8 STRUCTURE UPLOADED
L9 QUE L8

L10 253 S L8 SSS FULL
L11 253 S L10 NOT L6

=> d l3
L3 HAS NO ANSWERS
L3 STR



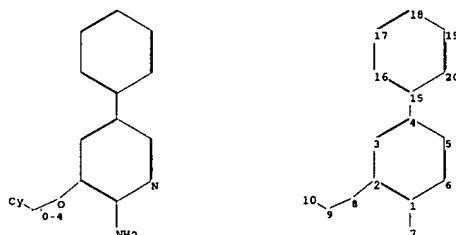
THESE GENUS ARE
ALLOWABLE B/C
ONLY 1 HIT= APPLICANTS

Structure attributes must be viewed using STN Express query preparation.

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> Uploading C:\Program Files\Stnexp\Queries\KINASE IMHs CUI et al.str



chain nodes :
7 8 9 10
ring nodes :
1 2 3 4 5 6 15 16 17 18 19 20
chain bonds :
1-7 2-8 4-15 8-9 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-7 2-8 8-9 9-10
exact bonds :
4-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 :

G1:C,O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

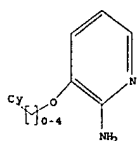
L12 STRUCTURE UPLOADED

=> que L12

L13 QUE L12

=> d l12

L12 HAS NO ANSWERS
L12 STR



G1 C.O.S.N

Structure attributes must be viewed using STN Express query preparation.

```
>> # 112 sss full
FULL SEARCH INITIATED 08:40:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 8171 TO ITERATE

100.0% PROCESSED 8171 ITERATIONS 1526 ANSWERS
SEARCH TIME: 00.00.01
```

L14 1526 SEA SSS FUL L12

```
>> # 114 not 6
7559499 6
L15 252 L14 NOT 6
```

```
>> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 342.16 521.87
```

FILE 'CAPLUS' ENTERED AT 08:40:58 ON 17 MAR 2006
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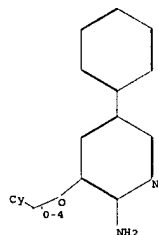
FILE COVERS 1907 - 17 Mar 2006 VOL 144 ISS 13
FILE LAST UPDATED: 16 Mar 2006 (20060316/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

```
>> # 115
L16 131 L15
```

```
>> file reg
```



```
chain nodes :
7 8 9 10
ring nodes :
1 2 3 4 5 6 15 16 17 18 19 20
chain bonds :
1-7 2-8 4-15 8-9 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-7 2-8 8-9 9-10
exact bonds :
4-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 :
```

G1:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

L17 STRUCTURE UPLOADED

```
>> que L17
```

L18 QUE L17

```
>> d 117
L17 HAS NO ANSWERS
L17 STR
```

```
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
0.46 522.33
```

FILE 'REGISTRY' ENTERED AT 08:41:10 ON 17 MAR 2006
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STRUCTURE FILE UPDATES: 15 MAR 2006 HIGHEST RN 877033-93-7
DICTIONARY FILE UPDATES: 15 MAR 2006 HIGHEST RN 877033-93-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*****
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

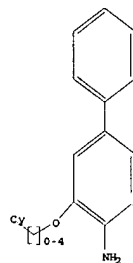
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/resprops.html>

```
>> ....Testing the current file.... screen
```

ENTER SCREEN EXPRESSION OR (END):end

```
>>
Uploading C:\Program Files\Stnexp\Queries\KINASE INHS CUI et al.str
```



G1 C.O.S.N

Structure attributes must be viewed using STN Express query preparation.

```
>> # 117 sub=L14 full
FULL SUBSET SEARCH INITIATED 08:44:40 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 1040 TO ITERATE
```

```
100.0% PROCESSED 1040 ITERATIONS 994 ANSWERS
SEARCH TIME: 00.00.01
```

L19 994 SEA SUB=L14 SSS FUL L17

```
>> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 42.04 564.37
```

FILE 'CAPLUS' ENTERED AT 08:44:51 ON 17 MAR 2006
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FILE COVERS 1907 - 17 Mar 2006 VOL 144 ISS 13
FILE LAST UPDATED: 16 Mar 2006 (20060316/ED)

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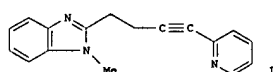
DOCUMENT NUMBER: 144:88117
TITLE: Preparation of heterocycle-containing alkynyl derivatives as modulators of metabotropic glutamate receptors
INVENTOR(S): Bessie, Anne-Sophie; Bolea, Christelle; Bonnet, Beatrice; Epping-Jordan, Mark; Poitier, Nicholas; Poli, Sonia-Maria; Rocher, Jean-Philippe; Thollon, Yves
PATENT ASSIGNER(S): Adnex Pharmaceuticals SA, Switz.
SOURCE: PCT Int. Appl., 308 pp.
CODEN: PIXXD1
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123703	A2	20051229	WO 2005-182390	20050617

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

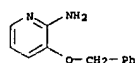
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PRIORITY APPL. INFO.: GB 2004-13605 A 20040617
GI



AB The present invention relates to heterocycle-containing alkynyl deriva. (WC:tblbond.C(CH2)nXW* (I); variables defined below; e.g. 1-methyl-2-[4-(pyridin-2-yl)-3-butenyl]-1H-benzimidazole (shown as II) that are modulators of metabotropic glutamate receptors - subtype 5 ("mGluR5") and are therefore useful for the treatment of central nervous system disorders as well as other disorders modulated by mGluR5 receptors. Methods of preparation are claimed and prepa. and/or characterization data for approx. 250 examples of I are included. For example, II was prepared in 4 steps (not stated, 23, 70 and 31 % yields, resp.) starting with chlorination of (1-methyl-1H-benzimidazol-2-yl)methanol to give 2-chloromethyl-1-methyl-1H-benzimidazole, which was coupled with trimethylprop-1-ynylsilane to give 1-methyl-2-[4-(trimethylsilyl)-3-butenyl]-1H-benzimidazole, which was deprotected to give 2-(3-butenyl)-1-methyl-1H-benzimidazole, which was coupled with 2-iodopyridine to give II. For I: W is a 5-, 6-heterocyclic ring containing a N adjacent to the ethynyl bond, which ring may optionally be fused with a 5- or 6-membered ring containing 21 atoms independently C, N, O and S; X = an (un)substituted C1-C6-alkyl, C1-C6-alkylhalo, C2-C6-alkynyl, C2-C6-alkenyl, O-C2-C6-alkyl, O-C1-C6-alkylhalo, O-C3-C6-alkynyl, O-C3-C6-alkenyl, O-C3-C7-cycloalkyl, C1-C6-alkyl-O, C3-C7-cycloalkyl, C3-C7-cycloalkyl-C6-C6-alkyl, etc.; W' = a 5- or 6-membered ring containing 21 atoms = C, N, O and S, which ring may optionally be fused with a

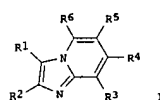
5- or 6-membered ring containing 21 atoms = C, N, O and S; addnl. details including provisos are given in the claims. Results of a mGluR5 binding assay for >200 examples of I are tabulated; also test results of a marble burying model of anxiety in mice and Vogel conflict drinking model of anxiety in rats are discussed.
IT 24016-03-3, 3-(benzyloxy)pyridin-2-amine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocycle-containing alkynyl deriva. as modulators of metabotropic glutamate receptors)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 4 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:131699 CAPLUS
DOCUMENT NUMBER: 144:36343
TITLE: Preparation of imidazopyridines and their use as activin receptor-like kinase 5 (ALK5) inhibitors for treatment of TGF beta-related diseases
INVENTOR(S): Sato, Masakazu; Matsunaga, Yuiko; Asanuma, Hajime
PATENT ASSIGNER(S): Taiho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKKXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

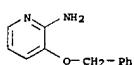
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005343889	A2	20051215	JP 2005-128778	20050427

PRIORITY APPL. INFO.: JP 2004-137544 A 20040506
OTHER SOURCE(S): MARPAT 144:36343
GI



AB Title compds. I [R1 = Ph substituted with halo, C1-6 alkyl(oxy), arylalkoxy, OH; (heterocyclyl)-condensed benzene ring; R2 = (un)substituted 2-pyridyl, (un)substituted 2- or 4-thiazolyl] or their medically acceptable salts are prepared. They are useful for treatment of alopecia, diabetic renal disease, cirrhosis, etc. Thus, cyclocondensation of 2-bromo-2-(4-methoxyphenyl)-1-pyridin-2-ylethanone with 2-aminopyridine gave 3-(4-methoxyphenyl)-2-pyridin-2-ylidene[1,2-yl]pyridine, which was demethylated to afford phenol derivative. The product inhibited TGF-beta-induced phosphorylation of Smad2/3.
IT 24016-03-3, 3-Benzyloxy-pyridin-2-ylamine
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of imidazopyridines as activin receptor-like kinase 5 inhibitors for treatment of TGF beta-related diseases)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



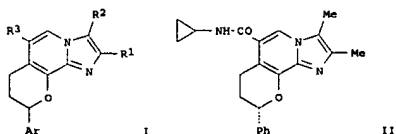
L22 ANSWER 5 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1049864 CAPLUS
DOCUMENT NUMBER: 143:326367
TITLE: Preparation of tricyclic imidazopyridines as inhibitors of gastric acid secretion
INVENTOR(S): Chiesi, M. Vittoria; Zimmermann, Peter Jan; Brehm, Christof; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Postius, Stefan; Palmer, Andreas; Buhr, Wilh
PATENT ASSIGNER(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD1
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090358	A2	20050929	WO 2005-EP51211	20050316
WO 2005090358	A3	20060126		

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

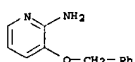
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PRIORITY APPL. INFO.: EP 2004-101092 A 20040317
EP 2004-106577 A 20041214
OTHER SOURCE(S): MARPAT 143:326367
GI

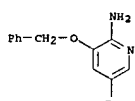


AB Tricyclic imidazopyridines of formula I [R1 = H, alkyl, cycloalkyl,

alkoxy, etc.; R2 = H, alkyl, cycloalkyl, alkoxy, carbonyl, hydroxyalkyl, OH, (substituted) amino, etc.; R3 = acyl, hydroxyalkyl, alkoxyalkyl, alkoxy, carbonyl, CN, heterocyclyl, etc.; Ar = mono or bicyclic aromatic such as Ph, naphthyl, pyrrolyl, indolyl, furyl, etc.] are prepared which inhibit the secretion of gastric acid. Thus, II was prepared, and showed 100% inhibition of pentagastrin-stimulated acid secretion in rats at 1 umol/kg i.d.
IT 754230-78-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic imidazopyridines as inhibitors of gastric acid secretion)
RN 754230-78-9 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



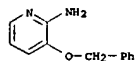
IT 754230-78-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic imidazopyridines as inhibitors of gastric acid secretion)
RN 754230-78-9 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 6 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:921441 CAPLUS
DOCUMENT NUMBER: 143:386899
TITLE: Dipyrindyl amines: Potent metabotropic glutamate subtype 5 receptor antagonists
AUTHOR(S): Kamenicka, Theodore M.; Bonnefous, Celine; Govek, Steven; Vernier, Jean-Michel; Hutchinson, John; Chung, Janice; Reyes-Manalo, Grace; Anderson, Jeffery J.
CORPORATE SOURCE: Department of Medicinal Chemistry, MRLSDB2, Merck Research Laboratories, San Diego, CA, 92121, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(19), 4350-4353
CODEN: BMCLEB; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Modulation of the metabotropic glutamate subtype 5 (mGluR5) receptor may be useful in the treatment of a variety of central nervous system disorders. Here, the discovery, synthesis, and biol. evaluation of dipyrindyl amines as small mol. mGluR5 antagonists, is reported.
IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dipyrindyl amines and study of their activity as metabotropic

glutamate subtype 5 (mGluR5) receptor antagonists)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2005:567127 CAPLUS

DOCUMENT NUMBER: 143:97362

TITLE:

Preparation of pyranoimidazopyridines for use as

gastric secretion inhibitors

INVENTOR(S):

Buhr, Wilh; Chiesa, M. Vittoria; Zimmermann, Peter
Jan; Brehm, Christof; Simon, Wolfgang-Alexander;

Krosner, Wolfgang; Postius, Stefan; Palmer, Andreas

Altana Pharma A.G., Germany

PCT Int. Appl., 91 pp.

CODEN: PIXXD2

PATENT ASSIGNER(S):

Patent

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058325	A1	20050630	WO 2004-EP53560	20041217
M: AE, AO, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				

PRIORITY APPLN. INFO.:

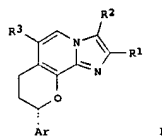
EP 2003-29361

A 20031219

OTHER SOURCE(S):

MARPAT 143:97362

GI



I

AB Title compds. [I; R1 = H, alkyl, cycloalkyl, alkoxyalkyl, alkoxyalkoxyalkyl; R2 = H, alkyl, halo, alkenyl, alkynyl, hydroxyalkyl, cycloalkyl;

alkoxycarbonyl; R3 = hydroxyalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkoxyalkoxyalkoxyalkyl; Ar = (substituted) Ph, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridyl, pyrimidinyl, quinolyl, isoquinolyl, were prepared Thus, (S)-2,3-dimethyl-9-phenyl-7H-8,9-dihydroprano[2,3-c]imidazo[1,2-a]pyridine-6-carboxylic acid dimethylamide (isolated via chiral chromatog. on a CHIRALPAK AD 20 μ m column) at 1 μ mol/kg i.d. in perfused rat stomach gave 100% inhibition of acid secretion.

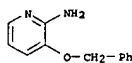
IT 24016-03-3, 2-Amino-3-benzoyloxy pyridine

RL: RCT (Reactant); RACT (Reactant or reagent)

[Preparation of pyranoimidazopyridines as gastric secretion inhibitors]

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



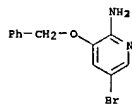
IT 754230-78-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

[Preparation of pyranoimidazopyridines as gastric secretion inhibitors]

RN 754230-78-9 CAPLUS

CN 2-Pyridinamine, 5-bromo-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 8 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2005:250810 CAPLUS

DOCUMENT NUMBER: 143:7127

TITLE:

The discovery of novel protein kinase inhibitors by using fragment-based high-throughput X-ray crystallography

AUTHOR(S):

Gill, Adrian; Cleasby, Anne; Jhoti, Harren

CORPORATE SOURCE:

Aetex Technology, Cambridge, CB4 0QA, UK

SOURCE:

ChemBioChem (2005), 6(3), 506-512

PUBLISHER:

Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB This article describes the application of a high-throughput X-ray crystallog. fragment-based screening methodol. to identify low-mol.-weight leads for structure-based optimization into protein kinase inhibitors. The identification of 2 novel p38 α MAP kinase inhibitors (with IC50=65 and 150 nM) starting from low-mol.-weight fragments is described.

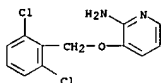
IT 107229-64-1P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(discovery of novel antiinflammatory protein kinase inhibitors by fragment-based high-throughput X-ray crystallog.)

RN 107229-64-1 CAPLUS

CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



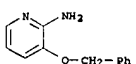
IT 24016-03-3, 2-Amino-3-benzoyloxy pyridine

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(discovery of novel antiinflammatory protein kinase inhibitors by fragment-based high-throughput X-ray crystallog.)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 9 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2005:29239 CAPLUS

DOCUMENT NUMBER: 142:134619

TITLE:

Preparation of pyridinyl/pyridazinylmethoxymethyl

substituted Raf kinase inhibitors

INVENTOR(S):

Gill, Adrian Liam; Woodhead, Steven John; Woodhead, Andrew James; Frederickson, Martyn; Padova, Alessandro; Apaya, Robert Patrick

Aetex Technology Limited, UK

PATENT ASSIGNER(S):

PCT Int. Appl., 143 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005002673	A1	20050113	WO 2004-GB2877	20040702
M: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				

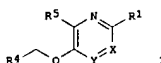
PRIORITY APPLN. INFO.:

US 2003-484300P

P 20030703

OTHER SOURCE(S): MARPAT 142:134619 US 2003-484301P P 20030703

GI



I

AB Title compds. I [X=Y = CR2=CR3, CR2=N; R1 = H, halo, amino, etc.; R2-3 = H, alkyl, aryl, etc.; R4 = carboxyl, heteroaryl, etc.; R5 = halo, amino, etc.] are prepared For instance, 2-amino-3-benzoyloxy pyridine is prepared from 2-amino-3-hydroxypyridine and benzyl chloride. Over 180 examples are provided. Selected example compds. have an IC50 < 1 μ M for B-Raf kinase. I are useful in the treatment of a condition ameliorated by the inhibition of raf kinase, e.g., cancer.

IT 24016-03-3P 26419-18-1P 79707-17-8P

107229-61-8P 107229-62-9P 107229-64-1P

107229-66-3P 112762-72-8P 117523-95-2P

151410-97-8P 151411-13-1P 151411-20-0P

151411-26-6P 151411-41-6P 151411-43-7P

151411-94-8P 151411-97-1P 151412-08-7P

642084-04-6P 642084-13-7P 642084-14-8P

642084-15-9P 642084-16-0P 642084-17-1P

642084-18-2P 642084-20-6P 642084-21-7P

642084-22-8P 642084-23-9P 642084-24-0P

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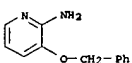
642084-32-0P 642084-36-4P 642084-85-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

[Preparation of pyridinyl/pyridazinylmethoxymethyl substituted Raf kinase inhibitors]

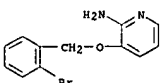
RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



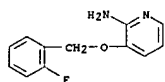
RN 26419-18-1 CAPLUS

CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)

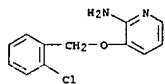


RN 79707-17-8 CAPLUS

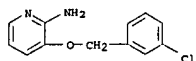
CN 2-Pyridinamine, 3-[(2-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



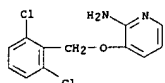
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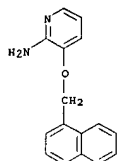
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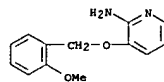
RN 107229-64-1 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



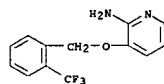
RN 107229-66-3 CAPLUS
CN 2-Pyridinamine, 3-[(1-naphthalenyl)methoxy]- (9CI) (CA INDEX NAME)



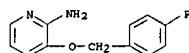
RN 112762-72-8 CAPLUS
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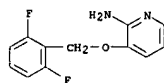
RN 117523-95-2 CAPLUS
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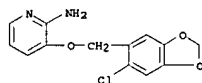
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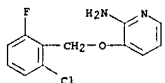
RN 151411-13-1 CAPLUS
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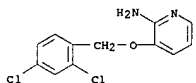
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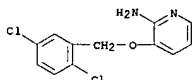
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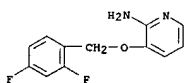
RN 151411-41-5 CAPLUS
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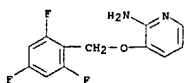
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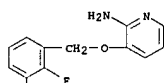
RN 151411-94-8 CAPLUS
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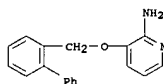
RN 151411-97-1 CAPLUS
CN 2-Pyridinamine, 3-[(2,4,6-trifluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



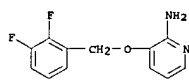
RN 151412-08-7 CAPLUS
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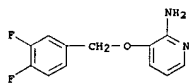
RN 642084-04-6 CAPLUS
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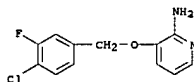
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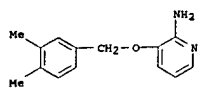
RN 642084-14-8 CAPLUS
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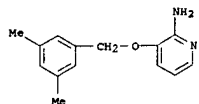
RN 642084-15-9 CAPLUS
CN 2-Pyridinamine, 3-[(4-chloro-3-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



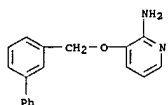
RN 642084-16-0 CAPLUS
CN 2-Pyridinamine, 3-[(3,4-dimethylphenyl)methoxy]- (9CI) (CA INDEX NAME)



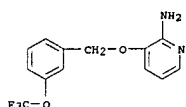
RN 642084-17-1 CAPLUS
CN 2-Pyridinamine, 3-[(3,5-dimethylphenyl)methoxy]- (9CI) (CA INDEX NAME)



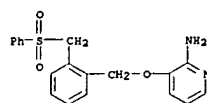
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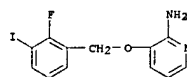
RN 642084-20-6 CAPLUS
CN 2-Pyridinamine, 3-[(3-(trifluoromethoxy)phenyl)methoxy]- (9CI) (CA INDEX NAME)



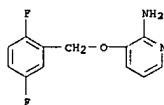
RN 642084-21-7 CAPLUS
CN 2-Pyridinamine, 3-[[2-[(phenylsulfonyl)methyl]phenyl]methoxy]- (9CI) (CA INDEX NAME)



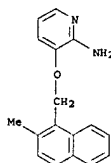
RN 642084-22-8 CAPLUS
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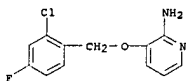
RN 642084-23-9 CAPLUS
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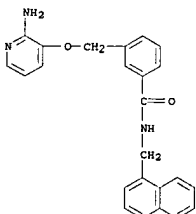
RN 642084-24-0 CAPLUS
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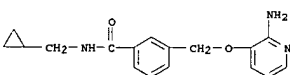
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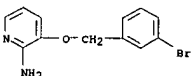
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CN Benzamide, 3-[[[(2-amino-3-pyridinyl)oxy]methyl]-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



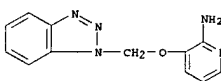
RN 642084-27-3 CAPLUS
CN Benzamide, 3-[[[(2-amino-3-pyridinyl)oxy]methyl]-N-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)



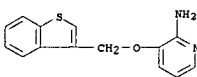
RN 642084-28-4 CAPLUS
CN 2-Pyridinamine, 3-[(3-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



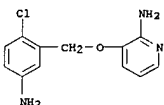
RN 642084-29-5 CAPLUS
CN 2-Pyridinamine, 3-[(1H-benzotriazol-1-ylmethoxy)- (9CI) (CA INDEX NAME)



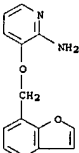
RN 642084-30-8 CAPLUS
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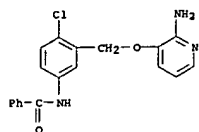
RN 642084-32-0 CAPLUS
CN 2-Pyridinamine, 3-[(5-amino-2-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 642084-36-4 CAPLUS
CN 2-Pyridinamine, 3-(7-benzofuranyl)methoxy)- (9CI) (CA INDEX NAME)



RN 642084-85-3 CAPLUS
CN Benzamide, N-[3-[[[(2-amino-3-pyridinyl)oxy]methyl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 10 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2004:1141976 CAPLUS

DOCUMENT NUMBER: 142:211414

TITLE: Fragment-Based Lead Discovery Using X-ray

Crystallography

AUTHOR(S): Hartshorn, Michael J.; Murray, Christopher W.;

Cleasby, Anne; Frederickson, Martyn; Tickle, Ian J.;

Jhoti, Harren

CORPORATE SOURCE: Astex Technology, Cambridge, CB4 0QA, UK

SOURCE: Journal of Medicinal Chemistry (2005), 48(2), 403-413

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

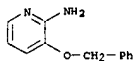
LANGUAGE: English

AB Fragment screening offers an alternative to traditional screening for discovering new leads in drug discovery programs. This paper describes a fragment screening methodol. based on high throughput x-ray crystallog. The method is illustrated against five proteins (p38 MAP kinase, CDK2, thrombin, RNase A, and PTP1B). The fragments identified have weak potency (>100 µM) but are efficient binders relative to their size and may therefore represent suitable starting points for evolution to good quality lead compds. The examples illustrate that a range of mol. interactions (i.e., lipophilic, charge-charge, neutral hydrogen bonds) can drive fragment binding and also that fragments can induce protein movement. The authors believe that the method has great potential for the discovery of novel lead compds. against a range of targets, and the companion paper illustrates how lead compds. have been identified for p38 MAP kinase starting from fragments such as those described in this paper.

IT 24016-03-3
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(fragment-based lead discovery using x-ray crystallog.)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 11 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2004:1141975 CAPLUS

DOCUMENT NUMBER: 142:190235

TITLE: Fragment-Based Lead Discovery Using X-ray

Crystallography

AUTHOR(S): Hartshorn, Michael J.; Murray, Christopher W.;

Cleasby, Anne; Frederickson, Martyn; Tickle, Ian J.;

Jhoti, Harren

CORPORATE SOURCE: Astex Technology, Cambridge, CB4 0QA, UK

SOURCE: Journal of Medicinal Chemistry (2005), 48(2), 403-413

CODEN: JMCMAR; ISSN: 0022-2623

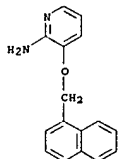
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:114018

GI



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 12 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2004:991160 CAPLUS

DOCUMENT NUMBER: 142:114018

TITLE: Glyoxylic Acid and MP-Glyoxylate: Efficient

Formaldehyde Equivalents in the 3-CC of 2-Aminoazines,

Aldehydes, and Isonitriles

LYON, Michael A.; Kercher, Timothy S.

Arvey BioPharma Inc., Boulder, CO, 80301, USA

Organic Letters (2004), 6(26), 4989-4992

CODEN: ORLEF7; ISSN: 1523-7060

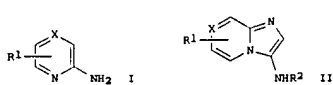
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:114018

GI



AB Glyoxylic acid, either in solution or immobilized on MP-carbonate (MP-glyoxylate; MP = macroporous polystyrene), participates in an uncatalyzed three-component coupling with 2-aminoazines, e.g. I (X = CH, R¹ = H, 3-PhCH₂O, 4-Et, 3-Cl, 5-Ph; X = N, R¹ = H, 5-Me, 6-Cl), and isonitriles R²NC (R² = Me₂CHCH₂Me₂, MeOCH₂CH₂, Ph, 4-ClC₆H₄, 2,6-Me₂C₆H₃, etc.) to afford novel 2-unsubstituted 3-amino-imidazoheterocycles, e.g. II. MP-glyoxylate serves as a particularly efficient and exptl. convenient formaldehyde equivalent and readily liberates products through decarboxylation/self-release from the resin. These examples furthermore constitute the first application in which MP-carbonate serves as a solid support for transformations involving carboxylic acids.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino-substituted imidazopyridines, imidazopyrazines and analogs via three-component coupling of aminoazines with isonitriles and free or polymer-bound glyoxylic acid as HCHO equivalent)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

TITLE: Identification of Novel p38 α MAP Kinase Inhibitors Using Fragment-Based Lead Generation

AUTHOR(S): Gill, Adrian L.; Frederickson, Martyn; Cleasby, Anne;

Woodhead, Steven J.; Carr, Maria O.; Woodhead, Andrew

J.; Walker, Margaret T.; Congreve, Miles S.; Devine,

Lindsey A.; Tisi, Dominic; O'Reilly, Marc; Seavers,

Lisa C. A.; Davies, Deborah J.; Curry, Jayne; Anthony,

Rachel; Padova, Alessandro; Murray, Christopher W.;

Carr, Robin A. S.; Jhoti, Harren

CORPORATE SOURCE: Astex Technology, Cambridge, CB4 0QA, UK

SOURCE: Journal of Medicinal Chemistry (2005), 48(2), 414-426

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:190235

AB We describe the structure-guided optimization of the mol. fragments

2-amino-3-benzoyloxy-pyridine 1 (IC₅₀ 1.3 mM) and 3-(2-(4-

pyridyl)ethyl)indole 2 (IC₅₀ 35 µM) identified using X-ray crystallog.

screening of p38 α MAP kinase. Using two sep. case studies, the

article focuses on the key compds. synthesized, the structure-activity

relationships and the binding mode observations made during this

optimization process, resulting in two potent lead series that demonstrate

significant increases in activity. We describe the process of compound

elaboration either through the growing out from fragments into adjacent

pockets or through the conjoining of overlapping fragments and demonstrate

that we have exploited the mobile conserved activation loop, consisting in

part of Asp168-Phel69-Gly170 (DPG), to generate significant improvements

in potency and kinase selectivity.

IT 24016-03-3 107229-64-1 107229-64-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

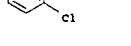
(Biological study); USES (Uses)

(Identification of Novel p38 α MAP Kinase Inhibitors Using

Fragment-Based Lead Generation)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 107229-64-1 CAPLUS

CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 107229-66-3 CAPLUS

CN 2-Pyridinamine, 3-(1-naphthalenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 13 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2004:740294 CAPLUS

DOCUMENT NUMBER: 141:260769

TITLE: Preparation of aminoheteroaryl compounds as protein

kinase inhibitors

INVENTOR(S): Cui, Jingdong Jean

PATENT ASSIGNEE(S): Sugan, Inc., USA; Bhunalkar, Dilip; Botrous, Iriny;

Chen, J. Yu; Funk, Lee A.; Hanau, Cathleen Elizabeth;

Harrie, G. Davis, Jr.; Jia, Lei; et al.

SOURCE: PCT Int. Appl., 312 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

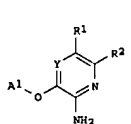
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076412	A2	20040910	WO 2004-US5495	20040226
WO 2004076412	A3	20041229		
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NG, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RO, RU, RW, SA, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, SZ, TD, TG, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VE, VN, YU, ZA, ZM, ZW.				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, ES, HU, SK				
CA 2517256	AA	20040910	CA 2004-2517256	20040226
US 2005009840	A1	20050113	US 2004-786610	20040226
EP 1603370	A2	20051214	EP 2004-719001	20040226
NO 2005004080				
A 20051121				
NO 2005-4080				
NO 2003-445886P				
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US 2004-540229P				
P 20040129				
WO 2004-US5495				
W 20040226				

OTHER SOURCE(S): MARPAT 141:260769

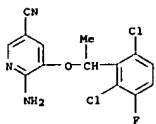
GI



AB The title aminopyridines and aminopyrazines [I: Y = N, CR11: R1 = aryl, heteroaryl, cycloalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, etc.; A1 =

(CR9R10)A2 (with provisos): R9, R10 = H, halo, alkyl, cycloalkyl, etc.; n = 0-4; A2 = aryl, heteroaryl, cycloalkyl, heterocyclic; R11 = halo, alkyl, alkoxy, etc.] which have activity as protein kinase inhibitors, including as inhibitors of c-MET (IC50 values given), were prepared E.g., a multi-step synthesis of 3-(3-methoxybenzyloxy)-5-phenylpyridin-2-amine, was given.

IT 756508-99-2P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of substituted aminopyridines and aminopyrazines as protein kinase inhibitors)
 RN 756508-98-2 CAPLUS
 CN 3-pyridinecarbonitrile, 6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-(9CI) (CA INDEX NAME)



IT 756508-56-2P 756508-57-3P 756508-58-4P
 756508-59-5P 756508-60-6P 756508-61-9P
 756508-62-0P 756508-63-1P 756508-64-2P
 756508-65-3P 756508-66-4P 756508-67-5P
 756508-68-6P 756508-69-7P 756508-70-0P
 756508-73-3P 756508-74-4P 756508-75-5P
 756508-76-6P 756508-77-7P 756508-78-8P
 756508-79-9P 756508-80-2P 756508-81-3P
 756508-82-4P 756508-83-5P 756508-84-6P
 756508-85-7P 756508-86-8P 756508-87-9P
 756508-89-3P 756509-00-9P 756509-01-0P
 756509-02-1P 756509-03-2P 756509-04-3P
 756509-05-4P 756509-06-5P 756509-07-6P
 756509-12-3P 756509-13-4P 756509-16-7P
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 756509-89-4P 756509-91-8P 756509-93-0P
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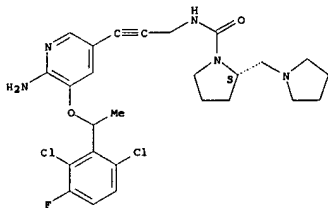
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

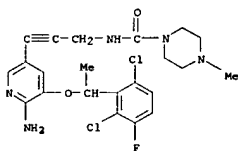
(preparation of substituted aminopyridines and aminopyrazines as protein kinase inhibitors)

RN 756508-56-2 CAPLUS
 CN 1-Pyridinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

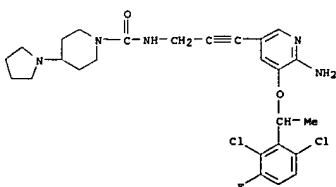
Absolute stereochemistry.



RN 756508-57-3 CAPLUS
 CN 1-Piperazinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-4-methyl-, (9CI) (CA INDEX NAME)

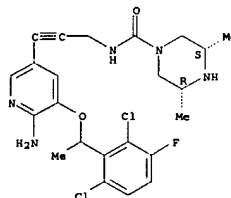


RN 756508-58-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-4-(1-pyrrolidinyl)-, (9CI) (CA INDEX NAME)

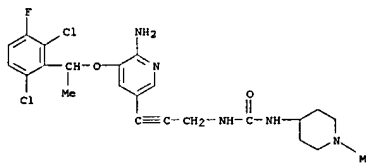


RN 756508-59-5 CAPLUS
 CN 1-Piperazinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-3,5-dimethyl-, (3R,5S)-rel-, (9CI) (CA INDEX NAME)

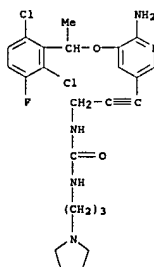
Relative stereochemistry.



RN 756508-60-8 CAPLUS
 CN Urea, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-N'-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

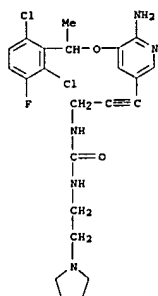


RN 756508-61-9 CAPLUS
 CN Urea, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-N'-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



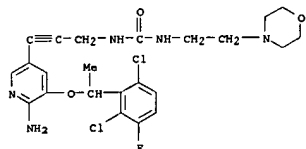
RN 756508-62-0 CAPLUS

CN Urea, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-N'-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



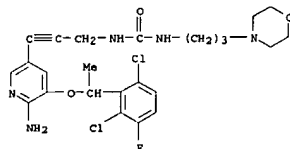
RN 756508-63-1 CAPLUS

CN Urea, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-N'-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 756508-64-2 CAPLUS

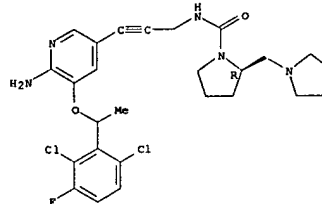
CN Urea, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-N'-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



RN 756508-65-3 CAPLUS

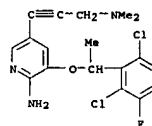
CN 1-Pyrrolidinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



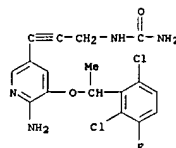
RN 756508-66-4 CAPLUS

CN 2-Pyridinamine, 3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-5-[3-(dimethylamino)-1-propynyl]- (9CI) (CA INDEX NAME)



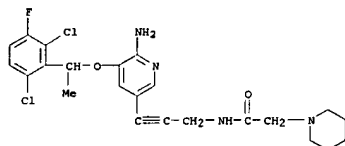
RN 756508-67-5 CAPLUS

CN Urea, [3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]- (9CI) (CA INDEX NAME)



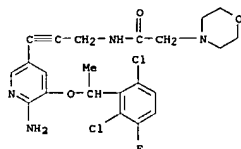
RN 756508-68-6 CAPLUS

CN 1-Piperidineacetamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]- (9CI) (CA INDEX NAME)



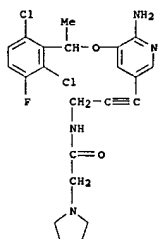
RN 756508-69-7 CAPLUS

CN 4-Morpholineacetamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]- (9CI) (CA INDEX NAME)



RN 756508-70-0 CAPLUS

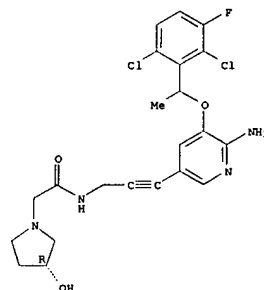
CN 1-Pyrrolidineacetamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]- (9CI) (CA INDEX NAME)



RN 756508-73-3 CAPLUS

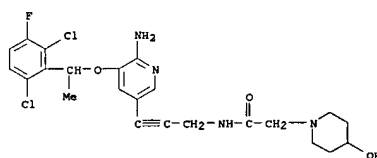
CN 1-Pyrrolidineacetamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-3-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



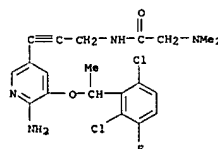
RN 756508-74-4 CAPLUS

CN 1-Piperidineacetamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-4-hydroxy-, (9CI) (CA INDEX NAME)



RN 756508-75-5 CAPLUS

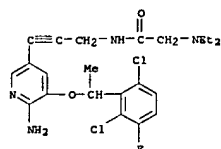
CN Acetamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)



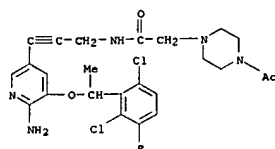
RN 756508-76-6 CAPLUS

CN Acetamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

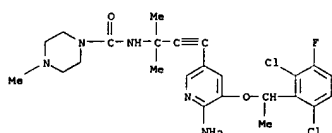
pyridinyl]-2-propynyl]-2-(diethylemino)- (9CI) (CA INDEX NAME)



RN 756508-77-7 CAPLUS
CN 1-Piperazineacetamide, 4-acetyl-N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]- (9CI) (CA INDEX NAME)

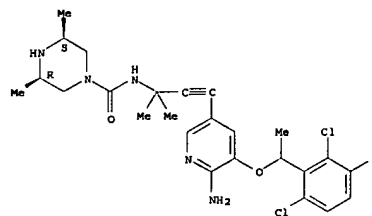


RN 756508-78-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-1,1-dimethyl-2-propynyl]-4-methyl- (9CI) (CA INDEX NAME)



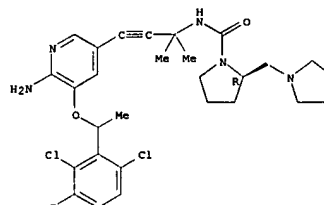
RN 756508-79-9 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-1,1-dimethyl-2-propynyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



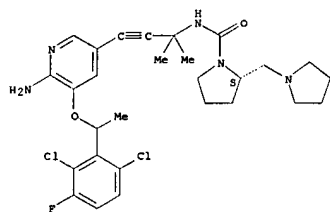
RN 756508-80-2 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-1,1-dimethyl-2-propynyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

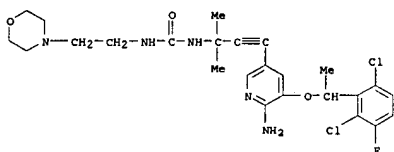


RN 756508-81-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-1,1-dimethyl-2-propynyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

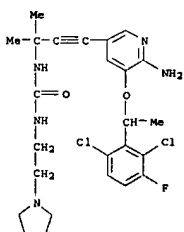
Absolute stereochemistry.



RN 756508-82-4 CAPLUS
CN Urea, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-1,1-dimethyl-2-propynyl]-N'-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

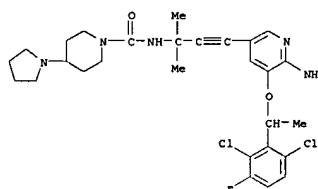


RN 756508-83-5 CAPLUS
CN Urea, N-[3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-1,1-dimethyl-2-propynyl]-N'-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

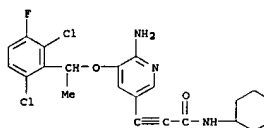


RN 756508-84-6 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[6-amino-5-[1-(2,6-dichloro-3-

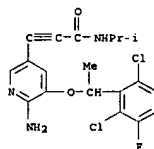
fluorophenyl)ethoxy]-3-pyridinyl]-1,1-dimethyl-2-propynyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



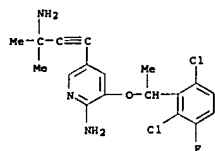
RN 756508-85-7 CAPLUS
CN 2-Propynamide, 3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-N-cyclohexyl- (9CI) (CA INDEX NAME)



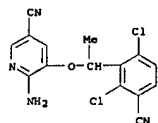
RN 756508-86-8 CAPLUS
CN 2-Propynamide, 3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



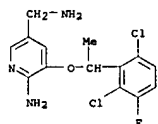
RN 756508-87-9 CAPLUS
CN 2-Pyridinamine, 5-(3-amino-3-methyl-1-butynyl)-3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 756508-99-3 CAPLUS
CN 3-Pyridinecarbonitrile, 6-amino-5-[1-(2,6-dichloro-3-cyanophenyl)ethoxy]- (9CI) (CA INDEX NAME)

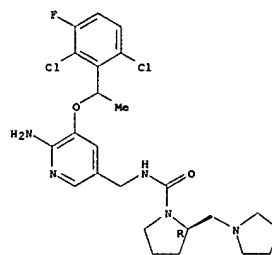


RN 756509-00-9 CAPLUS
CN 3-Pyridinemethanamine, 6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]- (9CI) (CA INDEX NAME)

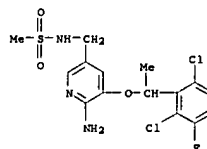


RN 756509-01-0 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]methyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

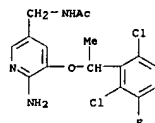
Absolute stereochemistry.



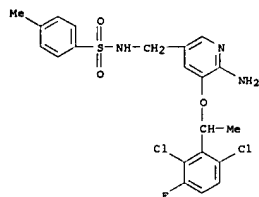
RN 756509-02-1 CAPLUS
CN Methanesulfonamide, N-[[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



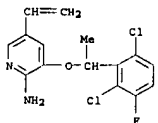
RN 756509-03-2 CAPLUS
CN Acetamide, N-[[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 756509-04-3 CAPLUS
CN Benzenesulfonamide, N-[[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

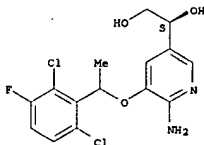


RN 756509-05-4 CAPLUS
CN 2-Pyridinamine, 3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-5-ethenyl- (9CI) (CA INDEX NAME)



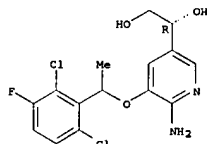
RN 756509-06-5 CAPLUS
CN 1,2-Ethanediol, 1-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

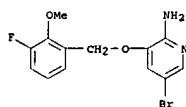


RN 756509-07-6 CAPLUS
CN 1,2-Ethanediol, 1-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-, (1R)- (9CI) (CA INDEX NAME)

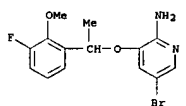
Absolute stereochemistry.



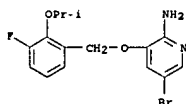
RN 756509-12-3 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[[3-fluoro-2-methoxyphenyl]methoxy]- (9CI) (CA INDEX NAME)



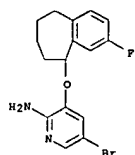
RN 756509-13-4 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[1-(3-fluoro-2-methoxyphenyl)ethoxy]- (9CI) (CA INDEX NAME)



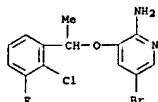
RN 756509-16-7 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[[3-fluoro-2-(1-methylethoxy)phenyl]methoxy]- (9CI) (CA INDEX NAME)



RN 756509-25-8 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[[3-fluoro-6,7,8,9-tetrahydro-5H-benzocyclohepten-5-yl]oxy]- (9CI) (CA INDEX NAME)



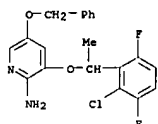
RN 756509-30-5 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[1-(2-chloro-3-fluorophenyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 756509-86-1 CAPLUS
CN 2-Pyridinamine, 3-[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(phenylmethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 756509-85-0
CMF C20 H17 Cl F2 N2 O2



CM 2

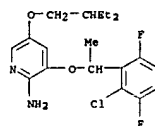
CRN 76-05-1
CMF C2 H F3 O2



RN 756509-89-4 CAPLUS
CN 2-Pyridinamine, 3-[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(2-ethylbutoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 756509-88-3
CMF C19 H23 Cl F2 N2 O2



CM 2

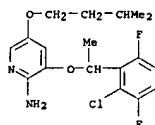
CRN 76-05-1
CMF C2 H F3 O2



RN 756509-91-8 CAPLUS
CN 2-Pyridinamine, 3-[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(3-methylbutoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 756509-90-7
CMF C18 H21 Cl F2 N2 O2



CM 2

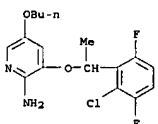
CRN 76-05-1
CMF C2 H F3 O2



RN 756509-93-0 CAPLUS
CN 2-Pyridinamine, 5-butoxy-3-[1-(2-chloro-3,6-difluorophenyl)ethoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 756509-92-9
CMF C17 H19 Cl F2 N2 O2



CM 2

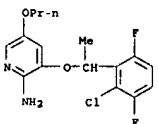
CRN 76-05-1
CMF C2 H F3 O2



RN 756509-95-2 CAPLUS
CN 2-Pyridinamine, 3-[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-propoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 756509-94-1
CMF C16 H17 Cl F2 N2 O2



CM 2

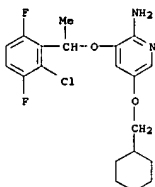
CRN 76-05-1
CMF C2 H F3 O2



RN 756509-97-4 CAPLUS
CN 2-Pyridinamine, 3-[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(cyclohexylmethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 756509-96-3
CMF C20 H23 Cl F2 N2 O2



CM 2

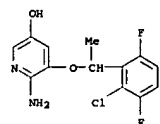
CRN 76-05-1
CMF C2 H F3 O2



RN 756509-99-6 CAPLUS
CN 3-Pyridinol, 6-amino-5-[1-(2-chloro-3,6-difluorophenyl)ethoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 756509-98-5
CMF C13 H11 Cl F2 N2 O2

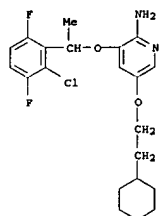


CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 756510-01-7 CAPLUS
CN 2-Pyridinamine, 3-[[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(2-cyclohexylethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
CRN 756510-00-6
CMF C21 H25 Cl F2 N2 O2

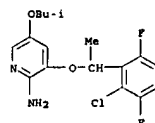


CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 756510-03-9 CAPLUS
CN 2-Pyridinamine, 3-[[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(2-methylpropoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
CRN 756510-02-8
CMF C17 H19 Cl F2 N2 O2

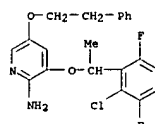


CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 756510-05-1 CAPLUS
CN 2-Pyridinamine, 3-[[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(2-phenylethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
CRN 756510-04-0
CMF C21 H19 Cl F2 N2 O2

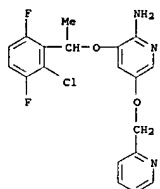


CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 756510-07-3 CAPLUS
CN 2-Pyridinamine, 3-[[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(2-pyridinylmethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
CRN 756510-06-2
CMF C19 H16 Cl F2 N3 O2

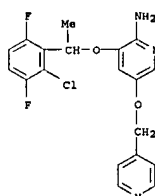


CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 756510-10-8 CAPLUS
CN 2-Pyridinamine, 3-[[1-(2-chloro-3,6-difluorophenyl)ethoxy]-5-(4-pyridinylmethoxy)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

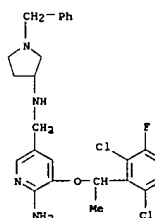
CM 1
CRN 756510-09-5
CMF C19 H16 Cl F2 N3 O2



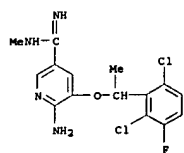
CM 2
CRN 76-05-1
CMF C2 H F3 O2



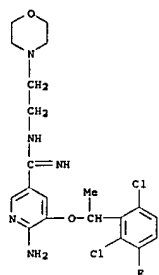
RN 756510-75-5 CAPLUS
CN 3-Pyridinemethanamine, 6-amino-5-[[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-N-[[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



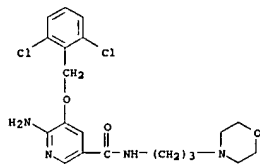
RN 756511-23-6 CAPLUS
CN 3-Pyridinecarboximidamide, 6-amino-5-[[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-N-methyl- (9CI) (CA INDEX NAME)



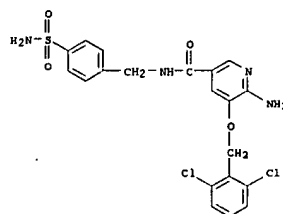
RN 756511-24-7 CAPLUS
CN 3-Pyridinecarboximidamide, 6-amino-5-[(2,6-dichloro-3-fluorophenyl)ethoxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



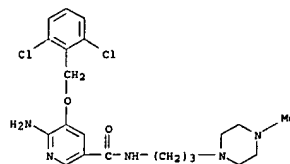
RN 756515-65-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



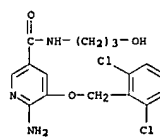
RN 756515-66-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[(4-(aminosulfonyl)phenyl)methyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



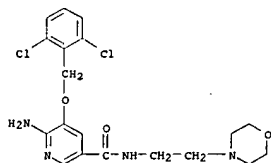
RN 756515-67-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)



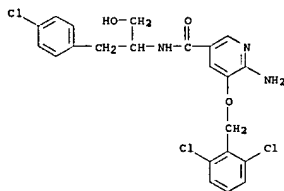
RN 756515-68-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[3-(4-hydroxypropyl)- (9CI) (CA INDEX NAME)



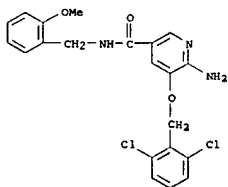
RN 756515-69-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



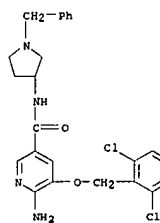
RN 756515-70-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[2-(4-chlorophenyl)-1-(hydroxymethyl)ethyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



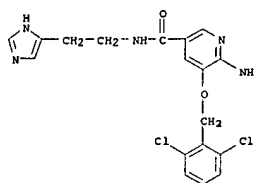
RN 756515-71-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



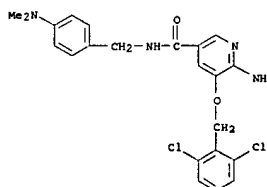
RN 756515-72-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



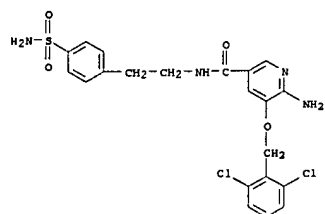
RN 756515-73-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



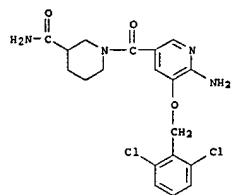
RN 756515-74-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[4-(dimethylamino)phenyl)methyl]- (9CI) (CA INDEX NAME)



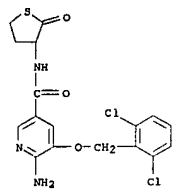
RN 756515-75-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[2-(4-(aminosulfonyl)phenyl)ethyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



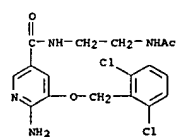
RN 756515-76-1 CAPLUS
CN 3-Piperidinecarboxamide, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



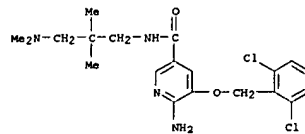
RN 756515-77-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(tetrahydro-2-oxo-3-thienyl)- (9CI) (CA INDEX NAME)



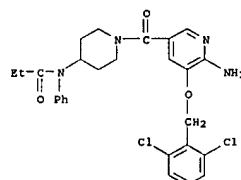
RN 756515-78-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-(acetylaminomethyl)-6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



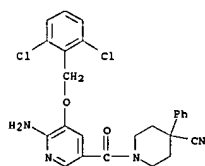
RN 756515-79-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[3-(dimethylamino)-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)



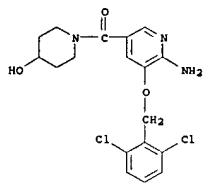
RN 756515-80-7 CAPLUS
CN Propanamide, N-[1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-piperidinyl]-N-phenyl- (9CI) (CA INDEX NAME)



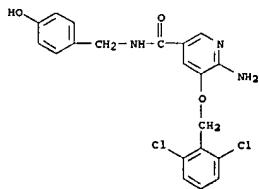
RN 756515-81-8 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



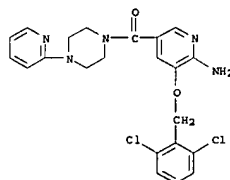
RN 756515-82-9 CAPLUS
CN 4-Piperidinol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



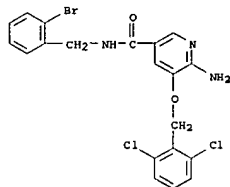
RN 756515-83-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(4-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)



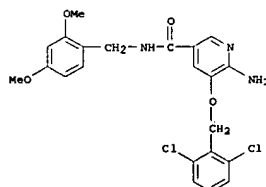
RN 756515-84-1 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



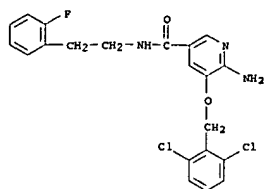
RN 756515-85-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[(2-bromophenyl)methyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



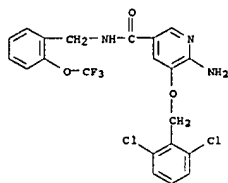
RN 756515-87-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



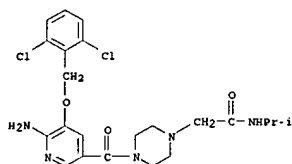
RN 756515-88-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(2-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



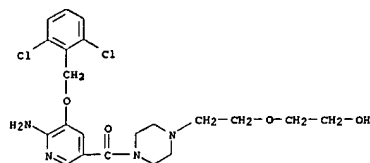
RN 756515-89-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2-trifluoromethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



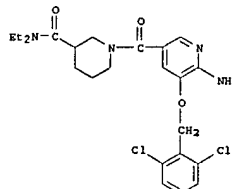
RN 756515-90-9 CAPLUS
CN 1-Piperazineacetamide, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



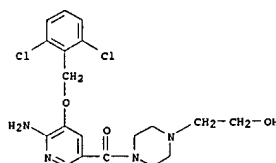
RN 756515-91-0 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[2-(2-hydroxyethoxy)ethyl]- (9CI) (CA INDEX NAME)



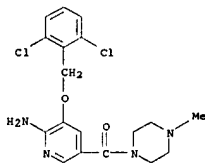
RN 756515-92-1 CAPLUS
CN 3-Piperidinecarboxamide, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



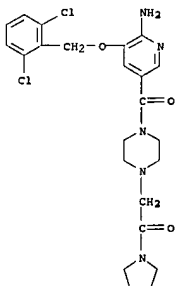
RN 756515-93-2 CAPLUS
CN 1-Piperazineethanol, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



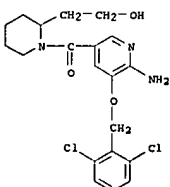
RN 756515-94-3 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



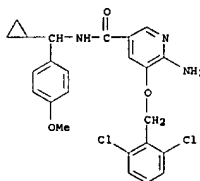
RN 756515-95-4 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



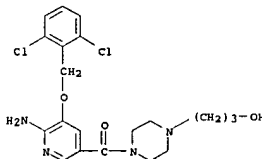
RN 756515-96-5 CAPLUS
CN 2-Piperidineethanol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



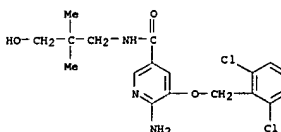
RN 756515-97-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[(cyclopropyl(4-methoxyphenyl)methyl)-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



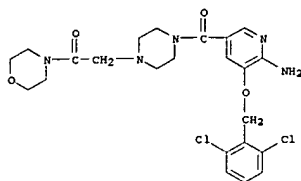
RN 756515-98-7 CAPLUS
CN 1-Piperazinepropanol, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



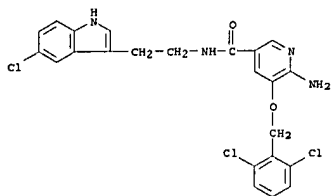
RN 756515-99-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(3-hydroxy-2,2-dimethylpropyl)- (9CI) (CA INDEX NAME)



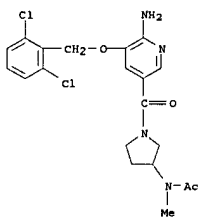
RN 756516-00-4 CAPLUS
CN Morpholine, 4-[[4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



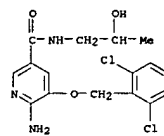
RN 756516-01-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[(2-{5-chloro-1H-indol-3-yl}ethyl)-5-[(2,6-dichlorophenyl)methoxy]]- (9CI) (CA INDEX NAME)



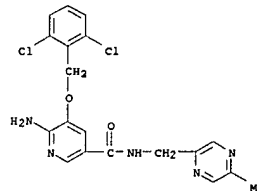
RN 756516-02-6 CAPLUS
CN Acetamide, N-[1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-pyrrolidinyl]-N-methyl- (9CI) (CA INDEX NAME)



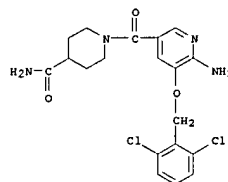
RN 756516-03-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(2-hydroxypropyl)- (9CI) (CA INDEX NAME)



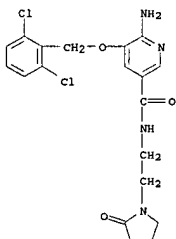
RN 756516-04-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(5-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)



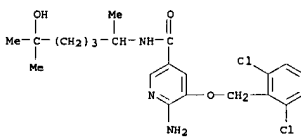
RN 756516-05-9 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



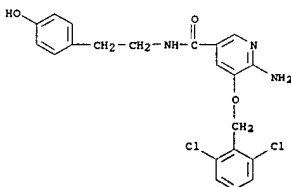
RN 756516-06-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(2-oxo-1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



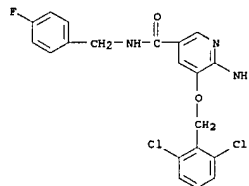
RN 756516-07-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(5-hydroxy-1,5-dimethylhexyl)- (9CI) (CA INDEX NAME)



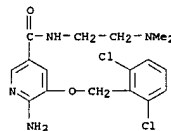
RN 756516-08-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(4-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



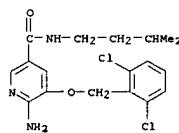
RN 756516-09-3 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



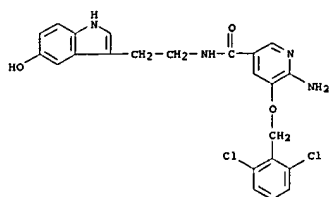
RN 756516-10-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



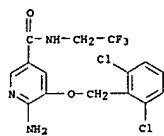
RN 756516-11-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)



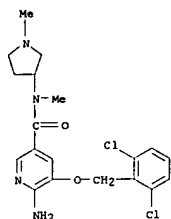
RN 756516-12-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(5-hydroxy-1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)



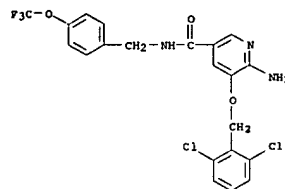
RN 756516-13-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



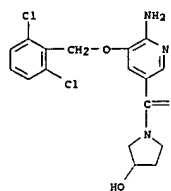
RN 756516-14-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-methyl-N-(1-methyl-3-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 756516-15-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[4-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

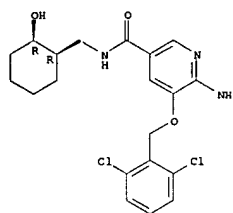


RN 756516-16-2 CAPLUS
CN 3-Pyrrolidinol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



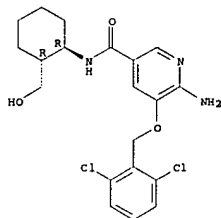
RN 756516-17-3 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(1R,2R)-2-hydroxycyclohexyl]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



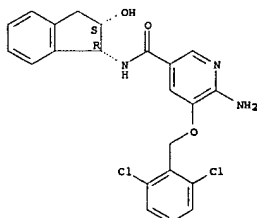
RN 756516-18-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(1R,2R)-2-(hydroxymethyl)cyclohexyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

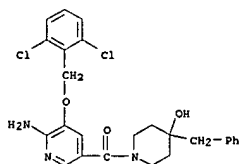


RN 756516-19-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(1R,2S)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

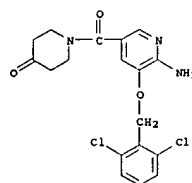
Absolute stereochemistry.



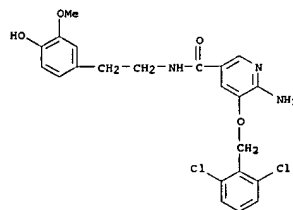
RN 756516-20-8 CAPLUS
CN 4-Piperidinol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



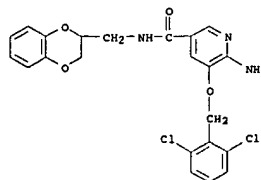
RN 756516-21-9 CAPLUS
CN 4-Piperidinone, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



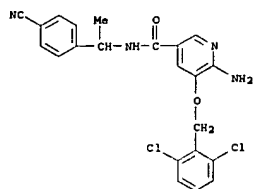
RN 756516-22-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(1R,2S)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



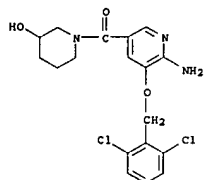
RN 756516-23-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(1R,2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]- (9CI) (CA INDEX NAME)



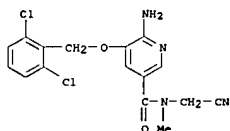
RN 756516-24-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[1-(4-cyanophenyl)ethyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



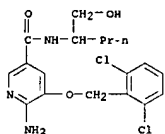
RN 756516-25-3 CAPLUS
CN 3-Piperidinol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



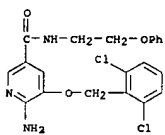
RN 756516-26-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-(cyanomethyl)-5-[(2,6-dichlorophenyl)methoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 756516-27-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(3-hydroxybutyl)- (9CI) (CA INDEX NAME)

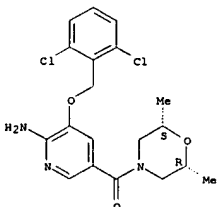


RN 756516-31-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

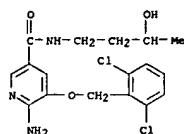


RN 756516-32-2 CAPLUS
CN Morpholine, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

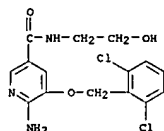
Relative stereochemistry.



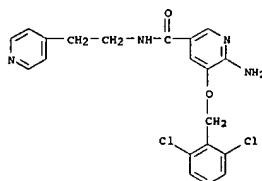
RN 756516-33-3 CAPLUS
CN 3-Pyrrolidinamine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



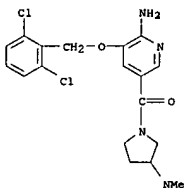
RN 756516-28-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



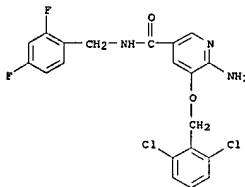
RN 756516-29-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



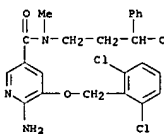
RN 756516-30-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)



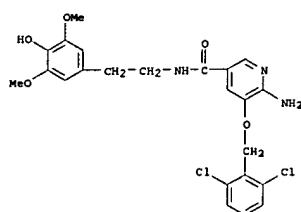
RN 756516-34-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(2,4-difluorophenyl)methyl- (9CI) (CA INDEX NAME)



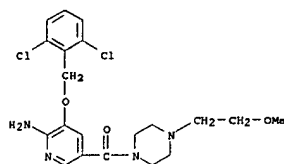
RN 756516-35-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(3-hydroxy-3-phenylpropyl)-N-methyl- (9CI) (CA INDEX NAME)



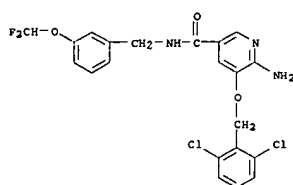
RN 756516-36-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



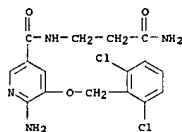
RN 756516-37-7 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



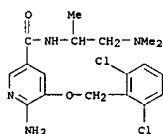
RN 756516-38-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(3-difluoromethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



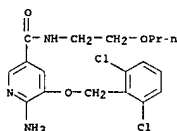
RN 756516-39-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[(2-chloro-4-fluorophenyl)methyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



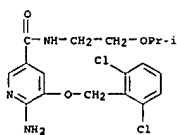
RN 756516-43-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2-dimethylamino)-1-methylethyl]- (9CI) (CA INDEX NAME)



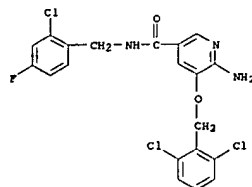
RN 756516-44-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(2-propoxyethyl)- (9CI) (CA INDEX NAME)



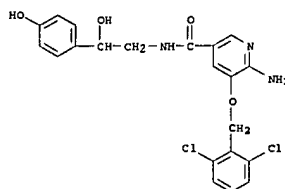
RN 756516-45-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2-(1-methylethoxy)ethyl)- (9CI) (CA INDEX NAME)



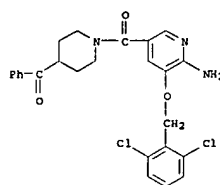
RN 756516-46-8 CAPLUS



RN 756516-40-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-hydroxy-2-(4-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

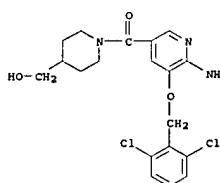


RN 756516-41-3 CAPLUS
CN Piperidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-benzoyl- (9CI) (CA INDEX NAME)

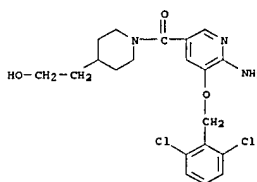


RN 756516-42-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-(3-amino-3-oxopropyl)-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)

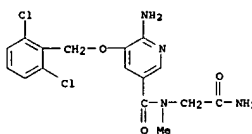
CN 4-Piperidineethanol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



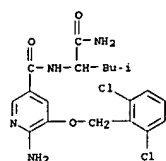
RN 756516-47-9 CAPLUS
CN 4-Piperidineethanol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



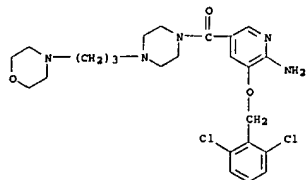
RN 756516-48-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-(2-amino-2-oxoethyl)-5-[(2,6-dichlorophenyl)methoxy]-N-methyl- (9CI) (CA INDEX NAME)



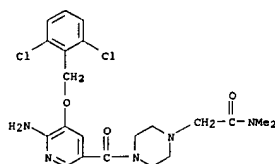
RN 756516-49-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[1-(aminocarbonyl)-3-methylbutyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



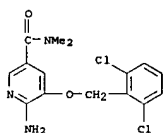
RN 756516-50-4 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



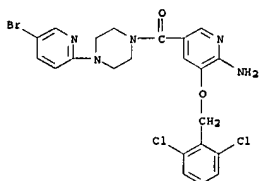
RN 756516-51-5 CAPLUS
CN 1-Piperazineacetamide, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



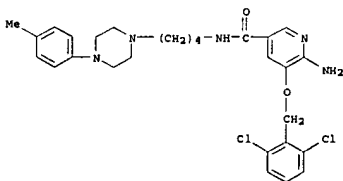
RN 756516-52-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



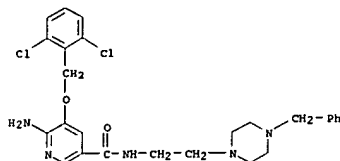
RN 756516-56-0 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-(5-bromo-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 756516-57-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[4-[4-(methylphenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

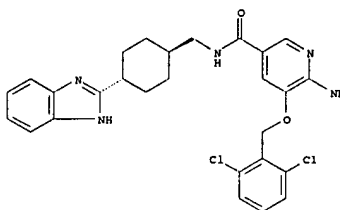


RN 756516-58-2 CAPLUS
CN Thiomorpholine, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

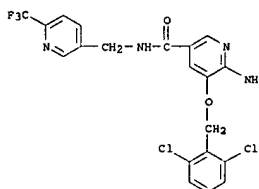


RN 756516-53-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[[trans-4-(1H-benzimidazol-2-yl)cyclohexyl]methyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)

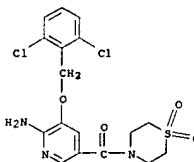
Relative stereochemistry.



RN 756516-54-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[6-(trifluoromethyl)-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

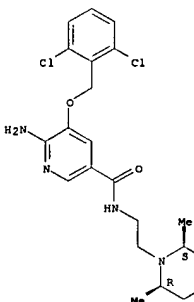


RN 756516-55-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



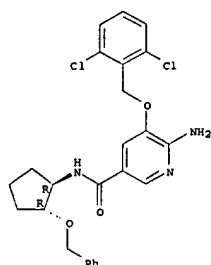
RN 756516-59-3 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-[(2R,6S)-2,6-dimethyl-1-piperidinyl]ethyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



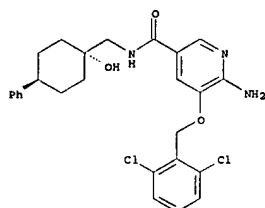
RN 756516-60-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(1R,2R)-2-(phenylmethoxy)cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

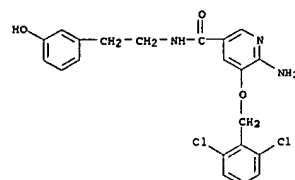


RN 756516-61-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(trans-1-hydroxy-4-phenylcyclohexyl)methyl]- (9CI) (CA INDEX NAME)

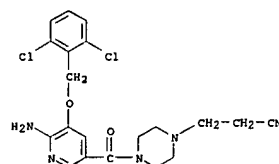
Relative stereochemistry.



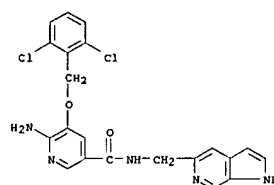
RN 756516-62-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(3-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



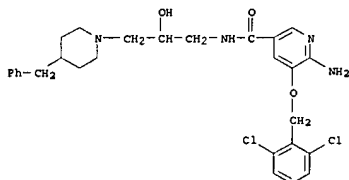
RN 756516-63-9 CAPLUS
CN 1-Piperazinepropanenitrile, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



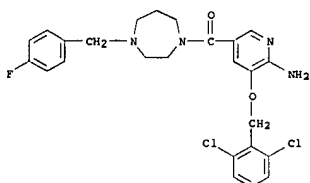
RN 756516-64-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(1H-pyrrolo[2,3-c]pyridin-5-ylmethyl)- (9CI) (CA INDEX NAME)



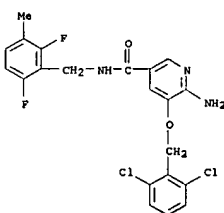
RN 756516-65-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



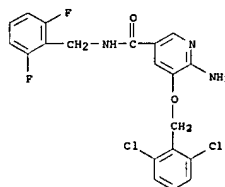
RN 756516-66-2 CAPLUS
CN 1H-1,4-Diazepine, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[[4-(fluorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)



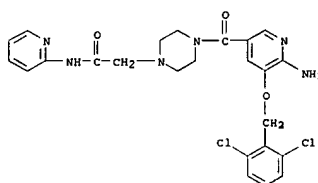
RN 756516-67-3 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2,6-difluoro-3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



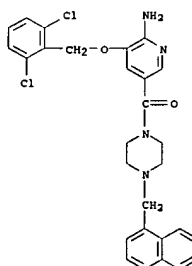
RN 756516-68-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2,6-difluorophenyl)methyl]- (9CI) (CA INDEX NAME)



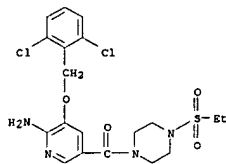
RN 756516-69-5 CAPLUS
CN 1-Piperazineacetamide, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



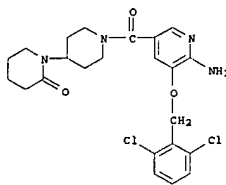
RN 756516-70-8 CAPLUS
CN Piperazine, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



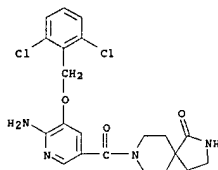
RN 756516-71-9 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[ethylsulfonyl]- (9CI) (CA INDEX NAME)



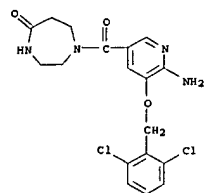
RN 756516-72-0 CAPLUS
CN [1,4'-Bipiperidin]-2-one, 1'-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



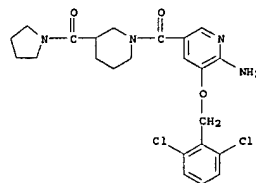
RN 756516-73-1 CAPLUS
CN 2,8-Diazaspiro[4.5]decan-1-one, 8-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



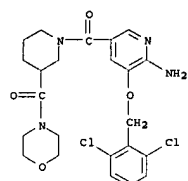
RN 756516-74-2 CAPLUS
CN 5H-1,4-Diazepin-5-one, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]hexahydro- (9CI) (CA INDEX NAME)



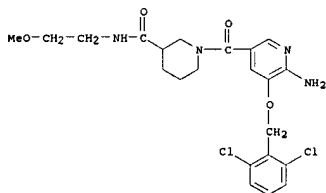
RN 756516-75-3 CAPLUS
CN Piperidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



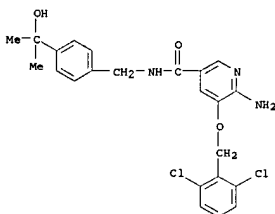
RN 756516-76-4 CAPLUS
CN Morpholine, 4-[[1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



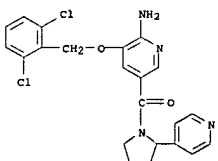
RN 756516-77-5 CAPLUS
CN 3-Piperidinecarboxamide, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



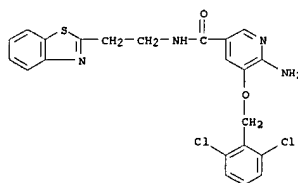
RN 756516-78-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[4-(1-hydroxy-1-methylethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



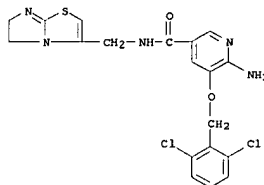
RN 756516-79-7 CAPLUS
CN Pyrrolidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



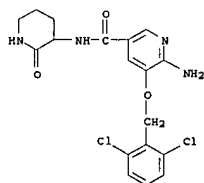
RN 756516-80-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[2-(2-benzothiazolyl)ethyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



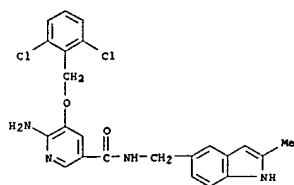
RN 756516-81-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[5,6-dihydroimidazo[2,1-b]thiazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



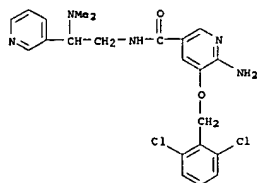
RN 756516-82-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(2-oxo-3-piperidinyl)- (9CI) (CA INDEX NAME)



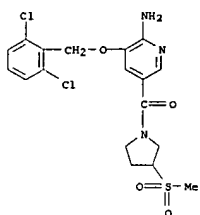
RN 756516-83-3 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2-methyl-1H-indol-5-yl)methyl]- (9CI) (CA INDEX NAME)



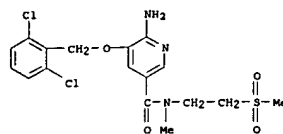
RN 756516-84-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(dimethylamino)-2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



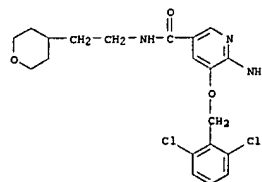
RN 756516-85-5 CAPLUS
CN Pyrrolidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)



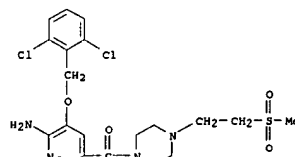
RN 756516-86-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-methyl-2-(methylsulfonyl)ethyl- (9CI) (CA INDEX NAME)



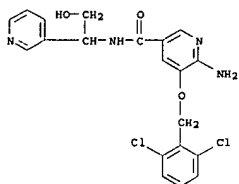
RN 756516-87-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (9CI) (CA INDEX NAME)



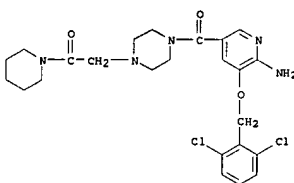
RN 756516-88-8 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



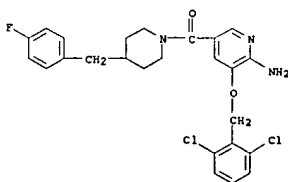
RN 756516-89-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-hydroxy-1-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



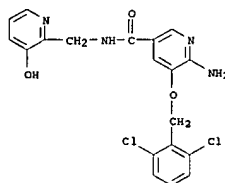
RN 756516-90-2 CAPLUS
CN Piperazine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[2-oxo-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



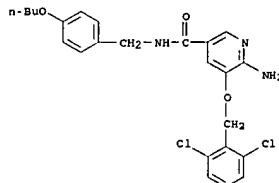
RN 756516-91-3 CAPLUS
CN Piperidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[4-(fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



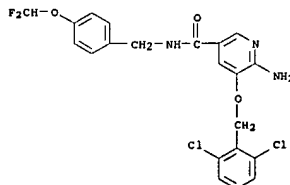
RN 756516-92-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[3-hydroxy-2-(4-methoxyphenyl)propyl]- (9CI) (CA INDEX NAME)



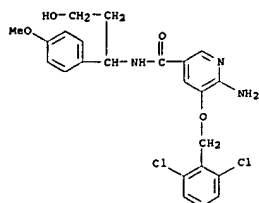
RN 756516-93-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[(4-butoxyphenyl)methyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



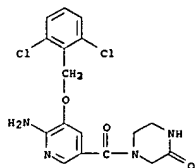
RN 756516-94-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[4-(difluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



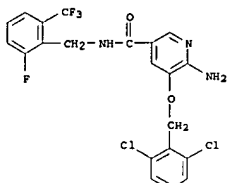
RN 756516-95-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[3-hydroxy-1-(4-methoxyphenyl)propyl]- (9CI) (CA INDEX NAME)



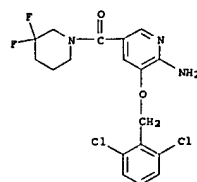
RN 756516-96-8 CAPLUS
CN Piperazinone, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



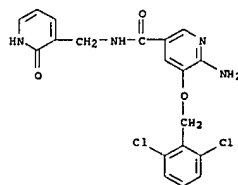
RN 756516-97-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(2-fluoro-6-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



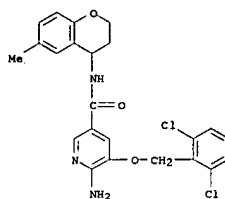
RN 756516-98-0 CAPLUS
CN Piperidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3,3-difluoro- (9CI) (CA INDEX NAME)



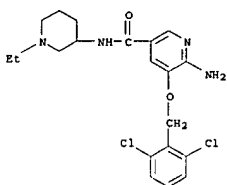
RN 756516-99-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(1,2-dihydro-2-oxo-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



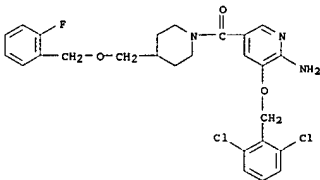
RN 756517-00-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(3,4-dihydro-6-methyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



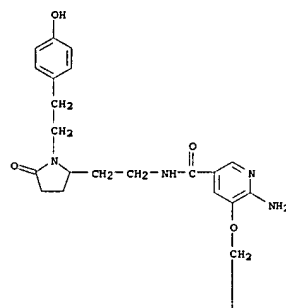
RN 756517-01-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)



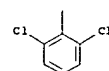
RN 756517-02-9 CAPLUS
CN Piperidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[[[2-fluorophenyl)methoxymethyl]- (9CI) (CA INDEX NAME)



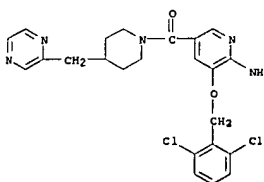
RN 756517-03-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-[1-(2,4-hydroxyphenyl)ethyl]-5-oxo-2-pyrrolidinyl]ethyl]- (9CI) (CA INDEX NAME)



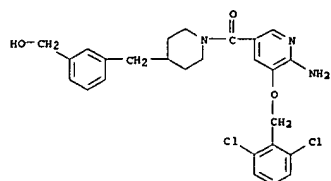
PAGE 1-A



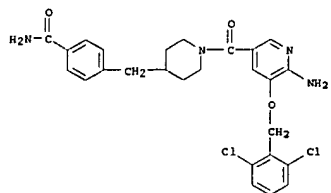
PAGE 2-A



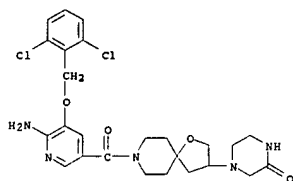
RN 756517-05-2 CAPLUS
CN Piperidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[[3-(hydroxymethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



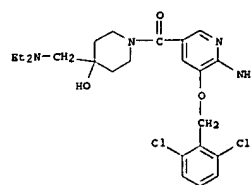
RN 756517-06-3 CAPLUS
CN Benzamide, 4-[[1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-piperidinyl]methyl]-2-chlorophenyl- (9CI) (CA INDEX NAME)



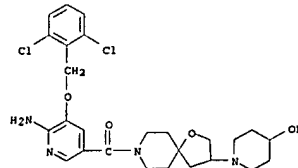
RN 756517-07-4 CAPLUS
CN 1-Oxa-8-azaspiro[4.5]decane, 8-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-(3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)



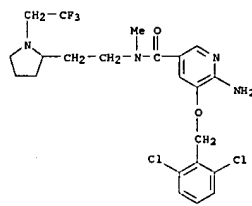
RN 756517-08-5 CAPLUS
CN 4-Piperidinol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[(diethylamino)methyl]-2-chlorophenyl- (9CI) (CA INDEX NAME)



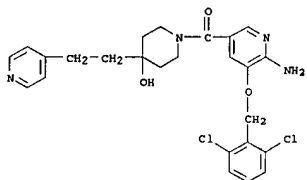
RN 756517-09-6 CAPLUS
CN 1-Oxa-8-azaspiro[4.5]decane, 8-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-(4-hydroxy-1-piperidinyl)-2-chlorophenyl- (9CI) (CA INDEX NAME)



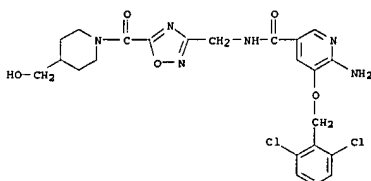
RN 756517-10-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-methyl-N-[2-[[1-(2,2,2-trifluoroethyl)-2-pyrrolidinyl]ethyl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)



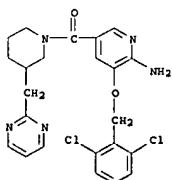
RN 756517-11-0 CAPLUS
CN 4-Piperidinol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[[2-(4-pyridinyl)ethyl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)



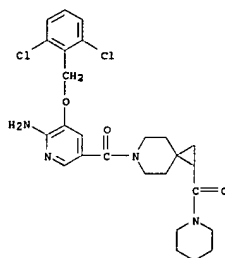
RN 756517-12-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[5-[[4-(hydroxymethyl)-1-piperidinyl]carbonyl]-1,2,4-oxadiazol-3-yl]methyl]-2-chlorophenyl- (9CI) (CA INDEX NAME)



RN 756517-13-2 CAPLUS
CN Piperidine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-(2-pyrimidinylmethyl)-2-chlorophenyl- (9CI) (CA INDEX NAME)

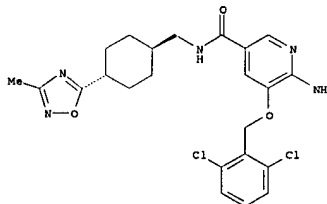


RN 756517-14-3 CAPLUS
CN 6-Azaspiro[2.5]octane, 6-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-1-(1-piperidinylcarbonyl)-2-chlorophenyl- (9CI) (CA INDEX NAME)



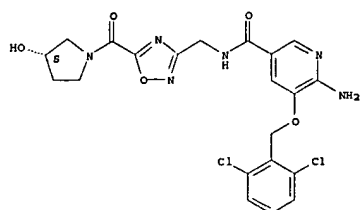
RN 756517-15-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[trans-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexyl]methyl]-2-chlorophenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

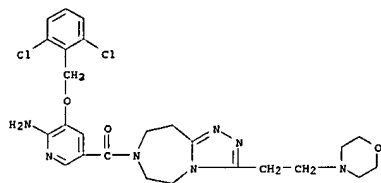


RN 756517-16-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[[5-[[[(3S)-3-hydroxy-1-pyrrolidinyl]carbonyl]-1,2,4-oxadiazol-3-yl]methyl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)

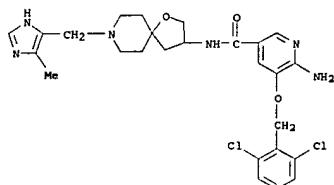
Absolute stereochemistry.



RN 756517-17-6 CAPLUS
CN 5H-1,2,4-Triazolo[4,3-d][1,4]diazepine, 7-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-6,7,8,9-tetrahydro-3-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

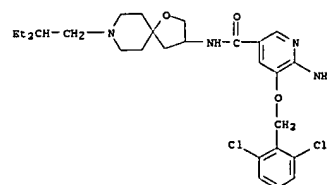


RN 756517-16-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[8-[(5-methyl-1H-imidazol-4-yl)methyl]-1-oxa-8-azaspiro[4.5]dec-3-yl]- (9CI) (CA INDEX NAME)

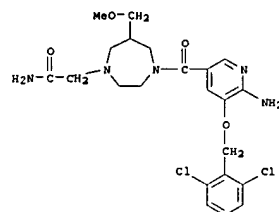


RN 756517-19-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[8-(2-

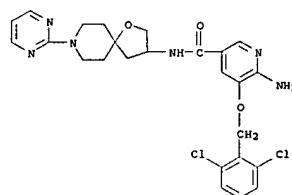
ethylbutyl)-1-oxa-8-azaspiro[4.5]dec-3-yl]- (9CI) (CA INDEX NAME)



RN 756517-20-1 CAPLUS
CN 1H-1,4-Diazepine-1-acetamide, 4-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]hexahydro-6-(methoxymethyl)- (9CI) (CA INDEX NAME)

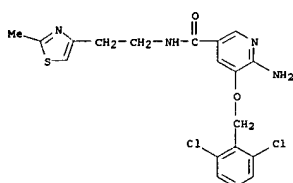


RN 756517-21-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[8-(2-pyrimidinyl)-1-oxa-8-azaspiro[4.5]dec-3-yl]- (9CI) (CA INDEX NAME)

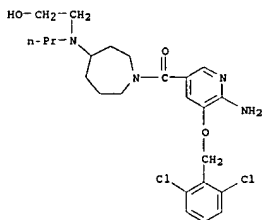


RN 756517-22-3 CAPLUS

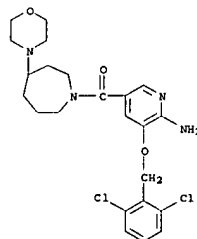
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[2-(2-methyl-4-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)



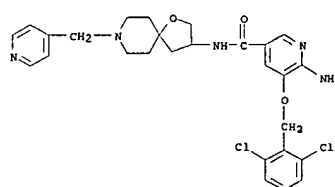
RN 756517-23-4 CAPLUS
CN 1H-Azepin-4-amine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]hexahydro-N-(2-hydroxyethyl)-N-propyl- (9CI) (CA INDEX NAME)



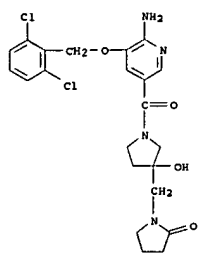
RN 756517-24-5 CAPLUS
CN 1H-Azepine, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]hexahydro-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



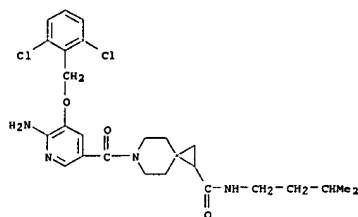
RN 756517-25-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[8-(4-pyridinylmethyl)-1-oxa-8-azaspiro[4.5]dec-3-yl]- (9CI) (CA INDEX NAME)



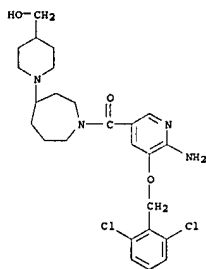
RN 756517-26-7 CAPLUS
CN 3-Pyrrolidinol, 1-[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-[[2-oxo-1-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)



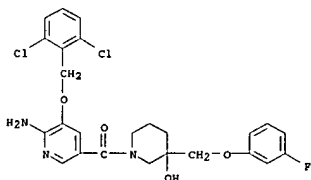
RN 756517-27-8 CAPLUS
CN 6-Azaspiro[2.5]octane-1-carboxamide, 6-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N-(3-methylbutyl)- (9CI)
(CA INDEX NAME)



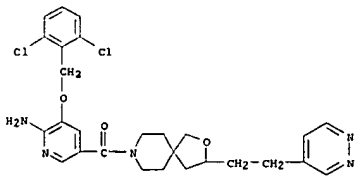
RN 756517-28-9 CAPLUS
CN 3-Pyrrolidinol, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-[[methyl[2-(2-pyridinyl)ethyl]amino]methyl]- (9CI)
(CA INDEX NAME)



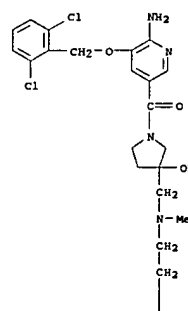
RN 756517-30-3 CAPLUS
CN 3-Piperidinol, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-[[3-(4-pyridazinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 756517-31-4 CAPLUS
CN 2-Oxa-8-azaspiro[4.5]decane, 8-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-[2-(4-pyridazinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 756517-32-5 CAPLUS



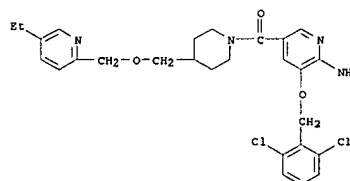
PAGE 1-A



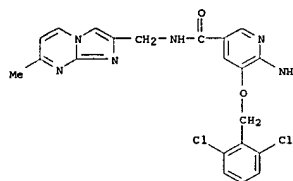
PAGE 2-A

RN 756517-29-0 CAPLUS
CN 1H-Azepine, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]hexahydro-4-[4-(hydroxymethyl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)

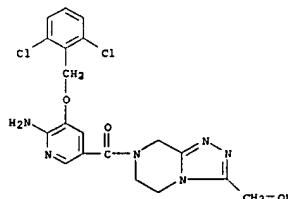
CN Piperidine, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-4-[[[5-ethyl-2-pyridinyl]methoxy]methyl]- (9CI) (CA INDEX NAME)



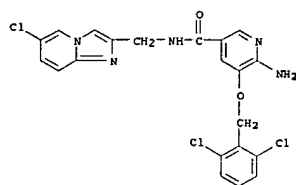
RN 756517-34-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-5-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-N-[(7-methylimidazo[1,2-a]pyrimidin-2-yl)methyl]- (9CI) (CA INDEX NAME)



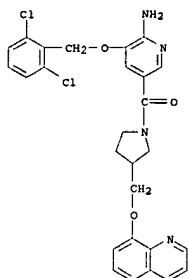
RN 756517-36-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-methanol, 7-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-5,6,7,8-tetrahydro- (9CI)
(CA INDEX NAME)



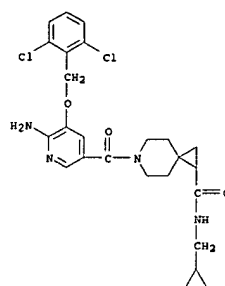
RN 756517-37-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[(6-chloroimidazo[1,2-a]pyridin-2-yl)methyl]-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



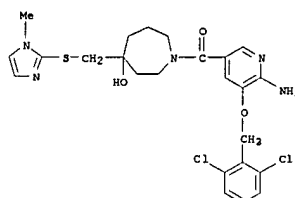
RN 756517-39-2 CAPLUS
CN Pyrrolidine, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-3-[(8-quinolinyl)oxy)methyl]- (9CI) (CA INDEX NAME)



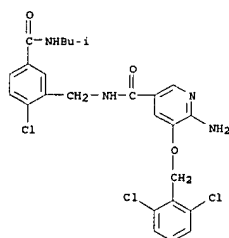
RN 756517-40-5 CAPLUS
CN 6-Azaspiro[2.5]octane-1-carboxamide, 6-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]-N-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)



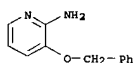
RN 756517-42-7 CAPLUS
CN 1H-Azepin-4-ol, 1-[[[6-amino-5-[(2,6-dichlorophenyl)methoxy]-3-pyridinyl]carbonyl]hexahydro-4-[[[1-methyl-1H-imidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



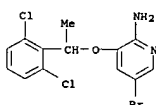
RN 756517-44-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[[[2-chloro-5-[[[2-methylpropyl]amino]hexahydro-4-[[[1-methyl-1H-imidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



IT 24016-03-3, 2-Amino-3-benzoyloxy pyridine 756520-82-8, 5-Bromo-3-[[1-[(2,6-dichlorophenyl)ethoxy]pyridin-2-yl]amine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted aminopyridines and aminopyrazines as protein kinase inhibitors)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 756520-82-8 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[[1-[(2,6-dichlorophenyl)ethoxy]- (9CI) (CA INDEX NAME)

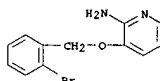


IT 26419-18-1P, 3-[(2-Bromobenzoyloxy)pyridin-2-yl]amine
81066-61-7P, 3-[(4-tert-Butylbenzoyloxy)pyridin-2-yl]amine
107229-61-8P, 3-[(2-Chlorobenzoyloxy)pyridin-2-yl]amine
107229-64-1P, 3-[(2,6-Dichlorobenzoyloxy)pyridin-2-yl]amine
117523-95-2P, 3-[(2-Trifluoromethylbenzoyloxy)pyridin-2-yl]amine
117523-99-6P, 2-[(2-Aminopyridin-3-yl)oxy]methylbenzotrile
151411-24-4P, 3-[(2-Chloro-6-fluorobenzoyloxy)pyridin-2-yl]amine
151411-41-5P, 3-[(2,4-Dichlorobenzoyloxy)pyridin-2-yl]amine
642084-25-1P, 3-[(2-Chloro-4-fluorobenzoyloxy)pyridin-2-yl]amine
754230-78-9P, 756482-27-6P, 3-[(2-Chloro-3,6-difluorobenzoyloxy)pyridin-2-yl]amine
756503-58-9P, 756503-59-0P, 756503-60-3P, 756503-61-4P, 756503-62-5P, 756503-63-6P

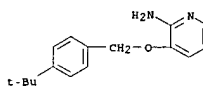
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756503-67-0P, 756503-68-1P, 756503-69-2P
756503-70-5P, 756520-42-0P, 756520-48-6P
756520-49-7P, 756520-50-0P, 756520-59-9P
756520-60-2P, 756520-62-4P, 756520-63-5P
756520-67-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted aminopyridines and aminopyrazines as protein kinase inhibitors)

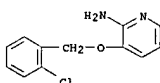
RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



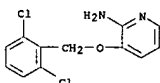
RN 81066-61-7 CAPLUS
CN 2-Pyridinamine, 3-[[[4-(1,1-dimethylethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



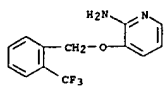
RN 107229-61-8 CAPLUS
CN 2-Pyridinamine, 3-[[[2-chlorophenyl]methoxy]- (9CI) (CA INDEX NAME)



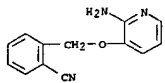
RN 107229-64-1 CAPLUS
CN 2-Pyridinamine, 3-[[[2,6-dichlorophenyl]methoxy]- (9CI) (CA INDEX NAME)



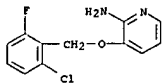
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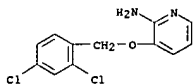
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CN Benzonitrile, 2-[(2-amino-3-pyridinyl)oxy]methyl- (9CI) (CA INDEX NAME)



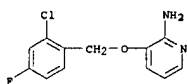
RN 151411-26-6 CAPLUS
CN 2-Pyridinamine, 3-[(2-chloro-6-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



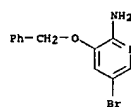
RN 151411-41-5 CAPLUS
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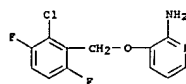
RN 642084-25-1 CAPLUS
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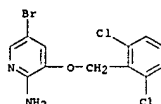
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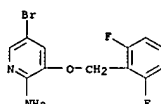
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CN 2-Pyridinamine, 3-[(2-chloro-3,6-difluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



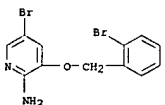
RN 756503-57-8 CAPLUS
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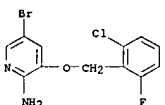
RN 756503-58-9 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[(2,6-difluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



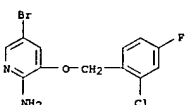
RN 756503-59-0 CAPLUS
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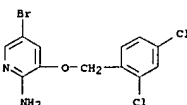
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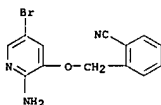
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CN 2-Pyridinamine, 5-bromo-3-[(2-chloro-4-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



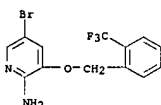
RN 756503-62-5 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[(2,4-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



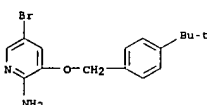
RN 756503-63-6 CAPLUS
CN Benzonitrile, 2-[(2-amino-5-bromo-3-pyridinyl)oxy]methyl- (9CI) (CA INDEX NAME)



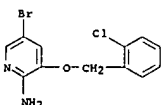
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CN 2-Pyridinamine, 5-bromo-3-[(2-(trifluoromethyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)



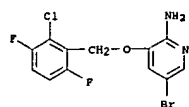
RN 756503-65-8 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[(1,1-dimethylethyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)



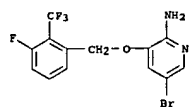
RN 756503-66-9 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[(2-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



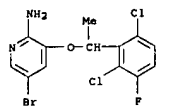
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CN 2-Pyridinamine, 5-bromo-3-[(2-chloro-3,6-difluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



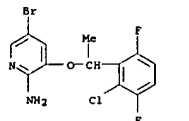
RN 756503-68-1 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[(3-fluoro-2-(trifluoromethyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)



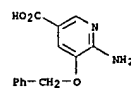
RN 756503-69-2 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]- (9CI) (CA INDEX NAME)



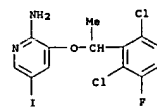
RN 756503-70-5 CAPLUS
CN 2-Pyridinamine, 5-bromo-3-[1-(2-chloro-3,6-difluorophenyl)ethoxy]- (9CI) (CA INDEX NAME)



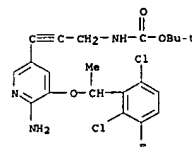
RN 756520-42-0 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-amino-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



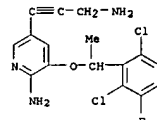
RN 756520-48-6 CAPLUS
CN 2-Pyridinamine, 3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-5-iodo- (9CI) (CA INDEX NAME)



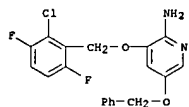
RN 756520-49-7 CAPLUS
CN Carbamic acid, [3-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



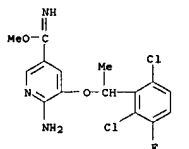
RN 756520-50-0 CAPLUS
CN 2-Pyridinamine, 5-(3-amino-1-propynyl)-3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]- (9CI) (CA INDEX NAME)



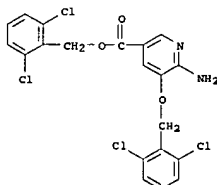
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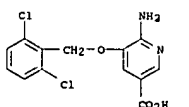
RN 756520-60-2 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



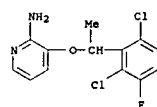
RN 756520-62-4 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-amino-5-[(2,6-dichlorophenyl)methoxy]-, (2,6-dichlorophenyl)methyl ester (9CI) (CA INDEX NAME)



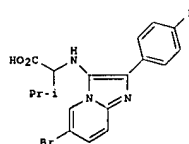
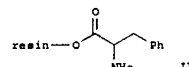
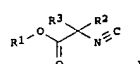
RN 756520-63-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-amino-5-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 756520-67-9 CAPLUS
CN 2-Pyridinamine, 3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]- (9CI) (CA INDEX NAME)



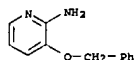
L22 ANSWER 14 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:534039 CAPLUS
DOCUMENT NUMBER: 141:89088
TITLE: A preparation of α -isocyanocarboxylate derivatives, useful for solid-phase preparation of imidazolines, imidazopyridines, and imidazothiazoles
INVENTOR(S): Yang, Kexin; Lou, Boliang
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE
US 2004127719 A1 20040701 US 2002-94599 20020308
PRIORITY APPLN. INFO.: US 2002-94599 20020308
OTHER SOURCE(S): MARPAT 141:89088
GI



AB The invention relates to a preparation of α -isocyanocarboxylate of formula I [wherein: R1 is H, (un)substituted alkyl, allyl, alkyl, or alkenyl; R2 and R3 are selected from H, alkyl, alkenyl, alkenylaryl, or alkynyl, etc.], useful for the preparation of imidazolines, imidazopyridines,

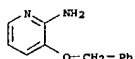
and imidazothiazoles. For instance, Wang resin propionate I (R1 is Wang resin; R2 = H; R3 = Bn) was prepared via deprotection of Fmoc-Phe-Wang resin, formylation of the obtained (S)-amino acid derivative II, and subsequent transformation of the formylated amino-group to isocyanato-group by treatment with PPh3, CCl4, and NEt3 (no yield data, example 1). Imidazopyridine III was prepared via solid-phase condensation of Wang resin-bound (S)-2-benzyl-2-isocyanatocarbonyl acid, 4-fluorobenzaldehyde, and 2-amino-5-bromopyridine in the presence of ytterbium trifluoromethane sulfonate with >95% purity (example 6, no yield data).

IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of 6-isocyanatocarbonyl resin-bound deriv., useful for solid-phase preparation of imidazolines, imidazopyridines, and imidazothiazoles)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 15 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2004:236703 CAPLUS
 DOCUMENT NUMBER: 140:23636
 TITLE: Solid-Phase Synthesis of 2,4-Diaminopyrimidines via Lewis Acid-Mediated Aromatic Nucleophilic Substitution
 AUTHOR(S): Arvanitis, Elena A.; Chadha, Naresh; Pottorf, Richard S.; Player, Mark R.
 CORPORATE SOURCE: 3-Dimensional Pharmaceuticals Inc., Cranbury, NJ, 08512, USA
 SOURCE: Journal of Combinatorial Chemistry (2004), 6(3), 414-419
 CODEN: JCCCHP; ISSN: 1520-4766
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:423636
 AB Primary amines were immobilized on (4-formyl)-3,5-dimethoxyphenoxy)methylpolystyrene resin via reductive amination. Attachment of two different 4-chloro-2-methylthiopyrimidines, followed by sulfide oxidation, led to the sulfone intermediates. Aromatic nucleophilic substitution with various anilines or heterocyclic amines in the presence of trimethylaluminum afforded the desired 2,4-diaminopyrimidines after acidic cleavage from the resin. The synthetic method, described herein was validated with the synthesis of a small 162-member library.

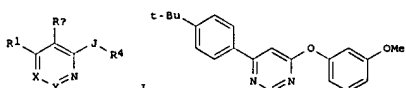
IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine
 RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
 (solid-phase combinatorial synthesis of 2,4-diaminopyrimidines via Lewis acid-mediated aromatic nucleophilic substitution)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014871	A1	20040219	WO 2003-025191	20030808
WO 2004014871	C1	20050407		
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RW: GH, GM, KE, LS, MM, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493667	AA	20040219	CA 2003-2493667	20030808
AU 2003264047	A1	20040225	AU 2003-264047	20030808
US 2004082780	A1	20040429	US 2003-638009	20030808
EP 1546116	A1	20050629	EP 2003-785220	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013255	A	20050712	BR 2003-13255	20030808
JP 2006050470	T2	20060209	JP 2004-528067	20030808
NO 2005001193	A	20050504	NO 2005-1193	20050307
US 200527996	A1	20051013	US 2005-100077	20050405
US 2005272931	A1	20051208	US 2005-99578	20050405
US 2006030618	A1	20060209	US 2005-100272	20050405
US 2005272777	A1	20051208	US 2005-195159	20050801
US 2005277631	A1	20051215	US 2005-195134	20050801
PRIORITY APPL. INFO.:				
US 2002-402422P P 20020808				
US 2001-339161P P 20011210				
US 2001-344737P P 20011221				
US 2002-383331P P 20020522				
US 2002-316295 A3 20021210				
US 2003-638009 A3 20030808				
WO 2003-025191 W 20030808				

OTHER SOURCE(S): MARPAT 140:181462
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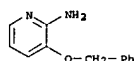


AB Title compds. I [wherein J = O or S; X = N or CR2; Y = N or CR3; wherein at least 1 of X and Y = N; R1 = (un)substituted Ph or heterocyclyl; R2 = independently R14, halo, CR4, NR4R4, or (un)substituted alkyl; R3 = independently H, halo, CR4, (di)alkylamino, or alkyl; wherein when X = CR2 and Y = CR3, then at least 1 of R2 and R3 = H; R4 = independently (un)substituted optionally vicinally fused heterocyclyl; R5 = independently H or (un)substituted Ph, PhCH2, or alkyl; R6 = independently H or Me; and pharmaceutically acceptable salts thereof] were prepared as vanilloid receptor ligands (no data). For example, coupling of 4,6-dichloropyrimidine with 4-tert-butylphenylboronic acid in the presence

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 16 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2004:153429 CAPLUS
 DOCUMENT NUMBER: 140:391531
 TITLE: Synthesis and characterization of new bis(1-aryliminomethylen)naphthalen-2-oxynickel complexes and their catalytic behavior for vinyl polymerization of norbornene
 AUTHOR(S): Chang, Fei; Zhang, Dongheng; Xu, Guiyun; Yang, Haijin; Li, Jitai; Song, Haibin; Sun, Wen-Hua
 CORPORATE SOURCE: Institute of Chemistry, State Key Laboratory of Engineering Plastics and Center for Molecular Sciences, The Chinese Academy of Sciences, Zhongguancun, Beijing, 100080, Peop. Rep. China
 SOURCE: Journal of Organometallic Chemistry (2004), 689(5), 936-946
 CODEN: JORCAI; ISSN: 0022-328X
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The synthesized 1-aryliminomethylen)naphthalen-2-ol deriva. reacted with nickel chloride to form bis(1-aryliminomethylen)naphthalen-2-oxynickel complexes. All resultant compds. were structurally characterized by elemental analyses, IR and H NMR, and the structures of the formed complexes were elucidated by X-ray crystal structure anal. The complexes show high catalytic activities for the vinyl polymerization of norbornene in the presence of methylaluminoxane. The catalytic activity variations were followed by gas chromatog. through monitoring the conversion of norbornene.

IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (in ligand synthesis for bis(1-aryliminomethylen)naphthalen-2-oxynickel complexes for catalytic norbornene vinyl polymerization)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

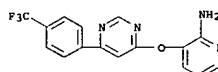


REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 17 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2004:143118 CAPLUS
 DOCUMENT NUMBER: 140:181462
 TITLE: Preparation of (aryloxy)pyrimidine and (aryloxy)pyridazine as vanilloid receptor ligands
 INVENTOR(S): Chkrabarti, Partha P.; Chen, Ning; Doherty, Elisabeth M.; Dominguez, Celis; Falcay, James Richard; Fotsch, Christopher H.; Hulme, Christopher; Katon, Josie; Nixey, Thomas; Norman, Mark H.; Ognyanov, Vassil I.; Pettus, Liping H.; Rzaeva, Robert Michael; Stec, Markian; Wang, Hui-ling; Zhu, Jiewang
 PATENT ASSIGNEE(S): Amgen Inc., USA
 SOURCE: PCT Int. Appl., 340 pp.

of Pd(PPh3)4 in CH3CN gave 4-(4-tert-butylphenyl)-6-chloropyrimidine, which was etherified with 3-methoxyphenol using NaH to afford II. I and their pharmaceutical compns. are useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, eczema, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotizing agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders (no data).

IT 659732-71-SP
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)
 (vanilloid receptor ligand; preparation of (aryloxy)pyrimidine and (aryloxy)pyridazine vanilloid receptor ligands as analgesics and antiinflammatory agents)
 RN 659732-71-5 CAPLUS
 CN 2-Pyridinamine, 3-[[6-(4-(trifluoromethyl)phenyl)-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)



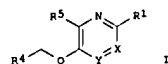
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 18 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2004:41269 CAPLUS
 DOCUMENT NUMBER: 140:77038
 TITLE: Preparation of 3-[heteroarylmethoxy]pyridines and their analogues as p38 map kinase inhibitors
 INVENTOR(S): Murray, Christopher William; Hartshorn, Michael John; Frederickson, Martyn; Congreve, Miles Stuart; Padova, Alessandro; Woodhead, Steven John; Gill, Adrian Liam; Woodhead, Andrew James
 PATENT ASSIGNEE(S): Amgen Technology Limited, UK
 SOURCE: PCT Int. Appl., 134 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004720	A1	20040115	WO 2003-02864	20030703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

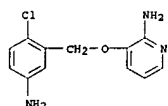
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 PO, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO
 AU 2003246927 A1 20040123 AU 2003-246927 20030703
 EP 1545523 A1 20050629 EP 2003-762777 20030703
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 200553975 T2 20051222 JP 2004-518947 20030703
 PRIORITY APPLN. INFO.: GB 2002-15383 A 20020703
 US 2002-293121P P 20020703
 GB 2002-26149 A 20021108
 WO 2003-092864 W 20030703

OTHER SOURCE(S): MARPAT 140:77038
 GI



AB Title compds. I [X=Y = CR2=CR3, CR2=N; R1 = H, halo, amino, etc.; R2-3 = H, alkyl, aryl, etc.; R4 = carboxyl, heteroaryl; R5 = halo, amino, carboxamido, etc.] are prepared for instance, 2-amino-3-benzoyloxy pyridine is prepared by alkylation of 2-amino-3-hydroxypyridine with benzyl chloride. A related example, 2-amino-3-[2-phenylbenzoyloxy]pyridine has IC50 = 10µM for p38 map kinase. I are useful in the treatment of diseases ameliorated by inhibiting p38 MAP kinase.

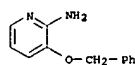
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 (preparation of 3-(heteroarylbenzoyloxy)pyridines and their analogs as p38 map kinase inhibitors for treatment of arthritis)
 RN 642084-32-0 CAPLUS
 CN 2-Pyridinamine, 3-[(5-amino-2-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



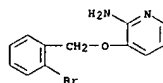
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 2-Amino-3-(3-chlorobenzoyloxy)pyridine 107229-64-1P,
 2-Amino-3-(2,6-dichlorobenzoyloxy)pyridine 107229-66-3P,
 2-Amino-3-(1-naphthylmethoxy)pyridine 11762-72-8P.

2-Amino-3-(2-methoxybenzoyloxy)pyridine 117523-95-2P,
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 2-Amino-3-(4-chloro-3-fluorobenzoyloxy)pyridine 642084-16-0P,
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 2-Amino-3-[2-[(benzenesulfonyl)methyl]benzoyloxy]pyridine 642084-22-8P,
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USESS (Uses)
 (preparation of 3-(heteroarylbenzoyloxy)pyridines and their analogs as p38 map kinase inhibitors for treatment of arthritis)

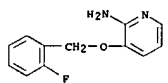
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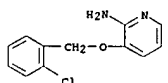
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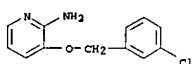
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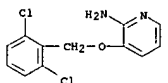
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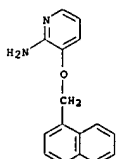
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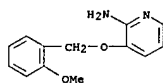
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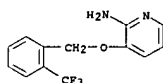
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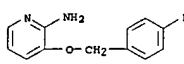
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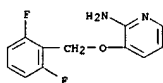
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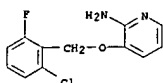
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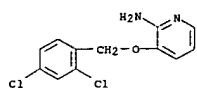
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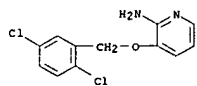
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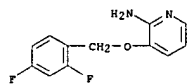
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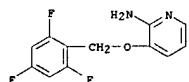
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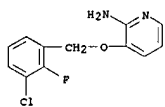
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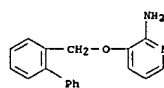
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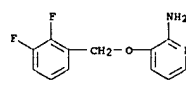
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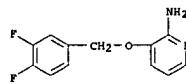
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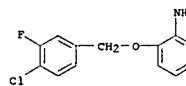
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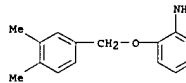
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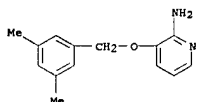
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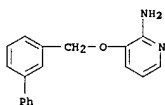
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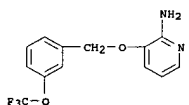
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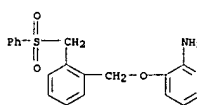
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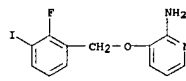
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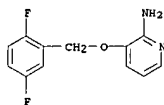
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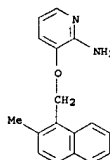
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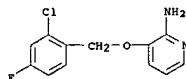
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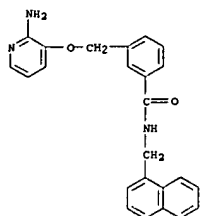
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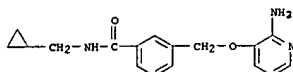
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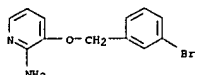
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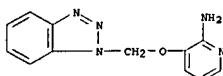
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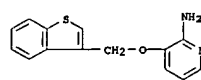
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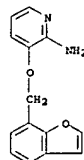
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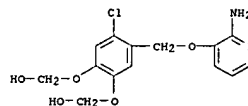
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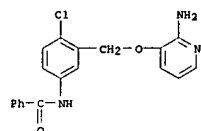
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RN 642084-72-8 CAPLUS
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RN 642084-85-3 CAPLUS
CN Benzamide, N-[[[(2-amino-3-pyridinyl)oxy)methyl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 19 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2004:20322 CAPLUS
DOCUMENT NUMBER: 140:87658

TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shaomeng; Hu, Zengjian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 280 pp., Cont.-in-part of U.S. Ser. No. 6,982.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006011	A1	20040108	US 2003-425557	20030428
US 6031072	A	20000229	US 1997-893534	19970711
US 6326352	B1	20011204	US 2000-507102	20000217
US 2002168761	A1	20021114	US 2001-769145	20010124
US 2002151475	A1	20021017	US 2001-6982	20011204
US 6914044	B2	20050705		

PRIORITY APPLN. INFO.:
US 1996-21612P P 19960712
US 1997-893534 A1 19970711
US 2000-491078 B2 20000124
US 2000-507102 A1 20000217
US 2001-769145 B2 20010124
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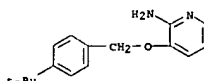
OTHER SOURCE(S): MARPAT 140:87658

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT 81066-61-7, 2-Pyridinamine, 3-[[[(1,1-dimethylethyl)phenyl]methoxy]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 81066-61-7 CAPLUS
CN 2-Pyridinamine, 3-[[[(1,1-dimethylethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 20 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:935144 CAPLUS

DOCUMENT NUMBER: 141:23534
TITLE: Preparation of Schiff bases from salicylaldehyde and aromatic amines

INVENTOR(S): Sun, Wenhua; Yang, Haijian; Li, Xiuhua
PATENT ASSIGNEE(S): Institute of Chemistry, Chinese Academy of Sciences, Peop. Rep. China

SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 12 pp.

DOCUMENT TYPE: CODEN: CNXXEV
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1386735	A	20021225	CN 2001-118314	20010523
CN 2001-118314			CN 2001-118314	20010523

PRIORITY APPLN. INFO.: CASREACT 141:23534

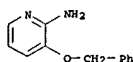
AB The Schiff base of salicylaldehyde with aromatic amine is prepared by condensation reaction under microwave irradiation (600-800W) for 2 s to 6 min. in the absence of solvent. For example, microwave irradiation of a mixture of salicylaldehyde and 3-amino-5-methyl-1H-pyrazole for 30 s gave 96% the corresponding Schiff base.

IT 24016-03-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of Schiff bases by condensation of salicylaldehyde with aromatic amines under microwave irradiation)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 21 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2003:590877 CAPLUS
DOCUMENT NUMBER: 139:149630

TITLE: Process for preparing 3-(acylamino)imidazo[1,2-a]pyridines using an isonitrile resin

INVENTOR(S): Chen, Jian
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: U.S. Pat. Appl. Publ., 9 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

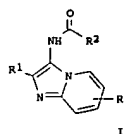
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144518	A1	20030731	US 2002-302246	20021122
US 2003144518			US 2001-340497P	20011207

PRIORITY APPLN. INFO.: CASREACT 139:149630; MARPAT 139:149630

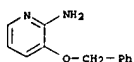
GI



AB The present invention relates to a process for preparing 3-acylaminoimidazo[1,2-a]pyridines I [R = one or more hydrogen substituents; R1 = linear, branched, or cyclic C1-12-alkyl, (un)substituted C6-10-aryl, (un)substituted C7-12-alkylenearyl, R2 = linear, branched, or cyclic C1-12-alkyl; (un)substituted C6-10-aryl; (un)substituted C7-12-alkylenearyl; (un)substituted C12-heteroaryl] said process comprising the steps of: (a) reacting an isonitrile resin with an aldehyde having the formula RCHO and a (un)substituted 2-aminopyridine in the presence of an acid catalyst to form a resin bound 2-substituted-3-aminimidazo[1,2-a]pyridine; and (b) cleaving said resin bound 2-substituted-3-aminimidazo[1,2-a]pyridine substrate from said resin by reacting said substrate with an acyl halide having the formula RCOX [X = Cl, Br], to form a said 3-acylaminoimidazo[1,2-a]pyridine.

IT 24016-03-3, 2-Amino-3-(benzoxymethyl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aldehyde and isonitrile resin; preparation of 3-acylaminoimidazo[1,2-a]pyridines using an isonitrile resin)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 22 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:563723 CAPLUS
DOCUMENT NUMBER: 139:261217
TITLE: Solid-phase synthesis of imidazo[1,2-a]pyridines and imidazo[1,2-a]pyrimidines
AUTHOR(S): El Kazzouli, Said; Bertine-Raboin, Sabine; Mouaddib, Abderrahim; Guillaumet, Gerald
CORPORATE SOURCE: Institut de Chimie Organique et Analytique, Universite d'Orleans, UMR CNRS 6005, Orleans, 45067, Fr.
SOURCE: Tetrahedron Letters (2003), 44(33), 6265-6267
CODEN: TLEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:261217

AB The synthesis of imidazo[1,2-a]pyridine and imidazo[1,2-a]pyrimidine derive, by condensation between an α -bromoketone bound to solid support and various 2-aminopyridine or 2-aminopyrimidine derivative, was described. Either an acid labile linker or a base labile linker was used in this study.

IT 391906-03-5
RL: RCT (Reactant); RACT (Reactant or reagent)

(solid-phase synthesis of imidazopyridines and imidazopyrimidines)
RN 391906-03-5 CAPLUS
CN 2-Pyridinamine, 3-phenoxy- (9CI) (CA INDEX NAME)

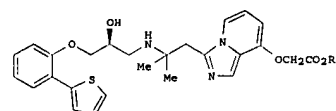
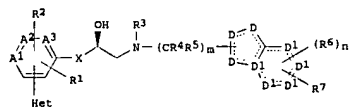


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 23 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:417747 CAPLUS
DOCUMENT NUMBER: 139:6871
TITLE: Preparation of N-heterocyclylalkyl-1-aryloxyethanolamines as beta 3 adrenergic agonists
INVENTOR(S): Bastian, Jolie Anne; Ruehter, Gerd; Sall, Daniel Jon; Schotten, Theo
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044017	A1	20030530	WO 2002-US33625	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW, GH, GM, KE, LG, MG, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
AU 2002353844	A1	20030610	AU 2002-353844	20021112
EP 1448561	A1	20040825	EP 2002-789218	20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005518357	T2	20050623	JP 2003-545654	20021112
US 2005020618	A1	20050127	US 2004-495133	20040507
PRIORITY APPL. INFO.:			US 2001-334031P	P 20011120
			US 2001-341817P	P 20011215
			WO 2002-US33625	W 20021112

OTHER SOURCE(S): MARPAT 139:6871
OI

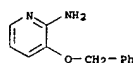


AB The present invention relates to β 3-adrenergic receptor agonists of formula (I) or a pharmaceutical salt thereof (wherein m = 1-5; n = 0-2; A1, A2, A3 = C or N provided that only one of A1-A3 can be N; D = C or N provided that at least one D must be N; D1 = C or N provided that only one D1 can be N; and further provided that the total number of D and D1 that are N must be two and only two; Het = an optionally substituted, optionally benzo-fused 5 or 6 membered heterocyclic ring; R1, R2 = H, halo, HO, C1-6 alkyl or alkoxy, C1-4 haloalkyl, or SO2(C1-6 alkyl); R3 = H, C1-6 alkyl; R4, R5 = H, C1-6 alkyl; or R4 and R5 combine with the carbon to which they are both attached to form a C3-7 carbocyclic ring; R6 = halo, HO, cyano, C1-6 alkyl, C1-4 haloalkyl or C1-6 alkoxy; R7 = H, CO2R8, CONR8R9, CH2CH2R9, NR8R9, NR8R9R10, O(CR10R11)R12, O(CR10R11)R13, SO2R8, SO2NR8R9, optionally substituted Ph or optionally substituted heterocycle; R is absent or OCH2 or SCH2; p = 0-3; q = 1-3; R8 = H, C1-6 alkyl, Ph, etc.; R9 = cyano, CO2R14, CONR14R15, SO2R14, heterocycle or optionally substituted phenyl; R10, R11 = H, C1-6 alkyl; R12 = H, CO2R15, CONR15R16, SO2R15, SO2NR16R16, optionally substituted Ph or optionally substituted heterocycle; R13 = cyano, NR16R16, NR16SO2R16, OR16; R14, R15, R16 = H, C1-6 alkyl, Ph, etc.] or pharmaceutical salts thereof. These compounds are useful for treating Type II diabetes and/or obesity. Thus, a vial was charged with a solution of [3-(2-amino-2-methylpropyl)pyrido[1,2-c]imidazol-8-yloxy]acetic acid Et ester (0.2 M in tert-butanol, 300 μ M) and a solution of 2-(2-glycidyloxyphenyl)thiophene (0.2 M in DMSO, 300 μ M), sealed, heated to 80° for 16 h, cooled to room temperature, and passed over a cation exchange column, removing the impurities by eluting with methanol, and eluting the product with 1 N methanolic ammonia. Under these chromatog. conditions the product ester was hydrolyzed (about 66%) for the corresponding acid. Further purification of the mixture was achieved by flash chromatog. on silica gel using a methylene chloride/ethanolic ammonia gradient (100 to 95/5) and the desired fractions were evaporated, dissolved in a small volume of methylene chloride and treated with excess 1 N ethanolic HCl to give the title compds. (II HCl; R = H, Et), namely (S)-2-[(3-{2-[(3-{2-(2-thienyl)phenoxy}-2-hydroxypropyl)amino]-2-methylpropyl}pyrido[1,2-c]imidazol-8-yloxy]acetic acid hydrochloride and RHO ester hydrochloride. In a scintillation proximity assay of c-AMP in CHO cell lines expressing human β 1, β 2, and β 3-adrenergic receptor, the % intrinsic activity of the compds. I was assessed relative to isoproterenol (nonselective β 3 agonist) by the compound's maximal response divided by the isoproterenol maximal response times 100 and found to be 10.0 to 90.6 \pm 4.4%.

IT 24016-03-3, 2-Amino-3-benzoxymethylpyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-heterocyclylalkyl-1-aryloxyethanolamines as

β 3-adrenergic agonists for treating Type II diabetes and/or obesity)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

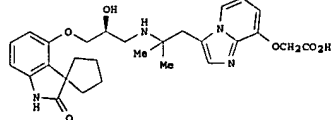
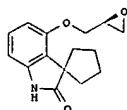
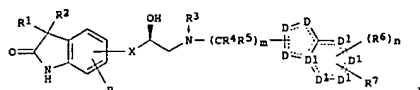


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 24 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:417746 CAPLUS
DOCUMENT NUMBER: 139:6870
TITLE: Preparation of 3-substituted oxindole derivatives as β 3-adrenergic receptor agonists
INVENTOR(S): Bastian, Jolie Anne; Ruehter, Gerd; Sall, Daniel Jon; Schotten, Theo
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044016	A1	20030530	WO 2002-US33624	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW, GH, GM, KE, LG, MG, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
AU 2002347982	A1	20030610	AU 2002-347982	20021112
EP 1448560	A1	20040825	EP 2002-784193	20021112
EP 1448560	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005514366	T2	20050519	JP 2003-545653	20021112
AT 297925	E	20050715	AT 2002-784193	20021112
ES 2242890	T3	20051116	ES 2002-2784193	20021112
US 2005020617	A1	20050127	US 2004-495085	20040507
PRIORITY APPL. INFO.:			US 2001-334034P	P 20011120
			WO 2002-US33624	W 20021112

OTHER SOURCE(S): MARPAT 139:6870
OI

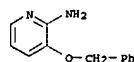


AB The present invention relates to β -adrenergic receptor agonists of N-heterocyclyl-1-(oxindolylalkoxy)ethanolamines represented by formula (I) or pharmaceutical salts thereof (wherein m = 1-5; n = 0-2; each D = C or N provided that at least one D must be N; each D1 = C or N provided that only one D1 can be N; and further provided that the total number of D and D1 that are N must be two and only two; R = H, cyano, halo, Cl-6 alkyl, Cl-4 haloalkyl, CO₂R, CONR₂, NR₂CO₂R, NR₂R, OR, SR, SO₂R, SO₂NR₂ or SO₂NR₂R; R1 = H, Cl-6 alkyl, benzyl; R2 = Cl-6 alkyl, benzyl; or R1 and R2 combine with the carbon to which each are attached to form a C3-7 carbocyclic ring; provided that if R2 is C2-6 alkyl or benzyl, then R1 must be H; R3 = H, Cl-6 alkyl; R4, R5 = H, Cl-6 alkyl; or R4 and R5 combine with the carbon to which they are both attached to form a C3-7 carbocyclic ring; R6 = halo, HO, cyano, Cl-6 alkyl, Cl-4 haloalkyl, Cl-6 alkoxy; R7 = H, CO₂R, CONR₂, CH₂CH₂OR, CH₂CH₂NR₂, NR₂SO₂R, O(CR₁R₂)₂OR, O(CR₁R₂)₂NR₂, each (un)substituted Ph or heterocycle; X = absent, OCH₂, SCH₂; p = 0-3; q = 1-3; R8, R9 = H, Cl-6 alkyl, Ph, etc.; R10 = cyano, CO₂R, CONR₂, SO₂NR₂, SO₂NR₂R, SO₂R, heterocycle, (un)substituted Ph; R11, R12 = H, Cl-6 alkyl; R13 = H, CO₂R, CONR₂, SO₂R, SO₂NR₂, SO₂NR₂R, each (un)substituted Ph or heterocycle; R14 = cyano, NR₂CH₂, NR₂CH₂CH₂, R15, R16, R17 = H, Cl-6 alkyl, Ph, etc.). These compds. are useful for treating Type II diabetes and/or obesity. Thus, a vial was charged with a solution of tert-butyl 2-[(3-(2-amino-2-methylpropyl)imidazo[1,2-a]pyridin-8-yl)oxy]acetate (preparation given) (319 mg, 1 mmol) and epoxide (II) (preparation given) (259 mg, 1 mmol) in 5 mL ethanol, sealed, heated to 85° for 16 h, cooled to room temperature, and concentrated under reduced pressure, followed by purification using HPLC on a Hyperprep column C-18 using a water/acetonitrile gradient (9:1 up to 100% acetonitrile) containing 0.1% trifluoroacetic acid. The desired fractions were evaporated, dissolved in a small volume of CH₂Cl₂ and treated with excess 1 N ethanolic HCl to give, after evaporation of the volatiles, the title compound (III). In a scintillation proximity assay of c-AMP in CHO cell lines expressing human β 1, β 2, and β 3-adrenergic receptor, the % intrinsic activity of the compds. I was assessed relative to isoproterenol (nonselective β agonist) by the compound's maximal

response divided by the isoproterenol maximal response time 100 and found to be 32.4; 5.0 to 79.8; 2.6%.

IT 24016-03-3, 2-Amino-3-benzoyloxypyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(Preparation of N-heterocyclyl-1-(oxindolylalkoxy)ethanolamine derivs. as β -adrenergic receptor agonists for treating type II diabetes and/or obesity)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



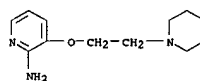
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 25 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:354665 CAPLUS
DOCUMENT NUMBER: 139:127739
TITLE: A novel orally active inhibitor of HLE
AUTHOR(S): Varga, Marton; Kapui, Zoltan; Batori, Sandor; Nagy, Lajos T.; Vasvari-Debrecezy, Lelle; Mikus, Endre; Urban-Szabo, Katelin; Aranyi, Peter
CORPORATE SOURCE: Discovery Research, Chinoin Co. Ltd., Budapest, H-1045, Hung.
SOURCE: European Journal of Medicinal Chemistry (2003), 38(4), 421-425
CODEN: EJMCAS; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Human leukocyte elastase (HLE) is a serine proteinase, capable of degrading a variety of structural matrix proteins. SSR69071 2-[(4-isopropyl-6-methoxy-1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)methoxy]-9-(2-piperidin-1-ylethoxy)-4H-pyrido[1,2-a]pyrimidin-4-one was selected as a novel orally active HLE inhibitor for treatment of chronic obstructive pulmonary diseases, asthma, emphysema, cystic fibrosis and several inflammatory diseases.

IT 171346-71-7P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Inovel orally active inhibitor of HLE)

RN 171346-71-7 CAPLUS
CN 2-Pyridinamine, 3-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

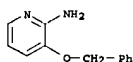
L22 ANSWER 26 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:352454 CAPLUS
DOCUMENT NUMBER: 139:117389

TITLE: Regioselective Synthesis of 3-Substituted Imidazo[1,2-a]pyridines, Imidazo[1,2-a]pyrimidines, and Imidazo[1,2-c]pyrimidine
AUTHOR(S): Katritzky, Alan R.; Xu, Yong-Jiang; Tu, Hongbin
CORPORATE SOURCE: Center for Heterocyclic Chemistry Department of Chemistry, University of Florida, Gainesville, FL, 32611-7200, USA
SOURCE: Journal of Organic Chemistry (2003), 68(12), 4935-4937
CODEN: JOCCAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:117389

AB 3-Substituted imidazo[1,2-a]pyridines, imidazo[1,2-a]pyrimidines, and imidazo[1,2-c]pyrimidine were obtained regioselectively in yields of 35-92% in one pot by reaction of 2-aminopyridines or 2-(or 4-)aminopyrimidines, resp., with 1,2-bis(benzotriazolyl)-1,2-(diethylamino)ethanes.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(regioselective synthesis of 3-Substituted imidazo[1,2-a]pyridines, imidazo[1,2-a]pyrimidines, and imidazo[1,2-c]pyrimidines)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



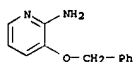
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 27 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:293549 CAPLUS
DOCUMENT NUMBER: 139:117385
TITLE: Synthesis of 4-trifluoromethylpyrido[1,2-a]pyrimidin-2-ones utilizing activated alkynoates
AUTHOR(S): Harriman, Geraldine C. B.; Chi, Shannon; Zhang, Min; Crowe, Andrew; Bennett, Robert A.; Parsons, Ian
CORPORATE SOURCE: Millennium Pharmaceuticals Inc., Cambridge, MA, 02139, USA
SOURCE: Tetrahedron Letters (2003), 44(18), 3659-3662
CODEN: TETLEA; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:117385

AB The synthesis of the biol. relevant, 4-trifluoromethylpyrido[1,2-a]pyrimidin-2-one, is reported. Addition of substituted 2-aminopyridines to activated alkynoates leads to the facile formation of metabolically stable trifluoromethyl substituted pyrido[1,2-a]pyrimidines under mild conditions.

IT 24016-03-3P, 2-Amino-3-benzoyloxypyridine
RL: SPN (Synthetic preparation); PREP (Preparation)
(Preparation of 4-trifluoromethylpyrido[1,2-a]pyrimidin-2-ones by cyclization of aminopyridines with activated alkynoates)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

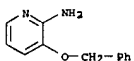
L22 ANSWER 28 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:198640 CAPLUS
DOCUMENT NUMBER: 139:198640
TITLE: Heterocyclic amides and pharmaceuticals containing them as hypoglycemic agents
INVENTOR(S): Fujita, Takashi; Oguchi, Minoru; Honma, Eiji; Fujimura, Toshiko; Ogawa, Junko; Kurakata, Shinichi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003064056	A2	20030305	JP 2001-255423	20010827
PRIORITY APPL. INFO.: MARPAT 138:198640				
JP 2001-255423			JP 2001-255423	20010827

AB Pharmaceuticals, useful for prevention and treatment of diabetes mellitus, its complications, and insulin resistance, contain ArXCONHYR (I; Ar = 3,5-di-tert-butyl-4-hydroxyphenyl; R = 5- to 10-membered heterocyclyl; X, Y = single bond, C2-5 alkenylene, Cl-5 alkylene). 3,5-Di-tert-butyl-4-hydroxybenzoic acid (12.0 g) was amidated by 4.51 g 2-aminopyridine in THF in the presence of Et₃N and di-tert-butylcyanophosphonate at room temperature for 10 h to give 14.0 g I (X = Y = single bond, R = 2-pyridyl), which was added to a feed at 0.01% and administered to hyperglycemic mice for 3 days to show 27% decrease of blood glucose level.

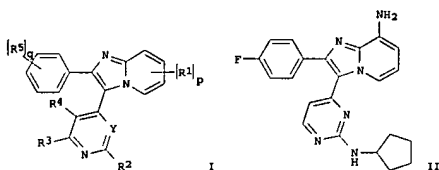
IT 24016-03-3, 2-Amino-3-benzoyloxypyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(Preparation of heterocyclic amides as hypoglycemic agents)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

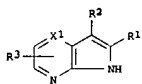


L22 ANSWER 29 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:5958 CAPLUS
DOCUMENT NUMBER: 138:73266
TITLE: Preparation of imidazo[1,2-a]pyridines for the prophylaxis and treatment of herpes viral infections
INVENTOR(S): Gudmundsson, Kristjan; Johns, Brian A.
PATENT ASSIGNEE(S): Smithline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXDA
DOCUMENT TYPE: Patent

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006089	A1	20030103	WO 2002-158520	20020610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MK, MN, MU, MV, NZ, OM, OS, PA, PG, PH, PT, RU, SD, SE, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MW, NZ, SD, SL, SZ, TT, UG, ZM, ZW				
QY, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BG, CP, CO, CI, CM, CA, GN, GO, GM, ML, NR, NE, SN, TD, TG				
CA 2545008	A	20031119	NZ 2002-525968	20020610
CZ 295958	A	20040331	EP 2002-735833	20020610
EP 1401836	A1	20040331	FR, GB, IT, LT, LU, NL, SR, MC, PT, IE, SI, LV, FI, RO, MK, BY, AL, TR	20020610
BR 2002010464	A	20040720	BR 2002-10464	20020610
BR 1918550	A	20040720	CN 2002-145498	20020610
JP 2005003115	T2	20050106	JP 2003-507092	20020610
ZA 200508726	A	20050210	ZA 2003-8726	20031110
US 2005228004	A1	20050113	US 2003-479526	20031023
PRIORITY APPLN. INFO. :			US 2001-300099P	P 20010621
			WO 2002-158520	W 20020610
OTHER SOURCE(S) :				
GI		MARPAT 138:73266		



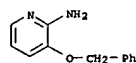
AB	The title compds II, p. 0-4; R1 = halo, alkyl, alkenyl, etc.; R2 = halo, alkyl, cycloalkyl, etc.; Y = N, CH, R3, R4 = H, halo, alkyl, etc.; q = 0-5; R5 = halo, alkyl, alkenyl, etc.; were prepared E.g., a 7-atep synthesis of II, starting from 2-amino-3-nitropyridine and 2-bromo-4'-fluoracetophenone, which showed IC50 of 0.6 μ M against HSV-1, was given
IT	24016-03-3, 3-Benzyloxypyridin-2-amine RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of imidazo (1,2-a)pyridines for the prophylaxis and treatment of herpes virus infections)
RN	24016-03-3 CAPLUS
CN	2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



AS The invention is directed to physiol. active azinolezols (shown as I; variables defined below, e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compns. containing such compds.; and their prodrgs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrgs. Such compds. and compns. have valuable pharmaceutical properties, in particular, as protein kinase inhibitors, especially Syk, FAK, ROR2, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example preps. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by Z1 groups = alkynylenedioxy, alkanyl, alkynyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, cyano, halo, hydroxy, alkyl, alkoxy, -C(O)OR5, -C(O)NY12, -NY1Y2, -N(R6)(C(O)R7), -N(R6)(C(O)NY1Y2, -N(R6)(C(O)OR7), -N(R6)(SO2R7), -N(R6)(SO2NY1Y2, -SO2NY1Y2 and -Z2R. R = H, aryl, cyano, halo, halo, lower alkanyl, -Z2R4, -SO2NY1Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -N(R6)(C(O)R, -N(R6)(C(O)NY1Y2, -N(R6)(C(O)OR7, -N(R6)(SO2NY1Y2, -SO2NY1Y2 and -Z2R. R1 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY1Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -N(R6)(R6)(C(O)OR7, -N(R6)(C(O)NY1Y2, -N(R6)(SO2NY1Y2, -Z2R and Z2 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkanyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; R1 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)(C(O)OR7, -N(R6)(C(O)NY1Y4, -N(R6)(SO2R7, -N(R6)(SO2NY1Y4, -Z2R7 and Z2 hydroxy, alkoxy and carboxy. X1 = H, CH, C-aryl, heteroaryl, C-heterocycloalkyl, C-heterocycloalkylalkyl, C-N, C-R4, C-CR4, C-CR4(C(O)R5), C-CR4(C(O)OR5, CC(O)NY1Y2, CN(R6)(C(O)R, CN(R6)(C(O)OR7, CN(R6)(C(O)NY1Y4, CN(R6)(SO2NY1Y4, CN(R6)(SO2R, CSO2NY1Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by Z1 aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -C(O)OR7, -C(O)OR7, -N(R6)(C(O)NY1Y2, -N(R6)(C(O)OR7, -N(R6)(SO2NY1Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by Z1 aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY1Y4, -C(O)OR5, NY1Y4, -N(R6)(C(O)R7, -N(R6)(C(O)NY1Y4, -N(R6)(SO2R7, -N(R6)(SO2NY1Y4, -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; Z1 and Z2 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O); Z3 = O, S(O), NR6; n = 0-3.

IT 24016-03-3, (3-(Benzoyloxy)pyridin-2-yl)amine
 Ru: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of azinolezols as protein kinase inhibitors with therapeutic

RN 24016-03-3 CAPLUS
 R2 2-Pyridinamine, 3-(phenylmethoxy)- (3CI) (CA INDEX NAME)

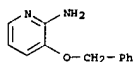


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 30 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5957 CAPLUS
DOCUMENT NUMBER: 138:55984
TITLE: Preparation of azaindoles as protein kinase inhibitors
INVENTOR(S): Cox, Paul Joseph; Mejid, Tahir Nadeem; Lai, Justin;
Youn Quai; Morley, Andrew; Amendola, Shelley; Depreux,
Stephanie Daniele; Edlin, Chris; Gardner, Charles J.;
Kominos, Dorothea; Pedgrift, Brian Leslie; Halley,
Frank; Gillespy, Timothy Alan; Edwards, Michael;
Clure, Francois Frederic; Nemecek, Conception;
Houille, Olivier; Demour, Dominique; Bouchard, Herve;
Beard, Daniel; Carrez, Chantal
PATENT ASSIGNEE(S): Aventis Pharma Limited, UK
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006688	A1	20030103	WO 2002/G2799	20020620
W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GR, GU, HK, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MW, MZ, NA, NZ, OM, PH, PL, PT, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IL, IN, JP, KE, MG, NL, NO, NZ, OM, PH, BV, BF, CP, CI, CM, CA, GN, GO, GT, HK, MD, MR, NE, NG, TD, TG				
CA 2451768	AA	20030103	CA 2002-2451678	20020620
EP 1397360	AA	20040107	EP 2002-730531	20020620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200400015	B	20040115	BR 2004-015	20020620
BR 2002010507	A	20040615	BR 2002-10507	20020620
SI 21462	C	20041031	SI 2002-20015	20020620
JP 2004534826	T2	20041118	JP 2003-507091	20020620
US 200453931	A1	20040318	US 2002-177804	20020621
US 200452207	B2	20050502		
ZA 2003009648	A	20050311	ZA 2003-9648	20031211
BG 106481	A	20050531	BG 2003-108481	20031219
US 2005267304	A1	20051201	US 2004-995103	20041123
PRIORITY PAMPL. INFO.:			GB 2001-15109	A 20010621
			US 2002-030573P	P 20020620
			WO 2002/G2799	W 20020620
			US 2002-177804	A1 20020621

OTHER SOURCE(S) : MARPAT 138:55984
GI

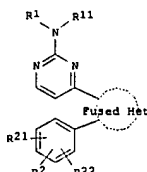


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

122	ANSWER 31 OF 144	CAPLUS	COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:		2003:5951	CAPLUS
DOCUMENT NUMBER:		138:73265	
TITLE:		Preparation of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compounds with therapeutic uses	
INVENTOR(S):		Biffo, Teofano; Collett, Steven L.; McIntyre, Charles J.; Schmatz, Dennis W.; Fang, Dennis D.; Ooherty, James B.; Liang, Gui-Bai; Liverton, Nigel J.; Berezai, Richard; Berger, Richard; Claremont, David A.; Kovacs, Ernest W.; Qian, Xiaoxia	
PATENT ASSIGNEE(S):		Merck & Co., Inc., USA	
SOURCE:		PCT Int. Appl., 280 pp.	
		CODEN: PIXXD2	
DOCUMENT TYPE:		Patent	
LANGUAGE:		English	
FAMILY ACC. NUM. COUNT:		1	
PATENT INFORMATION:			

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003/006682	A1	200310103	WO 2002-US19507	200206261
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, ES, EC, EE, EG, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, JP, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MX, MY, NZ, PA, PE, PG, PT, RO, RU, SD, SE, SG, SI, SK, SL, TH, TN, TR, TT, TZ, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RM: GH, GM, KE, LS, MW, MT, SD, SL, SZ, TZ, UZ, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SR, BF, BF, BJ, CG, CF, CI, CM, CA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465055	AA	200310103	WO 2002-1450555	200206261
CA 2465036	A1	20040909	WO 2002-1450567	200206261
PRIORITY APPLN. INFO.:			WO 2001-30074-8	P 20010625
			WO 2002-US19507	WO 200206261

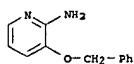
OTHER SOURCE(S) : MARPAT 138:73265
GI



AB (pyrimidinyl)(phenyl)substituted fused heteroaryl compds. (shown as I: variables define below; e.g. (2-(4-fluorophenyl)-3-(2-((6-1-phenylethylamino)pyrimidin-4-yl)imidazo[1,2-a]pyridin-7-yl)methanol) and pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF- α in monocytes and also IL-1 β , IL-6 and PGE2 production with IC50 <5 μ M. The "Fused Het" in I may be optionally substituted radicals derived from imidazo[1,2-a]pyridine, imidazo[1,2-a]pyrimidine, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -Cl-alkyl, -C(O)(C1-6alkyl), -C(O)-C1-6-alkylaryl, -CO-4alkylaryl, -CO-4alkylindanyl, -CO-4alkylimidazolyl, -CO-4alkylthiazolyl, -CO-4alkylpyrazolyl, -CO-4alkyloxadiazolyl, -CO-4-alkyl-C3-6-cycloalkyl, -CO-4alkyl-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -CO-4alkylthiazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuranyl, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC4O2alkyl), -C1-4-alkyl-(heterocycloC5O1alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -Cl-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -Cl-alkyl. Although the methods of preparation are not claimed, many example preps. are included.

IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (pyrimidinyl)(phenyl)substituted fused heteroaryl p38 inhibiting and COM-9 dependent protein kinase inhibiting compds. with therapeutic uses)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



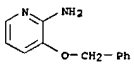
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 32 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:977804 CAPLUS
DOCUMENT NUMBER: 137:337884
TITLE: Preparation of N-formyl-N-hydroxylamino-substituted pyrrolidine derivatives as inhibitors of peptidyl deformylase

INVENTOR(S): Patel, Dinesh V.; Yuan, Zhengyu; Jain, Rakesh K.; Garcia Alvarez, Salvador; Jacobs, Jeffrey
Vericor, Inc., USA, Novartis AG

PATENT ASSIGNEE(S): PCT Int. Appl., 69 pp.
SOURCE: CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102790	A1	20021227	WO 2002-EP6604	20020614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 33 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:869496 CAPLUS
DOCUMENT NUMBER: 137:363033
TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Annmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoumeng; Hu, Zhenjian
Can.

PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
SOURCE: CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

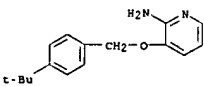
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124
US 2004058864	A1	20040325	US 2003-412701	20030410
US 2004006011	A1	20040108	US 2003-425557	20030428
PRIORITY APPLN. INFO.: US 2000-491078 A2 20000124				
US 1996-21612P P 19960712				
US 1597-893534 A1 19970711				
US 2000-507102 A1 20000217				
US 2001-769145 B1 20010124				
US 2001-6982 A2 20011204				

OTHER SOURCES(S): MARPAT 137:363033

AB Peptidomimetics of cyclic peptide, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT 81066-61-7, 2-Pyridinamine, 3-[[4-(1,1-dimethylethyl)phenyl]methoxy]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 81066-61-7 CAPLUS
CN 2-Pyridinamine, 3-[[4-(1,1-dimethylethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)

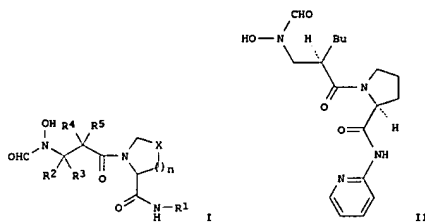


HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, ME, MG, MK, MN, MU, MV, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZN, ZW

RM: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

CA 2448526	AA 20021227	CA 2002-2448526	20020614
US 2003045479	A1 20030306	US 2002-171706	20020614
EP 1401828	A1 20040331	EP 2002-754681	20020614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, TR			
CH 1511152	A 20040707	CH 2002-810596	20020614
BR 2002010377	A 20040810	BR 2002-10377	20020614
JP 2005052606	T2 20050127	JP 2003-506263	20020614
NZ 529489	A 20051028	NZ 2002-529489	20020614
ZA 2003008379	A 20040521	ZA 2003-8379	20031028
PRIORITY APPLN. INFO.: US 2001-298419P P 20010615			
US 2002-360313P P 20020227			
WO 2002-EP6604 W 20020614			

OTHER SOURCE(S): MARPAT 138:55863
GI



AB Title compds. I (X = CH2, S, CHOH, CH-alkoxy, CHSH, etc.; R1 = (hetero)aryl; R2-5 = H, alkyl, etc.; n = 0-3 provided that when n = 0, X = CH2) are prepared for instance, (S)-2-(chlorocarbonyl)pyrrolidine-1-carboxylic acid benzyl ester is used to acylate 2-aminopyridine and the resulting amide deprotected and coupled to (2R)-2-[(benzyloxyformylamino)methyl]hexanoic acid (preparation given; dioxane, HATU, i-PrNEt) to give II. IC50 of selected examples of I against MMP-7 ranges from >10 μ M to >100 μ M, whereas the IC50 of these same compds. against zinc-containing peptidyl deformylase (PDF) ranges from about 0.005 μ M to 5 μ M, and against nickel-containing PDF ranges from about 0.001 μ M to about 0.3 μ M. I are useful for preventing contamination of a cell culture medium.

IT 24016-03-3, (3-Benzoyloxy-pyridin-2-yl)amine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-formyl-N-hydroxylamino-substituted pyrrolidine derivate as inhibitors of peptidyl deformylase)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

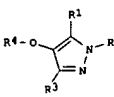
L22 ANSWER 34 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:832763 CAPLUS
DOCUMENT NUMBER: 137:337884
TITLE: Preparation of aryloxy pyrazole derivatives as reverse transcriptase inhibitors for treating HIV

INVENTOR(S): Jones, Lyn Howard; Mowbray, Charles Eric; Price, Davis Anthony; Selby, Matthew Duncan; Stupples, Paul Anthony
Pfizer Limited, UK; Pfizer Inc.

PATENT ASSIGNEE(S): PCT Int. Appl., 306 pp.
SOURCE: Patent: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085860	A1	20021031	WO 2002-IB1234	20020404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SD, SE, SG, SI, SL, TJ, TM, TN, TR, TT, TZ, UA, UO, US, UZ, VN, YU, ZA, ZW, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UO, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GG, GW, ML, MR, NS, SN, TD, TG				
CA 2443449	AA	20021031	CA 2002-2443449	20020404
EP 1377556	A1	20040107	EP 2002-708600	20020404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, TR				
EE 200300497	A	20040216	EE 2003-497	20020404
BR 2002008811	A	20040309	BR 2002-8811	20020404
CH 1514828	A	20040721	CH 2002-811625	20020404
NZ 529403	A	20050624	NZ 2002-529403	20020404
US 2003100554	A1	20030529	US 2002-118512	20020405
ZA 2003007095	A	20040910	ZA 2003-7095	20030910
US 20050430	A	20050430	US 2003-108244	20031008
WO 2003004523	A	20031209	WO 2003-6523	20031009
US 2006020012	A1	20060326	US 2005-157340	20050620
PRIORITY APPLN. INFO.: GB 2001-8999 A 20010410				
GB 2001-27426 A 20011115				
US 2001-289570P P 20010508				
US 2001-346729P P 20020107				
WO 2002-IB1234 W 20020405				
US 2002-118512 A3 20020405				

OTHER SOURCE(S): MARPAT 137:337884
OI

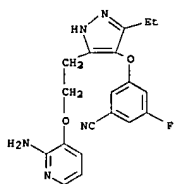


AB This invention relates to pyrazole derivate. (shown as I: e.g. 2-Amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-

4(3H-pyrimidinone) or pharmaceutically acceptable salts, solvates or derivative thereof, wherein R1 to R4 are defined below, and to processes for the preparation thereof, intermediates used in their preparation of, compns. containing them and the uses of such deriva. The compds. of the present invention bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof. As such the compds. of the present invention are useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated. Disorders of interest include those caused by Human Immunodeficiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS). In tests of inhibition of HIV-1 reverse transcriptase enzyme, the claimed compds. 2-amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-4(3H)-pyrimidinone, 3,5-dimethyl-4-[[3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol-4-yl]oxy]benzonitrile and 1-(3-azetidiny)-4-[[3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazole had IC50 values of 39,000, 3,100 and 248 nM, resp. In 1: R1 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R10, -CONR5R10, R8 or R9. R2 is H, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, C3-C7 cycloalkyl, C3-C7 cycloalkenyl, Ph, benzyl, R8 or R9; or, R1 and R2, when taken together, represent unbranched C3-C4 alkylene. R3 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R5, -CONR5R5, R8 or R9; R4 is Ph, naphthyl or pyridyl. Definitions of R5 and R7-R10 and addnl. specifications are given in the claims. Included are 263 claimed-compound preps. and 115 intermediate preps.

IT 473921-45-0P, 3-Fluoro-5-[[3-ethyl-5-(2-((2-amino-3-pyridyl)oxy)ethyl)-1H-pyrazol-4-yl]oxy]benzonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aryloxy pyrazole deriva. as reverse transcriptase inhibitors for treating HIV)

RN 473921-45-8 CAPLUS
CN Benzonitrile, 3-[[5-[[2-((2-amino-3-pyridyl)oxy)ethyl]-3-ethyl-1H-pyrazol-4-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 35 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:612669 CAPLUS
DOCUMENT NUMBER: 136:34511
TITLE: Solvent-free syntheses of salicylaldehydes assisted by microwave irradiation
AUTHOR(S): Yang, Haijian; Sun, Wen-Hua; Li, Zilong; Wang, Leyong
CORPORATE SOURCE: State Key Laboratory of Engineering Plastics and The Center for Molecular Science, Institute of Chemistry, The Chinese Academy of Sciences, Beijing, 100080.

US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GU, GO, GM, ML, MR, NE, SN, TD, TG

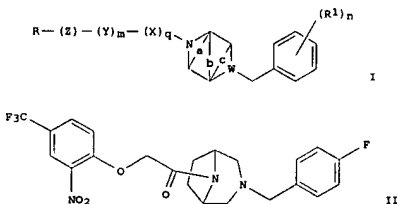
CA 2423789 A1 20020425 CA 2001-2423789 20011004
AU 2001052160 A5 20020429 AU 2001-92160 20011004
EP 1326867 A2 20020716 EP 2001-972089 20011004

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EE 200300189 A 20031015 EE 2003-189 20011004
BR 2001014697 A 20031118 BR 2001-14697 20011004
JP 2004515558 T2 20040415 JP 2002-536283 20011004
WZ 524742 A 20041124 WZ 2001-524742 20011004
US 2002113961 A1 20020829 US 2001-972177 20011005
ZA 2003002157 A 20040422 ZA 2003-2157 20030318
BG 107655 A 20040130 BG 2003-107655 20030320
NO 2003001572 A 20030610 NO 2003-1572 20030408

PRIORITY APPLN. INFO.: US 2000-241804P P 20001019
WO 2001-1B1844 W 20011004

OTHER SOURCE(S): MARPAT 136:340711
GI



AB Compds. I and their pharmaceutically acceptable salts, useful for treatment of inflammation and other immune disorders, are disclosed [wherein: n = 1-5; m = 1-5; q = 0-1; a, b, c = (CH2)0-4 (independently); a, b, and c cannot all be null; if a and/or c is not null, then b must be null; W = CH or N; X = CO, C(S), or CH2; Y = O, (un)substituted NH or (un)substituted CH2; R = certain (un)substituted (hetero)aryl or (hetero)cycloalkyl; R1 = (independently) H, OH, SO3H, halo, alkyl, SH, CF3, wide variety of other substituents]. The compds. are useful for treatment of a wide variety of diseases and disorders, which are cited specifically in claims. Approx. 100 specific examples of I are given, many with synthetic details. For example, 3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]octan-2-one (preparation given) underwent a sequence of: (1) reduction of the amide carbonyl using LiAlH4 (94%); (2) 8-N-acylation with chloroacetyl chloride (69%); and (3) etherification with 2-nitro-4-trifluoromethylphenol (58%), to give title compound II. In a bioassay for the ability to inhibit chemotaxis of various cells (THP-1 cells, primary human monocytes, or primary lymphocytes) in vitro, all example compds. had IC50 values of less than 10 µM.

IT 417726-84-2P, 2-(2-Amino-6-methylpyridin-3-yl)oxy-1-[3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bridged piperazine deriva. as inhibitors of

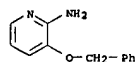
Peop. Rep. China
SOURCE: Synthetic Communications (2002), 32(15), 2395-2402
CODEN: SYNCAR; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:24511

AB A microwave-assisted condensation of salicylaldehyde and aryl amines without solvent were efficiently performed to form a series of salicylaldehydes in high yields, which were confirmed by IR, 1H NMR, 13C NMR and elemental analysis. The microwave-assisted condensation provided a convenient environmental-friendship methodol. for syntheses of Schiff-base in organic syntheses. Aldimines thus prepared included phenol deriva., e.g., 2-[[[(5-bromo-2-pyridinyl)imino]methyl]phenol], 2-[[[(5-ethyl-1,3,4-thiadiazol-2-yl)imino]methyl]phenol], 2-[[[(5-methyl-2-pyridinyl)imino]methyl]phenol], 2-[[[(3-chloro-5-(trifluoromethyl)-2-pyridinyl)imino]methyl]phenol], 2-[[[(2-hydroxyphenyl)methylene]amino]benzoic acid, etc.

IT 24016-03-3, 3-(Phenylmethoxy)-2-Pyridinamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(solvent-free preparation of 2-((arylimino)methyl)phenols (aldimines) from 2-hydroxybenzaldehyde and aryl amines assisted by microwave irradiation)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

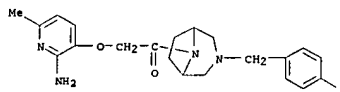
L22 ANSWER 36 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:314940 CAPLUS
DOCUMENT NUMBER: 136:340711
TITLE: Bridged piperazine derivatives, specifically 3,8-diazabicyclo[3.2.1]octane, 8-azabicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, and 3,9-diazabicyclo[3.3.1]nonane derivatives, useful as inhibitors of chemokines binding to CCR1 receptors, for treating inflammation and other immune disorders.

INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Glaude, Ronald Paul; Posa, Christopher Stanley
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032901	A2	20020425	WO 2001-1B1844	20011004
WO 2002032901	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				

chemokines binding to CCR1 receptors)

RN 417726-84-2 CAPLUS
CN 3,8-Diazabicyclo[3.2.1]octane, 8-[[[(2-amino-6-methyl-3-pyridinyl)oxy]acetyl]-3-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

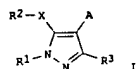


L22 ANSWER 37 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:93632 CAPLUS
DOCUMENT NUMBER: 136:325538
TITLE: Preparation of pyrazoles for the treatment of viral diseases

INVENTOR(S): Dymock, Brian William; Jones, Philip Stephen; Merrett, John Herbert; Parratt, Martin John
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030907	A1	20020418	WO 2001-EP11474	20011004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GU, GO, GM, ML, MR, NE, SN, TD, TG				
US 2003018197	A1	20030123	US 2001-956656	20011004
US 6699887	B2	20040302		
CA 2423515	A5	20020418	CA 2001-2423515	20011004
AU 2002021651	A5	20020422	AU 2002-21651	20011004
BR 2001014483	A	20030701	BR 2001-14483	20011004
EP 1326843	A1	20030716	EP 2001-986680	20011004
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JP 2004511469	T2	20040415	JP 2002-534293	20011004
WZ 524740	A	20050930	WZ 2001-524740	20011004
RU 2370832	A	20060227	RU 2003-112610	20011004
ZA 2003002519	A	20040630	ZA 2003-2519	20030331
NO 2003001615	A	20030523	NO 2003-1615	20030409
US 2004192752	A1	20040930	US 2004-766712	20040127
HK 1061021	A1	20050527	HK 2004-103975	20040603
PRIORITY APPLN. INFO.: GB 2000-24795 A 20001030 US 2001-956656 A3 20010920 WO 2001-EP11474 W 20011004				

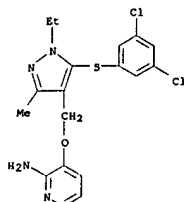
OTHER SOURCE(S): MARPAT 136:325538
GI



AB The title compds. [I: R1 = alkyl, cycloalkyl, aryl, etc.; R2 = aryl, (unsubstituted Ph); R3 = CH2(aryl), alkoxyalkyl; A = CH2(arylalkylamino), CH2(arylalkoxy), etc.; X = S, O] that are inhibitors of the human immunodeficiency virus reverse transcriptase enzyme which is involved in viral replication, were prepared. E.g., a 3-step synthesis of pyrazole I [R1 = Ph; R2 = 3,5-Cl2C6H3; X = S; R3 = Me; A = CH2Ph] which showed IC50 of 2060 nM against HIV-1 reverse transcriptase, was given.

IT 412326-54-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of pyrazoles as inhibitors of the HIV reverse transcriptase)
RN 412326-54-6 CAPLUS
CN 2-Pyridinamine, 3-[[5-[(3,5-dichlorophenyl)thio]-1-ethyl-3-methyl-1H-pyrazol-4-yl]methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 38 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2002:139987 CAPLUS

DOCUMENT NUMBER: 136:401294

TITLE: The rapid synthesis of Schiff-base without solvent under microwave irradiation
AUTHOR(S): Yang, Hai Jian; Sun, Wen Hua; Li, Zi Long; Ma, Zhi Seng
CORPORATE SOURCE: State Key Laboratory of Engineering Plastics and The Center for Molecular Sciences Institute of Chemistry, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China

SOURCE: Chinese Chemical Letters (2002), 13(1), 3-6

CODEN: CCLEST; ISSN: 1001-8417

PUBLISHER: Chinese Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:401294

AB A microwave-assisted preparation of a series of Schiff-base via efficient condensation of salicylaldehyde and aryl amines without solvent is

described in high yield as well as environmental friendship reaction in organic synthesis.

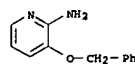
IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine

RL: RCT (Reactant); RACT (Reactant or reagent)

(Preparation of Schiff-base by condensation of salicylaldehyde with aryl amines without solvent under microwave irradiation)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 39 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2002:90040 CAPLUS

DOCUMENT NUMBER: 136:135022

TITLE: Preparation of heteroaryl-β-alanine derivatives as antiinflammatory agents and α4 integrin inhibitors

INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Weimaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Semko, Christopher; Xu, Ying-Zi

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

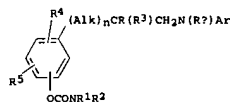
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2002008222	A2	20020131	MO 2001-US23096	20010720
MO 2002008222	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GW, GM, ML, MR, NE, SN, TD, TO				
US 2002068982	A1	20020704	US 2001-910431	20010719
PRIORITY APPLN. INFO.: MARPAT 136:135022				
OTHER SOURCE(S):				
GI				



AB Disclosed are a series of heteroaryl-β-alanine deriva. I wherein R is a carboxylic acid; R1 and R2 are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R1 and R2, together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; R3 and R4 are independently a hydrogen or a Me group; R5 and R6 are independently selected from the group consisting of heteroatom group; n is zero or an integer 1; Alk is a straight or branched alkylene chain; Ar is an optionally substituted aromatic or heteroarom. group, as well as their pharmaceutical use as α4β7 integrin inhibitors for the treatment of inflammatory diseases. Thus, 3-[(4-(3,5-dichloropyrid-4-ylcarboxamido)phenyl)-2-(3-chlorophenylamino)propanoic acid was prepared as α4 integrin inhibitor. The preferred compds. of the invention generally have IC50 values in the α4β1 and α4β7 assays of 1 μM and below. In the other assays featuring α4 integrins of other subgroups the same compds. had IC50 values of 50 μM and above thus demonstrating the potency and selectivity of their action against α4 integrins. Title compds. were prepared for treating an inflammatory condition in a mammalian patient which condition is mediated by Very Late Antigen 4 (VLA-4). Inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.

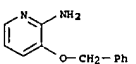
IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine

RL: RCT (Reactant); RACT (Reactant or reagent)

(Preparation of heteroaryl-β-alanine deriva. as antiinflammatory agents and α4 integrin inhibitors)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 40 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2002:90026 CAPLUS

DOCUMENT NUMBER: 136:135019

TITLE: Preparation of 3-amino-2-(4-aminocarbonyloxy)phenyl-propionic acid derivatives as antiinflammatory agents and α4 integrin inhibitors

INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Weimaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren

PATENT ASSIGNEE(S): B.; Grant, Francine S.; Xu, Ying-Zi
Elan Pharmaceuticals, Inc., USA; American Home Products Corporation

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

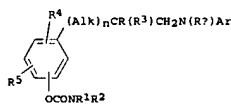
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2002008222	A1	20020131	MO 2001-US23096	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GW, GM, ML, MR, NE, SN, TD, TO				
US 2002055509	A1	20020509	US 2001-910685	20010720
US 6689781	B2	20040210		
US 2004074466	A1	20040701	US 2003-735499	20031212
PRIORITY APPLN. INFO.: US 2000-220134P P 20000721				
OTHER SOURCE(S): MARPAT 136:135019				
GI				



AB 3-Amino-2-(4-aminocarbonyloxy)phenyl-propionic acid deriva. I wherein R is a carboxylic acid; R1 and R2 are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R1 and R2, together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; R3 and R4 are independently a hydrogen or a Me group; R5 and R6 are independently selected from the group consisting of heteroatom group; n is zero or an integer 1; Alk is a straight or branched alkylene chain; Ar is an optionally substituted aromatic or heteroarom. group, as well as their pharmaceutical use as α4β7 integrin inhibitors for the treatment of inflammatory diseases. Thus, 3-[(4-(3,5-dichloropyrid-4-ylcarboxamido)phenyl)-2-(3-chlorophenylamino)propanoic acid was prepared as α4 integrin inhibitor. The preferred compds. of the invention generally have IC50 values in the α4β1 and α4β7 assays of 1 μM and below. In the other assays featuring α4 integrins of other subgroups the same compds. had IC50 values of 50 μM and above thus demonstrating the potency and selectivity of their action against α4 integrins. Title compds. were prepared for treating an inflammatory condition in a mammalian patient which condition is mediated by Very Late Antigen 4 (VLA-4). Inflammatory condition is selected from

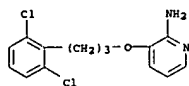
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Nc1cc(Cl)ccc1OCC1=CC=CC=C1Nc1ccc(Cl)cc1Oc2ccccc2

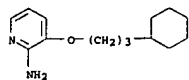
IT	79707-19-0P,	2-Amino-3-(3-phenylpropoxy)pyridine
	117523-95-2P,	2-Amino-3-[2-(trifluoromethyl)benzyloxy]pyridine
	381243-16-2P,	2-Amino-3-[(2,4-dichloromethyl)propoxy]pyridine
	381243-19-2P,	2-Amino-3-[(3,3,4-dimethoxyphenyl)propoxy]pyridine
	381243-24-9P,	2-Amino-3-[(2,6-dichlorophenyl)propoxy]pyridine
	381243-28-3P,	2-Amino-3-(3-cyclohexylpropoxy)pyridine
	381243-40-0P,	2-Amino-3-[(1'-phthalyl)propoxy]pyridine

Nc1cccc(c1)OCCCC2=CC=CC=C2Nc1ccncc1OCC2=CC=CC=C2C(F)(F)FNc1ccccc1OCCCNc2ccc(Cl)c(Cl)c2COc1ccc(cc1OC)COCCCOc2ccccc2N

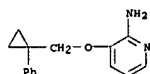
RN 381243-24-9 CAPLUS
CN 2-Pyridinamine, 1-[1-(2,6-dichlorophenyl)propoxy]- (9CI) (CA INDEX NAME)



RN 381243-26-3 CAPLUS
CN 2-Pyridinamine, 3-(3-cyclohexylpropoxy)- (9CI) (CA INDEX NAME)

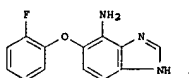


RN 381243-47-6 CAPLUS
CN 2-Pyridinamine, 3-[(1-phenylcyclopropyl)methoxy]- (9CI) (CA INDEX NAME)



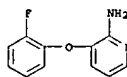
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 43 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:829991 CAPLUS
DOCUMENT NUMBER: 136:134465
TITLE: The studies of the intramolecular C-F...H-N hydrogen bonding using covalently-linked base pair models of F and A
AUTHOR(S): Shibata, Norio; Das, Biplob K.; Harada, Kazuyuki; Takeuchi, Yoshio; Bando, Masahiko
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Toyama Medical and Pharmaceutical University, Toyama, 930-0194, Japan
SOURCE: Synlett (2001), (11), 1755-1758
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Both 1H NMR and X-ray studies revealed that C-F...H-N

intramol. hydrogen bonding is not observed even in covalently-linked base pair models. These results strongly support E.T. Kool's hypothesis. X-ray crystallog. anal. of I shows that the fluoro group does not participate in any intramol. hydrogen bonding. The plane of the benzimidazole group is orthogonal to the plane of the fluorobenzene ring.
IT 391906-81-39 391906-83-59
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (1H NMR and X-ray studies of intramol. C-F...H-N hydrogen bonding using covalently-linked base pair models)
RN 391906-81-3 CAPLUS
CN 2-Pyridinamine, 3-(2-fluorophenoxy)- (9CI) (CA INDEX NAME)



RN 391906-83-5 CAPLUS
CN 2-Pyridinamine, 3-phenoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

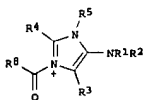
L22 ANSWER 44 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:798222 CAPLUS
DOCUMENT NUMBER: 135:344484
TITLE: Preparation of N-acylimidazopyridineamine chlorides and analogs as μ -opioid receptor ligands
INVENTOR(S): Gerlach, Matthias; Maul, Corinna
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXX2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2001081344	A1	20011101	WO 2001-EP3772	20010403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10019714	A1	20020110	DE 2000-10019714	20000420
CA 2402808	AA	20011101	CA 2001-2402808	20010403
EP 1274709	A1	20030115	EP 2001-931560	20010403

EP 1274709 B1 20040922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003531208 T2 20031021 JP 2001-578434 20010403
BR 2001010357 A 20040420 BR 2001-10357 20010403
NZ 521069 A 20040730 NZ 2001-521069 20010403
AT 277045 E 20040105 AT 2001-931560 20010403
PT 1274709 T 20050131 PT 2001-931560 20010403
ES 2227186 T3 20050401 ES 2001-1931560 20010403
RU 2268888 C2 20060127 RU 2002-130245 20010403
NO 2002004838 A 20021007 NO 2002-4838 20021007
US 2003119842 A1 20030626 US 2002-273344 20021018
ZA 2003009408 A 20040219 ZA 2002-9408 20021119
HK 1052703 A1 20050422 HK 2003-105003 20030710
DE 2000-10019714 A 20000420
WO 2001-EP3772 W 20010403

PRIORITY APPL. INFO.:

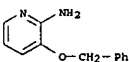
OTHER SOURCE(S): MARPAT 135:344484
GI



AB Title compds. (ICI-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted Ph, etc.; R2 = H or alkanyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un)substituted CH:CHCH:CH, CH:CHCH:CH, N:CHCH:CH, etc.; R6 = (cycloalkyl) were prepared. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R6 = Me). Data for biol. activity of II were given.

IT 24016-03-3, 2-Amino-3-benzoyloxypyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-acylimidazopyridineamine chlorides and analogs as μ -opioid receptor ligands)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



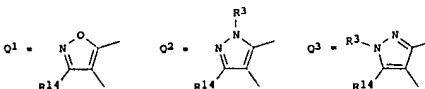
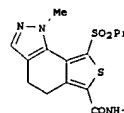
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 45 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:747795 CAPLUS
DOCUMENT NUMBER: 135:303882
TITLE: Preparation of thienobenzimidazole and thienobenzimidazole for prevention and treatment of bone or articular diseases
INVENTOR(S): Yasuma, Tsuneo; Mori, Akira; Kawase, Masahiro;

PATENT ASSIGNEE(S): Takizawa, Masayuki; Miki, Shokyo; Takeda, Mitsuhiro
Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 486 pp.
CODEN: PIXX2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074823	A2	20011011	WO 2001-JP2614	20010329
WO 2001074823	A3	20020207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AE, BY, BG, KE, MD, RU, TJ, TM				
RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400858	AA	20011011	CA 2001-2400858	20010329
JP 2002255971	A2	20020911	JP 2001-94980	20010329
EP 1268486	A3	20030102	EP 2001-917582	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003158245	A1	20030821	US 2002-204472	20020821
JP 2000-101373	A	20000331	JP 2000-101373	20000331
JP 2000-101374	A	20000331	JP 2000-101374	20000331
JP 2000-392843	A	20001225	JP 2000-392843	20001225
WO 2001-JP2614	W	20010329	WO 2001-JP2614	20010329

OTHER SOURCE(S): MARPAT 135:303882
GI

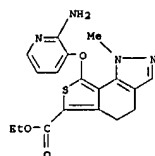


AB The title fused thiophene deriva. I [wherein R1 = (un)substituted hydrocarbon, heterocyclic, sulfonyl, sulfinyl, hydroxyl, thiol, or amino; R2 = CN, CHO, CHS, etc.; ring A = Q1, Q2, or Q3; R3 = H or (un)substituted hydrocarbon, heterocyclic, hydroxyl, amino, sulfonyl, or acyl; R4 = H, halo, (un)substituted hydrocarbon or heterocyclic group, etc.; ring B = (un)substituted 5- to 7-membered hydrocarbon ring] and their intermediates were prepared using industrially advantageous processes as prophylactic and

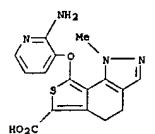
therapeutic drugs for bone or articular diseases. For example, cycloaddn. of MeNH₂H₂O with 5-diethoxymethyl-3-propylsulfanyl-4-oxo-4,5,6,7-tetrahydrobenzo[c]thiophene-1-carboxylic acid Et ester (preparation given) using HCl in EtOH (80%), followed by saponification (93%), amidation (79%), and oxidation with m-chloroperoxybenzoic acid (42%), gave 11. The latter enhanced chondromodulin-1 (Chn-1) mRNA expression in ATDC5, a substrain derived from mouse teratocarcinoma cell line AT805, with Chn-1 band d. of 10-6 M.

IT 364763-09-7P 364763-32-6P 364763-56-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of thienobenzoxazoles and thienindazoles for prevention and treatment of bone or articular diseases)

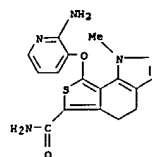
RN 364763-09-7 CAPLUS
 CN 1H-Thieno[3,4-g]indazole-6-carboxylic acid, 8-[(2-amino-3-pyridinyl)oxy]-4,5-dihydro-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



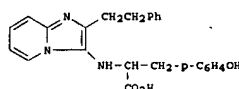
RN 364763-32-6 CAPLUS
 CN 1H-Thieno[3,4-g]indazole-6-carboxylic acid, 8-[(2-amino-3-pyridinyl)oxy]-4,5-dihydro-1-methyl- (9CI) (CA INDEX NAME)



RN 364763-56-4 CAPLUS
 CN 1H-Thieno[3,4-g]indazole-6-carboxamide, 8-[(2-amino-3-pyridinyl)oxy]-4,5-dihydro-1-methyl- (9CI) (CA INDEX NAME)



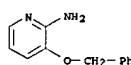
L22 ANSWER 46 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:585625 CAPLUS
 DOCUMENT NUMBER: 135:318674
 TITLE: Multi-component synthesis of imidazo[1,2-a] annulated heterocycles on u-isocyanato resin esters
 AUTHOR(S): Chen, Jack J.; Golebiowski, Adam; Klopfenstein, Sean R.; McLennaghan, Joel; Peng, Sean X.; Portlock, David E.; West, Laura
 CORPORATE SOURCE: Combinatorial Chemistry Group, Procter and Gamble Pharmaceuticals, Mason, OH, 45040, USA
 SOURCE: Synlett (2001), (8), 1263-1265
 CODEN: SYNLSE; ISSN: 0936-5214
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:318674
 GI



AB The multi-component synthesis of imidazo[1,2-a] annulated heterocycles, e.g. 1, was performed on the u-isocyanato resin esters. This solid phase approach addresses the limited availability issue of isocyanide reagents without compromising the overall diversity of the chemical

IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant for preparation of imidazo[1,2-a] annulated heterocycles with amino acids supported on Wang resins)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 47 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:545724 CAPLUS
 DOCUMENT NUMBER: 135:147398
 TITLE: Peptidomimetic modulators of cell adhesion
 INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shomeng; Hu, Zengjian
 PATENT ASSIGNEE(S): Adherex Technologies, Inc., Can.
 SOURCE: PCT Int. Appl., 416 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 15
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053331	A2	20010726	WO 2001-US2508	20010124
WO 2001053331	A3	20020711		
WO 2001053331	C2	20021031		

W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

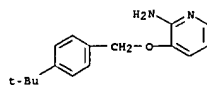
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, TD, TO

PRIORITY APPL. INFO.: MARPAT 135:147398
 OTHER SOURCE(S):

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT 81066-61-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (peptidomimetic modulators of cell adhesion)

RN 81066-61-7 CAPLUS
 CN 2-Pyridinamine, 3-[[4-(1,1-dimethylethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



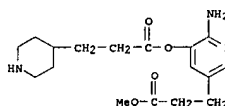
L22 ANSWER 48 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:522620 CAPLUS
 DOCUMENT NUMBER: 135:288715
 TITLE: Synthesis of substituted oxazolo[4,5-b]pyridine derivatives

AUTHOR(S): Grumel, Valerie; Merour, Jean-Yves; Guillemet, Gerald
 CORPORATE SOURCE: Institut de Chimie Organique et Analytique, UMR CNRS 6005, Universite d'Orleans, Orleans, 45067, Fr.
 SOURCE: Heterocycles (2001), 55(7), 1329-1345
 CODEN: HETCYM; ISSN: 0385-5414
 PUBLISHER: Japan Institute of Heterocyclic Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:288715

AB Synthesis of new functionalized oxazolo[4,5-b]pyridines was described. 5-Bromo-3-hydroxy-2-aminopyridine was heated, in the presence of PPSE or PPA, with 4-cyanobenzoic acid, (4-piperidinyl)acetic or propanoic acid to afford 1,3-oxazolo derivatives. Introduction of a carboxylic acid moiety on the pyridine framework was carried out using Heck reaction. The basic moiety, also required for GPIIb/GPIIIa antagonism, was generated by guanylation (no biol. test data).

IT 364385-44-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 364385-44-4 CAPLUS
 CN 3-Pyridinepropanoic acid, 6-amino-5-[1-oxo-3-(4-piperidinyl)propoxy]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 49 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:453064 CAPLUS
 DOCUMENT NUMBER: 135:46198
 TITLE: Saccharin derivatives as orally active elastase inhibitors

INVENTOR(S): Aranyi, Peter; Batori, Gabor; Desaille, Stephane; Hermecz, Istvan; Kapui, Zoltan; Leval, Ferenc; Mikus, Endre; Pascal, Marc; Nagy, Lajos T.; Simonot, Bruno; Urban Szabo, Katalin; Varga, Marton; Vaszarine Debrezy, Lelle

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044245	A1	20010621	WO 2000-RU130	20000124

W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, GD, KZ, MD, RU, TD, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IR, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

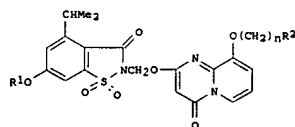
CA 2395486 AA 20010621 CA 2000-2395486 20001214
BR 2000016364 A 20020910 BR 2000-16364 20001214
EP 1255756 A1 20021113 EP 2000-985705 20001214

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 200316990 T2 20030520 JP 2001-544735 20001214
SE 200200317 A 20030616 SE 2002-317 20001214
ZA 2002004604 A 20040213 ZA 2002-4604 20020607
BO 106811 A 20021229 BO 2002-106811 20020611
NO 200202838 A 20020614 NO 2002-2838 20020614
US 2003114449 A1 20030619 US 2002-149569 20021007

PRIORITY APPL. INFO.: HU 1999-4624 A 19991217
WO 2000-HU130 W 20001214

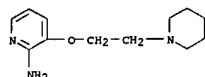
OTHER SOURCE(S): MARPAT 135:46196
GI



AB Saccharin derivs. I [R1 = Me, Et, 2-morpholinoethyl; R2 = piperidino, morpholino, 4-methylpiperazino; n = 2, 3] and their salts, solvates and hydrates were prepared for use as human leukocyte elastase inhibitors. Thus, 2-amino-3-pyridinol was treated with 1-(2-chloroethyl)piperidine and cyclized with CH2(CO2CH2CH2Cl)-2,4,6 to give 2-hydroxy-9-(2-piperidinoethoxy)-4-oxo-4H-pyrido[1,2-a]pyrimidine which was treated with 2-bromoethyl-4-isopropyl-6-methoxy-1,2-benzisothiazol-3(2H)-one 1,1-dioxide to give I [R1 = Me, R2 = piperidino, n = 2], which inhibited elastase activity by 80% at 10 mg/kg orally in mice.

IT 171346-71-7P 344931-02-8P 344931-07-3P
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of saccharin derivs. as orally active elastase inhibitors)

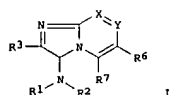
RN 171346-71-7 CAPLUS
CN 2-Pyridinamine, 3-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 344931-02-8 CAPLUS
CN 2-Pyridinamine, 3-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)

AU 780823 B2 20050421 AU 2000-75199 20000918
NO 2002001563 A 20020604 NO 2002-1563 20020403
US 2002183327 A1 20021205 US 2002-117334 20020408
EP 6849642 B2 20050201
ZA 2002003580 A 20030806 ZA 2002-3580 20020506
HK 1047748 A1 20041021 HK 2002-109383 20021228
DE 1999-19948434 A 19991008
DE 1999-19948437 A 19991008
WO 2000-EP9095 W 20000918

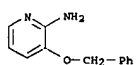
PRIORITY APPL. INFO.:
OTHER SOURCE(S): MARPAT 134:311219
GI



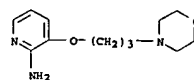
AB The title compds. [I; X, Y = CR4, N; X and Y cannot simultaneously = N; R4, R6, R7 = H, (branched) alkyl, NO2, amino, OR, CF3, halo, etc.; R1 = cyanoalkyl, (substituted) Ph, cycloalkyl, etc.; R2 = H, (branched) (substituted) alkyl, carbonyl, Ph, naphthyl, pyridyl, thiazolyl, etc.; R3 = (branched) alkyl, cycloalkyl, (substituted) Ph, naphthyl, pyrrolyl, pyridyl, etc.] were prepared Using a Zymark robotic synthesis system, 2,6-diamino-4-chloropyrimidine and HClO4 in CH2Cl2, furfural in CH2Cl2, and 1,6-diisocyanohexane in CH2Cl2 were added successively to a reaction tube at 15° followed by 11 h stirring at 15° to give 7-chloro-2-furan-2-yl-(6-isocyanohexyl)-imidazo[1,2-a]pyrimidin-3,5-diamine. Several I at 10 μM showed 51-100% α2 adrenoceptor affinity.

IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine
R1: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoimidazopyridines, -quinolines, and -pyrimidines as analgesics)

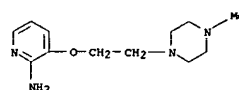
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 51 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2001:72697 CAPLUS
DOCUMENT NUMBER: 134:280810
TITLE: Synthesis and reactions of some heterocyclic azacyanines
AUTHOR(S): Huang, Kevin S.; Haddadin, Makhluif J.; Olmstead, Marilyn M.; Kurth, Mark J.
CORPORATE SOURCE: Department of Chemistry, University of California, Davis, CA, 95616, USA
SOURCE: Journal of Organic Chemistry (2001), 66(4), 1310-1315
CODEN: JOCSAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English



RN 344931-07-3 CAPLUS
CN 2-Pyridinamine, 3-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)

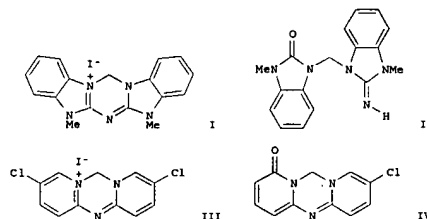


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 50 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2001:283952 CAPLUS
DOCUMENT NUMBER: 134:311219
TITLE: Preparation of aminoimidazo[1,2-a]pyridines, -quinolines, and -pyrimidines as analgesics
INVENTOR(S): Gerlach, Matthias; Maul, Corinna
PATENT ASSIGNER(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXX2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027110	A2	20010419	WO 2000-EP9095	20000918
WO 2001027110	A3	20010920		
M: AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DK, DM, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, OL, OM, PA, PE, PG, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19948434	A1	20010607	DE 1999-19948434	19991008
DE 19948437	A1	20010607	DE 1999-19948437	19991008
CA 2386813	AA	20010419	CA 2000-2386813	20000918
EP 1218380	A2	20020703	EP 2000-964191	20000918
EP 1218380	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014826	A	20020827	BR 2000-14826	20000918
JP 2003517466	T2	20030527	JP 2001-530328	20000918
AT 256684	E	20040115	AT 2000-964191	20000918
PT 1218380	T	20040531	PT 2000-964191	20000918
ES 2213044	T3	20040816	ES 2000-964191	20000918
NZ 518637	A	20041224	NZ 2000-518637	20000918

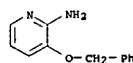
OTHER SOURCE(S): CASREACT 134:280810
GI



AB A one-step reaction of amino-substituted heterocycles with CH2I2 gave azacyanines. This useful reaction is of wider application than initially reported and includes the synthesis of new substituted pyrido-, isoquino-, benzimidazo-, and benzothiazoozacyanines. Subsequent treatment of these azacyanines with base affected facile opening of the dihydrotriazinium ring to give new heterocycles which would be difficult to prepare by other means. Thus, reaction of 2-amino-1-methylbenzimidazole with CH2I2 in refluxing MeCN for 48 h gave 92% dibenzimidazolo-triazinium iodide I which was treated with 10% methanolic KOH at room temperature to give 86% (iminobenzimidazolyl)dihydrobenzimidazole II. Treatment of halo-substituted azacyanines, e.g. III with base gave new derivs. of dihydropyridazinone, e.g. IV.

IT 24016-03-3, 2-Amino-3-(benzoyloxy)pyridine
R1: RCT (Reactant); RACT (Reactant or reagent)
(ring cleavage reactions of azacyanines prepared by cyclocondensation of diiodomethane with heterocyclic amines)

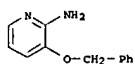
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 52 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2000:742669 CAPLUS
DOCUMENT NUMBER: 134:71508
TITLE: A New Three-Carbon Synthon for Efficient Synthesis of Benzannulated and 1-(2-Arylethenyl) Heterocycles
AUTHOR(S): Katritzky, Alan R.; Tymoshenko, Deytro O.; Montaux, Daphne; Vvedensky, Vladimir; Nikonov, George; Cooper, Christopher B.; Deshpande, Milind
CORPORATE SOURCE: Center for Heterocyclic Compounds, University of Florida Department of Chemistry, Gainesville, FL

SOURCE: 32611-7200, USA
Journal of Organic Chemistry (2000), 65(23), 8059-8062
CODEN: JOCRAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:71508
AB The novel three-carbon synthon 1-(1H-1,2,3-benzotriazol-1-yl)-3-chloroacetone for the synthesis of benzothiazoles, pyrido[1,2-a]indoles, and styryl-substituted indolizines and imidazo[1,2-a]pyridines is reported. The proposed routes are a general and efficient approach for heterocyclizations followed by benzannulations or attachment of arylethenyl pharmacophores.
IT 24016-03-3, 2-Amino-3-benzoxypyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzothiazoles, pyrido[1,2-a]indoles, and styryl-substituted indolizines and imidazo[1,2-a]pyridines via 1-(1H-1,2,3-benzotriazol-1-yl)-3-chloroacetone)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

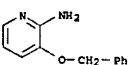
L22 ANSWER 53 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2000:741025 CAPLUS
DOCUMENT NUMBER: 133:296381
TITLE: Preparation of 2-pyridinylguanidines as urokinase inhibitors.
INVENTOR(S): Barber, Christopher Gordon; Dickinson, Roger Peter
PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Ltd.
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1044967	A2	20001018	EP 2000-302778	20000331
EP 1044967	A3	20010207		
EP 1044967	B1	20040811		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, BG				
AT 273260	E	20040815	AT 2000-302778	20000331
PT 1044967	T	20041029	PT 2000-302778	20000331
ES 2221829	T3	20050116	ES 2000-302778	20000331
JP 2000297074	A2	20001024	JP 2000-104725	20000406
JP 3521347	B2	20040419		
BR 2000001569	A	20010821	BR 2000-1569	20000407
US 5683162	B1	20030624	US 2000-546410	20000410
CA 2305047	AA	20001013	CA 2000-2305047	20000412
US 2003203914	A1	20031030	US 2003-386888	20030312
US 6673789	B2	20040106		
PRIORITY APPLN. INFO.: GB 1999-8410 A 19990413 US 2000-546410 A3 20000410				

L22 ANSWER 54 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2000:721433 CAPLUS
DOCUMENT NUMBER: 134:25114
TITLE: Aryl ureas represent a new class of anti-trypanosomal agents
AUTHOR(S): Du, Xiaohui; Hanell, Elizabeth; Engel, Juan C.; Caffrey, Conor R.; Cohen, Fred E.; McKerrrow, James H.
CORPORATE SOURCE: Department of Cellular and Molecular Pharmacology and Medicine, University of California, San Francisco, CA, 94143-0450, USA
SOURCE: Chemistry & Biology (2000), 7(9), 733-742
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

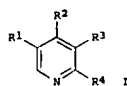
AB Background: The trypanosomal diseases including Chagas' disease, African sleeping sickness and Nagana have a substantial impact on human and animal health worldwide. Classes of effective therapeutics are needed owing to the emergence of drug resistance as well as the toxicity of existing agents. The cysteine proteases of two trypanosomes, Trypanosoma cruzi (cruzin) and Trypanosoma brucei (rhodesain), have been targeted for a structure-based drug design program as mechanistic inhibitors that target these enzymes are effective in cell-based and animal models of trypanosomal infection. Results: We have used computational methods to identify new lead scaffolds for non-covalent inhibitors of cruzin and rhodesain, have demonstrated the efficacy of these compds. in cell-based and animal assays, and have synthesized analogs to explore structure activity relationships. Nine compds. with varied scaffolds identified by DOCK4.0.1 were found to be active at concns. below 10 μ M against cruzin and rhodesain in enzymic studies. All hits were calculated to have substantial hydrophobic interactions with cruzin. Two of the scaffolds, the urea scaffold and the aroyl thiourea scaffold, exhibited activity against T. cruzi in vivo and both enzymes in vitro. They also have predicted pharmacokinetic properties that meet Lipinski's "rule of 5". These scaffolds are synthetically tractable and lend themselves to combinatorial chemical efforts. One of the compds., 5'-(1-methyl-3-trifluoromethylpyrazol-5-yl)-thiophene 3'-trifluoromethylphenyl urea (D16) showed a 3.1 μ M IC50 against cruzin and a 3 μ M IC50 against rhodesain. Infected cells treated with D16 survived 22 days in culture compared with 6 days for their untreated counterparts. The mechanism of the inhibitors of these two scaffolds is confirmed to be competitive and reversible. Conclusions: The urea scaffold and the thiourea scaffold are promising leads for the development of new effective chemotherapy for trypanosomal diseases. Libraries of compds. of both scaffolds need to be synthesized and screened against a series of homologous parasitic cysteine proteases to optimize the potency of the initial leads.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(aryl ureas, a new class of anti-trypanosomal agents)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

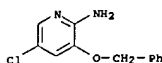


REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

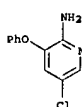
OTHER SOURCE(S): MARPAT 133:296381
G1



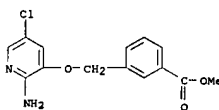
AB Title compds. [I; R1 = H, halo, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy; R2, R3 = H, halo, (substituted) alkyl, aryl, carboxyalkyl, CH3CO2H, etc.; R4 = N:C(NH2)2, NHC(NH)NH2], were prepared as urokinase inhibitors (no data). Thus, 2-amino-5-picoline and Et3N in CH2Cl2 at 0° were treated with 1,3-bis(tert-butoxycarbonyl)-2-methyl-2-thiopseudourea and HgCl2 followed by stirring at room temperature for 64 h to give tert-Bu N-[(tert-butoxycarbonyl)amino] [(5-methyl-2-pyridinyl)imino]methylcarbamate. This was stirred with CF3CO2H to give N'-[(5-methyl-2-pyridinyl)guanidine].
IT 81066-66-2P 301542-57-4P 301542-59-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-pyridinylguanidines as urokinase inhibitors)
RN 81066-66-2 CAPLUS
CN 2-Pyridinamine, 5-chloro-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



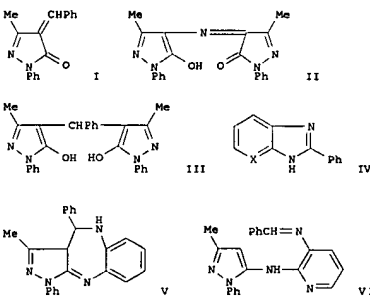
RN 301542-57-4 CAPLUS
CN 2-Pyridinamine, 5-chloro-3-phenoxy- (9CI) (CA INDEX NAME)



RN 301542-59-6 CAPLUS
CN Benzoic acid, 3-[[[(2-amino-5-chloro-3-pyridinyl)oxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

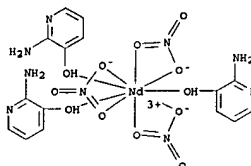


L22 ANSWER 55 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2000:540446 CAPLUS
DOCUMENT NUMBER: 133:281726
TITLE: Action of primary aliphatic and aromatic amines on 2,4-dihydro-5-methyl-2-phenyl-4-benzylidene-3H-pyrazol-3-one
AUTHOR(S): Yousef, Ahmed S. A.; Kandeel, Kamal A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Ain Shams University, Cairo, Egypt
SOURCE: Afinidad (2000), 57(488), 268-272
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
G1



AB The title heterocycle (I) reacted with primary aliphatic amines such as n-butylamine, benzylamine, ethylenediamine or ethanolamine in ethanol at room temperature to give a pyrazolone derivative (II). However, when I was refluxed in ethanol with primary aromatic amines such as p-toluidine, p-anisidine or 2-aminopyridine, it afforded a (phenylmethylidene)bis(hydroxypyrazole) derivative (III). Treatment of I with 1,2-diaminobenzene or 2,3-diaminopyridine in refluxing n-butanol yielded imidazole derivs. (IV; X = CH, N) together with a 1,5-benzodiazepine derivative (V) and a 2-pyrazolylamino-3-(benzylideneamino)pyridine derivative (VI), resp. Similar treatments of I with 1,4-diaminobenzene or 2-amino-3-hydroxypyridine were also examined
IT 299162-37-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of 4-benzylidene-2,4-dihydro-5-methyl-2-phenyl-3H-pyrazol-3-one with amines)
RN 299162-37-1 CAPLUS
CN 1H-Pyrazol-5-ol, 4-[[[(2-amino-3-pyridinyl)oxy]phenylmethyl]-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)

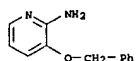
L22 ANSWER 57 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2000:492644 CAPLUS
DOCUMENT NUMBER: 133:216867
TITLE: Synthesis and structure of the 2-amino-3-
hydroxypyridine complexes with trivalent praseodymium,
neodymium, samarium, and europium nitrates: crystal
structure of tri(2-amino-3-
hydroxypyridine)crinitratoprasmium(III)
AUTHOR(S): Pelkina, K. K.; Kuz'mina, N. E.; Streshnova, S. B.;
Zaitsev, B. E.; Koval'chukova, O. V.; Nikitin, S. V.;
Goncharov, O. V.; Shekelov, R. N.
CORPORATE SOURCE: Inst. Obshch. i. Neorg. Khim., im. N. S. Kurnakova,



L22 ANSWER 58 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STM
ACCESSION NUMBER: 2000.311265 CAPLUS
DOCUMENT NUMBER: 133.99070
TITLE: Synthesis and α -adrenergic binding ligand
affinities of 2-iminobenzazolidine derivatives
AUTHOR(S): Chang-Fong, Jean; Benamour, Khalid; Szymonek,
Barbara; Thomasson, Francois; Morand, Jean-Marc;
Cussac, Max
CORPORATE SOURCE: Laboratoire de Chimie Therapeutique Groupe de
Pharmacochimie Moleculaire, UMR 5063-CNRS, Faculte de
Pharmacie, Universite J. Fourier, La Tronche, 38706,
Fr.
SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(5),
728-733

ONHAX 000RC25137 CASREACT 133110463
 AB A 96-member pyridine library consisting of both rationally chosen and random members was used to screen Ullmann ether forming reactions. The reaction of 2-bromo-4,6-dimethylaniline and other substrates with a variety of alkoalkoxides was studied under different conditions with the aid of an automated liquid handler. From the results of the 96-member library screening, a structure-activity profile was determined which led to the design of a smaller, more efficient library. The focused libraries produced a higher frequency of hits compared to the original 96-member library. Some of the more effective ligands discovered in this work are generally useful for alkylation of a variety of substrates, and also functioned in intramol. ether forming reactions. This work demonstrates for homogeneous catalysis the use of a focused library approach to the optimization of a reaction. A large library to screen for a lead compound followed by screening the diversity space closest to the lead, a larger fraction of increased performance ligands was discovered.
 IT 24016-03-3, 2-Amino-3-benzoyloxy pyridine
 RL: CAT (Catalyst use); USES (Uses)
 optimization of pyridine ligand components for catalytic Ullmann alkylation

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 112 THERE ARE 112 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 60 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2000:227650 CAPLUS
DOCUMENT NUMBER: 132:265501

TITLE: Phenylalanine derivatives as alpha 4 integrin inhibitors

INVENTOR(S): Head, John Clifford; Porter, John Robert; Warrellow, Graham John; Archibald, Sarah Catherine; Hutchinson, Brian Woodside

PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

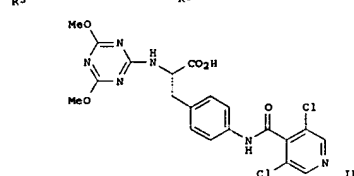
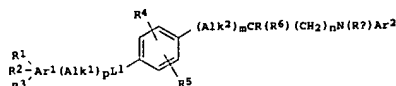
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018759	A1	20000406	WO 1999-GB3210	19990928
W: AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, ND, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO				
US 6348463	B1	20020219	US 1999-406560	19990927
CA 2338442	AA	20000406	CA 1999-2338442	19990928
AU 9961059	A1	20000417	AU 1999-61059	19990928
AU 773946	B2	20040610		
EP 117657	A1	20010725	EP 1999-947680	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525367	T2	20020813	JP 2000-572219	19990928
US 2002028812	A1	20020307	US 2001-927874	20010810
US 6677339	B2	20040113		
PRIORITY APPL. INFO.:				
			GB 1998-21061	A 19980928
			US 1999-406560	A3 19990927
			WO 1999-GB3210	W 19990928

OTHER SOURCE(S): MARPAT 132:265501

GI

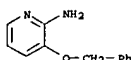


AB Phenylalanine deriva. I [Ar1 = aromatic or heteroarom. group; Alk1 = (un)substituted aliphatic or heteroaliph. chain; L1, L2, L3 = a covalent bond or a linker atom or group; Alk2 = alkylene; R is a carboxylic acid or derivative; Ar2 = (un)substituted aromatic or heteroarom. group; R1, R2, R3, R4, R5 = -L2(Alk3)C(L3)(R7)u; Alk3 = aliphatic or heteroaliph. chain; R6, R7 = H, Me; R8 = H, halo, alkyl, OH, SH, NH2, (un)substituted alkoxy, thioalkyl, or aminoalkyl; m, n, p, t = 0, 1; u = 1-3] and their salts, solvates, hydrates, and N-oxides were prepared as selective inhibitors of alpha 4 integrins useful for the prophylaxis and treatment of immune or inflammatory disorders. For example, a multi-step synthesis of the title compound II was given. Comps. I were tested for inhibition of integrin-dependent cell adhesion and generally have IC50 values of < 1 μM in α4β1 and α4β7 assays, and IC50 values of ≥ 50 μM in assays of other integrins.

IT 24016-03-3, 2-Amino-3-benzoylpyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylalanine deriva. as alpha 4 integrin inhibitors)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 61 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2000:141858 CAPLUS

DOCUMENT NUMBER: 132:331180

TITLE: Preparation, evaluation and application of new pseudo-affinity chromatographic supports for penicillin acylase purification

AUTHOR(S): Saterelli, X.; Pitton, V.; Verdoni, N.; Cassagne, C.
CORPORATE SOURCE: Ecole Supérieure de Technologie des Biomolécules de Bordeaux (ESTBB), Université Victor Segalen Bordeaux

SOURCE: 2. Bordeaux, 33076, Fr.
Journal of Chromatography, B: Biomedical Sciences and Applications (2000), 739(1), 63-72
CODEN: JCBEBP; ISSN: 0378-4347
Elsevier Science B.V.

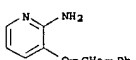
PUBLISHER: Journal
DOCUMENT TYPE: English

AB New pseudo-affinity chromatog. supports for penicillin acylase were prepared and evaluated with three different samples: pure penicillin acylase, industrial clarified feedstock and crude extract. The different gels were studied for their purification fold (three to six) and their recovery power (80-100%). The best support was characterized by its dynamic capacity, (20 mg/ml) and its recovery power was tested at five flow-rates (30, 150, 300 and 750 cm/h) to determine the optimal flow-rate (300 cm/h). In addition

we used cleaning in place to test the resistance to hard conditions of sanitization by 1 M NaOH (90% of recovery for 12 h of contact). These gels may therefore be used on an industrial scale.

IT 24016-03-3, 2-Amino-3-benzoylpyridine, pseudo-affinity ligand
RL: NUU (Other use, unclassified); USSS (Uses)
(preparation, evaluation and application of new pseudo-affinity chromatog. supports for penicillin acylase purification)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 62 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1999:708770 CAPLUS

DOCUMENT NUMBER: 131:322617

TITLE: Preparation of imidazopyridines which inhibit gastric acid secretion

INVENTOR(S): Amin, Kowar; Dahlstrom, Michael; Nordberg, Peter; Starke, Ingemar

PATENT ASSIGNEE(S): Astra AB, Swed.

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955706	A1	19991104	WO 1999-52663	19990423
W: AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, ND, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO				
TW 490466	B	20020611	TW 1999-88106129	19990416
CA 2329922	AA	19991104	CA 1999-2329922	19990423
AU 9943007	A1	19991116	AU 1999-43007	19990423

AU 769190 B2 20040122
BR 9909996 A 20001226 BR 1999-9996 19990423
EP 1073657 A1 20010207 EP 1999-947038 19990423
EP 1073657 B1 20051207

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY

TR 200003149 T2 20010321 TR 2000-200003149 19990423
TR 200003176 T2 20010321 TR 2000-200003176 19990423
JP 200000664 A 20020415 JP 2000-664 19990423
EP 2002513025 T2 20020508 JP 2000-545865 19990423

JP 3692034 B2 20050907
TR 200102612 T2 20020621 TR 2001-200102612 19990423
TR 200102728 T2 20020621 TR 2001-200102728 19990423

CZ 292567 B6 20031015 CZ 2000-3982 19990423
NZ 507639 A 20040130 NZ 1999-507639 19990423
CZ 293977 B6 20040915 CZ 2000-3982 19990423

RU 2238271 C2 20041020 RU 2000-127019 19990423
EP 1491542 A1 20041229 EP 2004-23090 19990423
EP 1491542 A3 20050105

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY

EP 1491543 A1 20041229 EP 2004-23091 19990423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY

AT 312101 E 20051215 AT 1999-947038 19990423
US 6313137 B1 20011106 US 1999-319973 19990423

ZA 2000005796 A 20020118 ZA 2000-5796 20010118
ZA 2000005797 A 20020118 ZA 2000-5797 20010118
NO 2000005450 A 20001222 NO 2000-5450 20010207

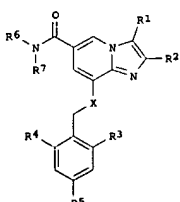
NO 317262 B1 20040927
HK 1036984 A1 20050429 HK 2001-107857 20011108

PRIORITY APPL. INFO.:

SE 1998-1526 A 19980429
EP 1999-947037 A3 19990423
EP 1999-947038 A3 19990423
WO 1999-52663 W 19990423

OTHER SOURCE(S): MARPAT 131:322617

GI



AB The title compds. [I: R1 = H, Me, CH2OH; R2 = Me, Et; R3 = H, alkyl, halo, etc.; R4 = H, alkyl, halo, etc.; R5 = H, halo; R6, R7 = H, alkyl, hydroxylated alkyl, etc.; X = NH, O] which inhibit exogenously or endogenously stimulated gastric acid secretion (no data) and thus can be used in the prevention and treatment of gastrointestinal inflammatory diseases, and for treatment or prophylaxis of conditions involving

infection by *Helicobacter pylori* of human gastric mucosa, were prepared. Thus, reacting Et 2,3-dimethyl-8-(2-ethyl-6-methylbenzylamino)-imidazo[1,2-a]pyridine-6-carboxylate with propylamine in the presence of a cat. amount of NaCN in MeOH afforded 42% I [R1 = R2 = R4 = Me; R3 = Et; R5 = R7 = H; R6 = P]. In general, compds. I are effective at 5-1000 mg/day.

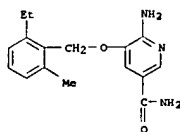
IT 248920-22-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of imidazopyridines which inhibit gastric acid secretion)

RN 248920-22-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-amino-5-[(2-ethyl-6-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 63 OF 144

CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER:

1999:354476 CAPLUS

DOCUMENT NUMBER:

131:18840

TITLE:

Preparation of biphenylamide derivatives as factor

Xa inhibitors

INVENTOR(S):

Takano, Yasuochi; Nakada, Tomohisa; Hara, Takayuki; Sugiura, Satoshi; Tautsami, Takaharu; Takarada, Reiko; Takazawa, Yoshiharu

PATENT ASSIGNEE(S):

Teijin Limited, Japan

SOURCE:

PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

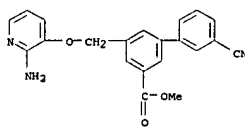
FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926919	A1	19990603	WO 1998-JP5210	19981119
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KD, KZ, MD, RU, TJ, TM, RW: GH, OM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2310330	AA	19990603	CA 1998-2310330	19981119
AU 9911741	A1	19990615	AU 1999-11741	19981119
AU 736112	B2	20010726		
EP 1043311	A1	20001011	EP 1998-954748	19981119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 504324	A	20020201	NZ 1998-504324	19981119
US 6348478	B1	20020219	US 2000-554449	20000515
US 200002588	A	20000626	US 2000-2588	20000515

ciano-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 64 OF 144

CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER:

1998:624858 CAPLUS

DOCUMENT NUMBER:

129:302566

TITLE:

A new heterocyclic multicomponent reaction for the combinatorial synthesis of fused 3-aminoimidazoles

AUTHOR(S):

Bienayme, Hugues; Bouzid, Kamel

CORPORATE SOURCE:

Rhone-Poulenc Technologies, St-Pons, F-69192, Fr.

SOURCE:

Angewandte Chemie, International Edition (1998), 37(16), 2234-2237

CODEN: ACIEFS; ISSN: 1433-7851

PUBLISHER:

Wiley-VCH Verlag GmbH

DOCUMENT TYPE:

Journal

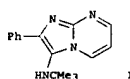
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 129:302566

GI



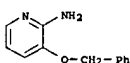
AB Reaction of heteroatom, amidines, aldehydes, and isonitriles in the presence of a catalytic amount of protic acids gave fused 3-aminoimidazoles. E.g., HClO4-catalyzed reaction of 2-aminopyrimidine, PhCHO, and Me3CNC gave 82% imidazopyrimidine I.

IT 24016-03-3

RL: RCT (Reactant); RACT (Reactant or reagent) (Preparation of fused aminoimidazoles by multicomponent reaction of aminoamidines, aldehydes, and isonitriles)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PRIORITY APPLN. INFO.:

JP 1997-31696

A 19971120

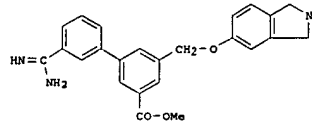
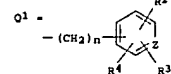
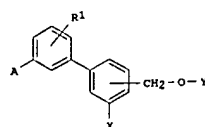
OTHER SOURCE(S):

MARPAT 131:18840

WO 1998-JP5210

M 19981119

GI



II

AB The title compds. I [A = amidino; R1 = H, amino, nitro, etc.; X = carboxyl, etc.; Y = Q1, etc.; n = 0-1; Z = CH, N; R2 = H, amino, etc.; R3 = H, alkyl; R4 = H, F, etc.] are prepared. For example, the title compound II was prepared. Compds. of this invention in vitro showed IC50 of 0.1 μM to 100 μM against factor Xa.

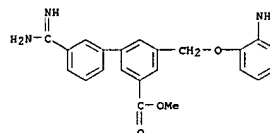
IT 226070-16-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of biphenylamide deriva. as factor Xa inhibitors)

RN 226070-16-4 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 3'-[(aminoimino)methyl]-5-[(2-amino-3-pyridinyl)oxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 226070-39-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of biphenylamide deriva. as factor Xa inhibitors)

RN 226070-39-9 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 5'-[(2-amino-3-pyridinyl)oxy)methyl]-3'-

L22 ANSWER 65 OF 144

CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER:

1998:604918 CAPLUS

DOCUMENT NUMBER:

129:216618

TITLE:

Preparation of imidazo[1,2-a]pyridines for inhibition of gastric acid secretion

INVENTOR(S):

Amin, Khorat; Dahlstrom, Mikael; Nordberg, Peter;

PATENT ASSIGNEE(S):

Searle, Inc.

SOURCE:

PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837080	A1	19980827	WO 1998-0275	19980217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, OM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9801134	A	19980825	ZA 1998-1134	19980211
CA 2280008	AA	19980827	CA 1998-2280008	19980217
AU 9863147	A1	19980909	AU 1998-63147	19980217
AU 733189	B2	20000824		
EP 971920	A1	20000119	EP 1998-907306	19980217
EP 971920	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 9902060	T2	20000221	TR 1999-9902060	19980217
EE 9900367	A	20000417	EE 1999-367	19980217
EE 4016	B1	20030415		
BR 9807457	A	20000425	BR 1998-7457	19980217
NZ 336878	A	20010525	NZ 1998-336878	19980217
JP 2001512477	T2	20010821	JP 1998-536549	19980217
CZ 291681	B6	20030416	CZ 1999-3014	19980217
TW 568907	B	20040101	TW 1998-87102208	19980217
RU 2193016	C3	20021120	RU 1999-120178	19980217
PT 971920	T	20021129	PT 1998-907306	19980217
ES 2178169	T3	20021216	ES 1998-907306	19980217
CN 1100056	B	20030129	CN 1998-802784	19980217
CZ 291681	B6	20030416	CZ 1999-3014	19980217
SK 283903	B6	20040406	SK 1999-1099	19980217
PL 190379	B1	20051230	PL 1998-335485	19980217
US 6265415	B1	20010724	US 1998-43040	19980310
NO 9904078	A	19990824	NO 1999-4078	19990824
NO 313009	B1	20020729		
NK 1024248	A1	20021025	NK 2000-103720	20000620

PRIORITY APPLN. INFO.:

JP 1997-461

A 19970225

OTHER SOURCE(S):

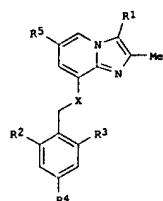
MARPAT 129:216618

GI

WO 1998-68275

M 19980217

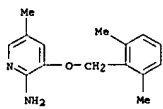
102(b) - STRUCTURE ON NEXT PAGE.



AB The title compds. [I; R1 = Me, CH2OH; R2, R3 = lower alkyl; R4 = H, halo; R5 = H, halo, lower alkyl; X = NH, O] and their salts, which inhibit exogenously or endogenously stimulated gastric acid secretion and thus can be used in the prevention and treatment of gastrointestinal inflammatory diseases, and for the treatment or prophylaxis of conditions involving infection by *Helicobacter pylori* of human gastric mucosa, were prepared. Thus, treatment of a stirred mixture of 8-amino-2,3,6-trimethylimidazo[1,2-*a*]pyridine, 2,6-dimethylbenzaldehyde and ZnCl₂ in MeOH with NaBH₃CN afforded 36% 1.HCl [R1-R3, R5 = Me; R4 = H; X = NH]. Compds. I are effective at 5-1000 mg/day.

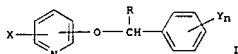
IT 212268-12-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazo[1,2-*a*]pyridines for inhibition of gastric acid secretion)

RN 212268-32-1 CAPLUS
CN 2-Pyridinamine, 3-[(1,6-dimethylphenyl)methoxy]-5-methyl- (9CI) (CA INDEX NAME)



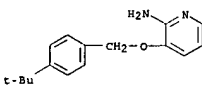
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 66 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1998:127667 CAPLUS
DOCUMENT NUMBER: 128:328721
TITLE: Photographic color development method using new cyan coupler
INVENTOR(S): Berghaller, Peter; Lui, Norbert
PATENT ASSIGNEE(S): Agfa-Gevaert A.-G., Germany
SOURCE: Ger. Offen. 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:



AB Insecticides and acaricides contain benzyloxypyridines I [R = H, C1-6 alkyl; X = H, halo, C1-6 alkyl, amino, NO₂; Y = H, halo, C1-6 (halo)alkyl, cycloalkyl, C2-6 (halo)alkenyl, C1-6 (halo)alkoxy, Ph, cyano, NO₂; n = 1-3] as active ingredients. I [R = H; X = H, halo; Z1 of Y = C1-6 haloalkyl, C2-6 haloalkenyl, C1-6 (halo)alkoxy, Ph, cyano, NO₂] are also claimed. A HMPA solution of 3-hydroxypyridine was added dropwise to a HMPA solution of NaH at -510° and the reaction mixture was further stirred at room temperature for 30 min, then treated with a HMPA solution of 4-sec-butylbenzyl chloride at room temperature for 6 h to give 3-(4-sec-butylbenzyloxy)pyridine. This compound completely controlled larvae of *Laodelphax striatellus* inoculated on rice seedlings. Agrochem. preps. of I were also formulated.

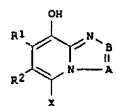
IT 81066-61-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyloxypyridines as insecticides and acaricides)
RN 81066-61-7 CAPLUS
CN 2-Pyridinamine, 3-[[4-(1,1-dimethylethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



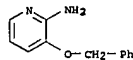
L22 ANSWER 68 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1998:128254 CAPLUS
DOCUMENT NUMBER: 128:204878
TITLE: Preparation of pyrazinobenzothiazine derivatives and analogs for the treatment of inflammation and autoimmune diseases
INVENTOR(S): Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiko; Kawahara, Tetsuya; Kanada, Akashi; Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda, Jiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1344 pp.
CODEN: PIXXG2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806720	A1	19980219	NO 1997-JP2787	19970808
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2263569	AA	19980219	CA 1997-226269	19970808
AU 9737849	A1	19980306	AU 1997-37849	19970808

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19644934	A1	19980430	DE 1996-19644934	19961029
PRIORITY APPL. INFO.: MARPAT 128:328721			DE 1996-19644934	19961029
OTHER SOURCE(S):				



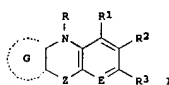
AB The title cyan coupler is represented by a general formula I (A = CR₃, N; B = CR₄, N; X = H, cleavable residue during chromogenic development; R1-4 = H, substituent). The method forms cyan images with clear nuance.
IT 24016-03-3P. 2-Amino-3-benzyloxypyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of new cyan coupler)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



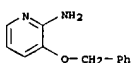
L22 ANSWER 67 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1998:243353 CAPLUS
DOCUMENT NUMBER: 129:1714
TITLE: Benzyloxypyridines and insecticides and acaricides containing them
INVENTOR(S): Kuwano, Eiichi; Hasean, Lias; Sasama, Yasuhiro
PATENT ASSIGNEE(S): Otsuka Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKKXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10101647	A2	19980421	JP 1996-257962	19960930
JP 2976277	B2	19991110		
PRIORITY APPL. INFO.: MARPAT 129:1714			JP 1996-257962	19960930
OTHER SOURCE(S):				

ZA 9707103	A	19990308	ZA 1997-7103	19970808
EP 934941	A1	19990811	EP 1997-934750	19970808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6518423	B1	20030211	US 1999-230852	19990405
US 2004092737	A1	20040513	US 2002-247310	20020920
PRIORITY APPL. INFO.: MARPAT 128:204878			JP 1996-210344	A 19960809
OTHER SOURCE(S):			WO 1997-JP2787	W 19970808
GI			US 1999-230852	A3 19990405



AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E represents N, C, etc.; Z represents O, S, SO, SO₂, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one nitrogen atom] are prepared. I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compound (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65% inhibition of carrageenin-induced inflammation in rats. II in vitro showed IC₅₀ of 2.3 μM against the expression of ICAM-1.
IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazinobenzothiazine derivs. and analogs for treatment of inflammation and autoimmune diseases)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



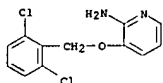
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 69 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1998:66714 CAPLUS
DOCUMENT NUMBER: 128:136098
TITLE: A Novel Class of Orally Active Non-Peptide Bradykinin B₂ Receptor Antagonists. 1. Construction of the Basic Framework
AUTHOR(S): Abe, Yoshiko; Kayakiri, Hiroshi; Satoh, Shigeki; Inoue, Takayuki; Savada, Yuki; Imai, Keisuke; Inamura, Noriaki; Asano, Masayuki; Matori, Chie; Katayama, Akira; Oku, Tetsuo; Tanaka, Hirokazu
CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa

SOURCE: Pharmaceutical Co., Ibaraki, 300-26, Japan
Journal of Medicinal Chemistry (1998), 41(4), 564-578
CODEN: JMCMAH; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel class of potent, selective, and orally active non-peptide

bradykinin (BK) B2 receptor antagonists were designed and synthesized starting from 8-benzoyloxyimidazo[1,2-a]pyridine derivative(I). The unique screening lead I was discovered by a 2-step intentional random screening process, involving recognition of the relationship between BK and angiotensin II (Ang II) and the common structural features. Systematic chemical modification of I elucidated the structural requirements essential for B2 binding affinity leading to the identification of 8-[[3-(N-acylglycyl-N-methylamino)-2,6-dichlorobenzoyloxy]-3-halo-2-methylimidazo[1,2-a]pyridine skeleton as the basic framework of this new series of B2 antagonists. A mol. modeling study suggested the key role of the N-methylamide moiety at the 3-position of the 2,6-dichlorobenzene ring to allow these compds. to adopt the characteristic active conformation. The representative lead compds. inhibited the specific binding of [3H]BK to guinea pig ileum membrane preps. expressing B2 receptors, with nanomolar IC50s and also displayed in vivo functional antagonistic activities against BK-induced bronchoconstriction in guinea pigs at an oral dose of 1 mg/kg. Pharmacokinetic studies of the N-butylamide and Et urea moieties at the 3-position of the 2,6-dichlorobenzene in rats highlighted their excellent oral bioavailabilities, indicating that they represent the first orally active non-peptide B2 antagonists reported to date.

IT 107229-64-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
RN 107229-64-1 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 70 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1997:732342 CAPLUS
DOCUMENT NUMBER: 128:48243
TITLE: Preparation of (piperazinylalkoxy)aryl-containing imidazoles and antihypertensives containing them
INVENTOR(S): Kimura, Tetsuya; Hoshino, Masato; Awano, Katsuya; Kawai, Tomoyuki
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKKXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

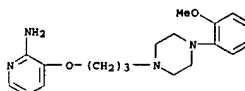
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09291078	A2	19971111	JP 1996-129053	19960425

PRIORITY APPLN. INFO.: JP 1996-129053 19960425
OTHER SOURCE(S): MARPAT 128:48243
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (A = CH2, CO; R = C1-3 alkyl, C1-5 acyl; X = CH, N; Y = CO2H, tetrazolyl; m = 0, 1; n = 3, 4) or their salts, which show angiotensin II antagonistic and/or blocking activity, are prepared from piperazines II (R6 = NO2, cyano; X, n = same as I) by reduction, N-acylation or -alkylation, condensation with imidazoles III (R2 = CO2H, CH2OH; Y1 = C1-3 alkoxy, carbonyl, cyano) or their derivs., and hydrolysis or reaction with azides. III (R2 = CH2OH, Y1 = CO2Me) (1.00 g) was chlorinated by SOCl2 and treated with 840 mg 4-[3-(4-aminomethylphenoxy)propyl]-1-(2-methoxyphenyl)piperazine (preparation given) in DMF in the presence of NEt3 at room temperature for 1 h and at 80° for 30 min to give 650 mg I (R = H, A = CH2, X = CH, Y1 = CO2Et (alc), m = 1, n = 3), 630 mg of which was heated with NaOH in EtOH at 80° for 2 h and under reflux for 2 h to give 350 mg I (R = H, A = CH2, X = CH, Y = CO2H, m = 1, n = 3) (IV). IV inhibited angiotensin II- and phenylephrine-induced contraction of rabbit aorta with pA2 of 8.1 and 6.9, resp.

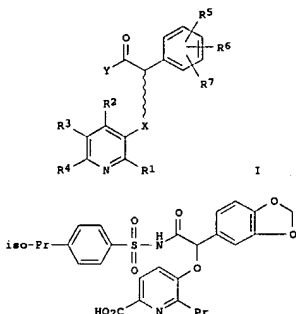
IT 199853-35-SP
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (piperazinylalkoxy)aryl-containing imidazoles as antihypertensives)
RN 199853-35-5 CAPLUS
CN 2-Pyridinamine, 3-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 71 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1997:78986 CAPLUS
DOCUMENT NUMBER: 126:251151
TITLE: Preparation and formulation of benzodioxoleacetic acid and phenylacetic acid derivatives as endothelin antagonists
INVENTOR(S): Hayashi, Kunio; Yamamori, Teruo; Kanda, Yasuhiko
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXX22
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

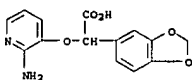
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9710214	A1	19970320	WO 1996-JP2607	19960912
N: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,				

RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CP, CG, CI
AU 9669446 A1 19970401 AU 1996-69446 19960912
PRIORITY APPLN. INFO.: JP 1995-262337 A 19950914
WO 1996-JP2607 W 19960912
OTHER SOURCE(S): MARPAT 126:251151
GI



AB The title compds. I [R1 to R7 represent each hydrogen, halogeno, optionally substituted lower alkyl, etc.] and X represents O, S or NR15; R15 represents hydrogen or optionally substituted lower alkyl; Y = OH, NHO2; 2 = (un)substituted aryl, etc.] are prepared in the in vitro test for endothelin A receptor antagonism, the title compound II showed IC50 of 2.4 nM. In the test for endothelin B receptor antagonism, the title compound II showed IC50 of 290 nM.

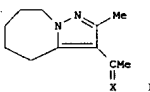
IT 188668-42-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USSS (Uses)
(benzodioxoleacetic acid and phenylacetic acid derivs. as endothelin antagonists)
RN 188668-42-0 CAPLUS
CN 1,3-Benzodioxole-5-acetic acid, α-[(2-amino-3-pyridinyl)oxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 72 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1996:637683 CAPLUS
DOCUMENT NUMBER: 125:300999
TITLE: Pyridino substituted oximes useful as anti-atherosclerosis and anti-hypercholesterolemia agents
INVENTOR(S): Larsen, Scott D.; Spilman, Charles H.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 900, 229, abandoned.
CODEN: USXXAH
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

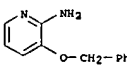
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5565468	A	19961015	US 1994-313684	19940927
AT 177426	E	19990315	AT 1993-912362	19930505
ES 2130269	T3	19990701	ES 1993-912362	19930505
CN 1081678	A	19940209	CN 1993-107183	19930617
US 5523318	A	19960604	US 1995-466181	19950606
US 5597816	A	19970128	US 1995-468158	19950606

PRIORITY APPLN. INFO.: US 1992-900229 B2 19920617
US 1994-313684 A3 19940927
OTHER SOURCE(S): MARPAT 125:300999
GI



AB Imidazopyridino- and pyrazolopyridino- substituted oximes are disclosed for the treatment of atherosclerosis and hypercholesterolemia. Thus, 2,4-pentanedione mono(1-aminotetrahydroazepinyl)hydrazine was cyclized to the ketone I [X = O] which was converted to the oxime I [X = NOH] as a mixture of isomers. In quail I [X = NOH] at 50 mg/kg day for 7 days in the diet gave a LDL-VLDL level 56% of controls.

IT 24016-03-3, 2-Amino-3-benzoyloxy-pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oximes of condensed pyridines as anticholesteremics)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 73 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1996:476652 CAPLUS
DOCUMENT NUMBER: 125:142578
TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.
INVENTOR(S): OKU, Teruo; Kayaaki, Hiroshi; Sato, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613485	A1	19960509	WO 1995-JP2192	19951025
W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203659	AA	19960509	CA 1995-2203659	19951025
AU 9537536	A1	19960523	AU 1995-37536	19951025
AU 705883	B2	19960603		
EP 807105	A1	19971119	EP 1995-935563	19951025
EP 807105	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1168667	A	19971224	CN 1995-196602	19951025
JP 10507764	T2	19980728	JP 1996-514166	19951025
JP 1697486	B2	20050921		
AT 269310	E	20040715	AT 1995-935563	19951025
ES 2218554	T3	20041116	ES 1995-935563	19951025
US 5994368	A	19991130	US 1997-809416	19970425
PRIORITY APPLN. INFO.:			GB 1994-21684	A 19941027
			GB 1995-12339	A 19950616
			WO 1995-JP2192	W 19951025

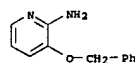
OTHER SOURCE(S): MARPAT 125:142578
OI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxy, carbonyl, (un)substituted aroyl, carbamoyl, -(AA)COOR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group, and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-(3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy)-2-methylquinoline with [8]-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [prepn. given] using SDC and HOBt in DMF gave title compound II. The similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10⁻⁶ M.

IT 24016-03-3, 2-Amino-3-(benzyloxy)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

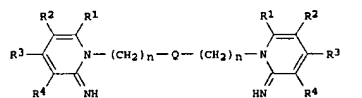
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 74 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1996:476618 CAPLUS
DOCUMENT NUMBER: 125:142558
TITLE: Preparation of bis-2-aminopyridines for controlling parasitic infections of red blood cells
INVENTOR(S): Vial, Henri; Calas, Michele; Bourguignon, Jean-Jacques; Ancelin, Marie-Laure; Giral, Louis
PATENT ASSIGNEE(S): Laboratoires Virbac, Fr.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611910	A1	19960425	WO 1995-FR1349	19951013
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, DE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, ND, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TO				
FR 2725718	A1	19960419	FR 1994-12301	19941014
FR 2725718	B1	19970124		
AU 9537491	A1	19960506	AU 1995-37491	19951013
EP 785524	A1	19970730	EP 1995-935490	19951013
EP 785524	B1	20000503		
R: AT, BE, DE, DK, ES, FR, GB, IE, IT, NL, PT, SE				
JP 10507446	T2	19980721	JP 1995-512998	19951013
AT 192438	E	20000515	AT 1995-935490	19951013
ES 2148570	T3	20001016	ES 1995-935490	19951013
US 5834491	A	19981110	US 1997-809919	19970702
PRIORITY APPLN. INFO.:			FR 1994-12301	A 19941014
			WO 1995-FR1349	W 19951013

OTHER SOURCE(S): MARPAT 125:142558
OI

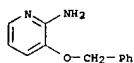


AB The title compds. [I; Q = C6-20 alkylene, (un)substituted arylene, cycloalkylene; between the two pyridine rings a hydrocarbon chain including 6-34 carbon atoms is present; n = 0-7; and R1-R4 = H,

(un)branched (un)substituted C1-6 alkyl, (un)substituted aryl, benzyl, thienyl, furyl, halogen, alkoxy, benzyloxy; m = 6-20], useful for controlling parasitic infections within red blood cells (e.g., malaria, babesiosis, or piroplasmiasis), are prepared. Thus, 1,12-dibromododecane was dissolved in MEK and reacted with 4-methyl-2-aminopyridine, producing 1,1-(1,12-dodecanediyl) bis(3-methyl-2-(1H)pyridinamine) dihydrobromide, m.p. 206°, which demonstrated in vivo parasitocidal activity against Plasmodium species at 10 nM.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bis-2-aminopyridines for controlling parasitic infections of red blood cells)

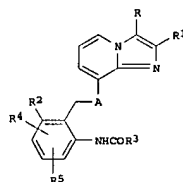
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 75 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1996:353211 CAPLUS
DOCUMENT NUMBER: 125:33648
TITLE: Preparation of haloimidazopyridines as gastric acid secretion inhibitors.
INVENTOR(S): Riedel, Richard; Postius, Stefan; Grundler, Gerhard; Senn-Billinger, Joerg; Rainer, Georg; Simon, Wolfgang-Alexander
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik GmbH, Germany
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603402	A1	19960208	WO 1995-EP2951	19950726
W: AU, BG, BR, BY, CA, CN, CZ, DE, FI, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2196075	AA	19960208	CA 1995-2196075	19950726
AU 9532217	A1	19960222	AU 1995-12217	19950726
EP 772614	A1	19970514	EP 1995-928467	19950726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10505330	T2	19980526	JP 1996-505479	19950726
PRIORITY APPLN. INFO.:			CH 1994-2188	A 19940728
			WO 1995-EP2951	W 19950726

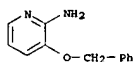
OTHER SOURCE(S): MARPAT 125:33648
OI



AB Title compds. (I; R = halo, thiocano; R1 = alkyl; R2, R4 = H, alkyl, alkoxy, halo, CF3; R3 = alkoxy; R5 = H, alkyl, alkoxy, halo; A = O, NH), were prepared. Thus, 8-amino-3-chloro-2-methylimidazo[1,2-a]pyridine, 2-methoxycarbonylamino-6-methylbenzyl chloride, NaI, and Na2CO3 were stirred in acetone to give 5:1 3-chloro-8-(2-methoxycarbonylamino-6-methylbenzylamino)-2-methylimidazo[1,2-a]pyridine. The latter at 10 μmol/kg i.v. inhibited pentagastrin-stimulated gastric acid secretion in rat stomachs by 82%.

IT 24016-03-3, 2-Amino-3-benzyloxypyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of haloimidazopyridines as gastric acid secretion inhibitors)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

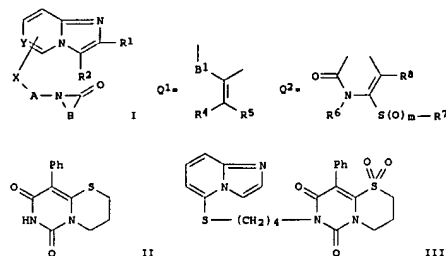


L22 ANSWER 76 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1996:211764 CAPLUS
DOCUMENT NUMBER: 124:261035
TITLE: Condensed imidazole compounds, their production, and use as adhesion molecule expression inhibitors.
INVENTOR(S): Taketani, Munee; Ikeda, Hitoshi; Iida, Kyoko; Abe, Hidenori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 238 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9535996	A1	19951228	WO 1995-JP1192	19950615
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, DE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, ND, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TO				

CA 2191979 AA 19951228 CA 1995-2191979 19950615
 AU 9526826 A1 19960115 AU 1995-26826 19950615
 EP 767790 A1 19970416 EP 1995-921968 19950615
 EP 767790 B1 20011212
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
 CN 1151161 A 19970504 CN 1995-193713 19950615
 CN 1046725 B 19991214
 AT 210663 B 20011215 19950615
 JP 08319288 A2 19961203 JP 1995-151844 19950619
 US 5840732 A 19981124 US 1996-481391 19961206
 US 5840732 A 19981124 JP 1994-137600 A 19940620
 JP 1995-64128 A 19950324
 WO 1995-JP1192 W 19950615

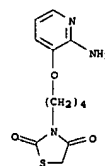
PRIORITY APPL. INFO.:
 OTHER SOURCE(S): MARPAT 124:261035
 GI



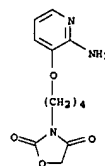
AB The invention provides new condensed imidazoles possessing adhesion mol. expression-inhibiting activity. This invention also provides therapeutic and prophylactic agents for diabetic nephritis and/or autoimmune disease, and immunosuppressants for organ transplantation. The compds. have formula I (wherein X = bond, S(O)m, O, NR3a, Alk, AlkN, or SARW; W = O, NR3a, COO or CONR3a; Y = CH or H; B = groups Q1 or Q2; B1 = (CH2)f or C2122; f = 1-6; Z1 = O or S; Z2 = O, S, Alk1, Alk1S, or NR3b; Alk, Alk1 = (un)substituted hydrocarbonidyl; R3a, R3b = H, (un)substituted hydrocarbonyl; R4, R5 = H, (esterified) CO2H, (un)substituted amino or heterocyclyl, W1, SW1, OM1; W = (un)substituted hydrocarbonyl; or R4R5 may form ring; R6, R7 = (un)substituted hydrocarbonyl or heterocyclyl; R8 = H, (un)substituted hydrocarbonyl or heterocyclyl; W2, cyano, (un)protected NH2, halo, acyl; m = 0-2). For example, cyclocondensation of benzylurea with di-Et phenylmalonate gave 83% 3-benzyl-5-phenylpyrimidine-2,4,6(1H,3H)-trione. This was converted to the 6-chloro derivative (95%), N1-alkylated with Br(CH2)3Cl (74%), cyclized with Na hydrosulfide (27%), and debenzylated (32%) to give pyrimidothiazinedione derivative II. This underwent alkylation with Br(CH2)4Cl (65%), S-oxidation to the dioxide (87%), coupling with 5-mercaptoimidazo[1,2-a]pyridine (44%), and acidification with HCl (100%), to give title compound III as the HCl salt. At 10 mg/kg/day i.p. in the mouse homologous skin transplantation test, III.HCl increased the mean rejection day from 13.5 (control) to 27.0.

IT 175143-00-7P 175143-08-5P 175143-47-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

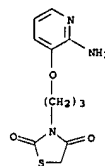
(Reactant or reagent)
 (intermediate; preparation of condensed imidezoles as adhesion mol. expression inhibitors)
 RN 175143-00-7 CAPLUS
 CN 2,4-Thiazolidinedione, 3-[4-[(2-amino-3-pyridinyl)oxy]butyl]- (9CI) (CA INDEX NAME)



RN 175143-08-5 CAPLUS
 CN 2,4-Oxazolidinedione, 3-[4-[(2-amino-3-pyridinyl)oxy]butyl]- (9CI) (CA INDEX NAME)



RN 175143-47-2 CAPLUS
 CN 2,4-Thiazolidinedione, 3-[3-[(2-amino-3-pyridinyl)oxy]propyl]- (9CI) (CA INDEX NAME)

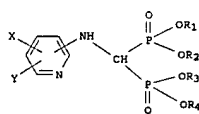


L22 ANSWER 77 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1996:134112 CAPLUS
 DOCUMENT NUMBER: 124:18557
 TITLE: Pyridylbisphosphonates for use as a therapeutic

INVENTOR(S): agent
 Heikkilae-Noikka, Marjaana; Nikander, Hannu;
 Hannuniemi, Ritva; Lauren, Leena; Kleimola, Terttu;
 Liukko-Sipi, Sirpi; Vesaenenen, Keltervo; Sellman, Raija
 PATENT ASSIGNEE(S):
 SOURCE: Lelasa Oy, Finland
 PCT Int. Appl., 21 pp.
 CODEN: PIKX22
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

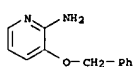
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533466	A1	19951214	WO 1995-FI315	19950602
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GR, HU, IE, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2192456	AA	19951214	CA 1995-2192456	19950602
AU 9525698	A1	19960104	AU 1995-25698	19950602
AU 691616	B2	19980521		
EP 762883	A1	19970319	EP 1995-920122	19950602
EP 762883	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1149830	A	19970514	CN 1995-193416	19950602
CN 1077792	B	20020116		
HU 75525	A2	19970528	HU 1996-3375	19950602
BR 9508185	A	19970812	BR 1995-8185	19950602
JP 10500977	T2	19980127	JP 1995-500400	19950602
RU 2154482	C2	20000820	RU 1997-100161	19950602
PL 180705	B1	20010320	PL 1995-117612	19950602
ES 3475	B1	20010815	ES 1996-191	19950602
AT 208622	E	20011115	AT 1995-920122	19950602
ES 2162919	T3	20020116	ES 1995-920122	19950602
PT 762883	T	20020531	PT 1995-920122	19950602
SK 282650	B6	20021008	SK 1996-1572	19950602
CZ 191477	B6	20030312	CZ 1996-3561	19950602
RO 119433	B1	20041130	RO 1996-2286	19950602
FI 9604849	A	19961204	FI 1996-4849	19961204
NO 9605228	A	19961206	NO 1996-5228	19961206
NO 311069	B1	20011008		
US 5866556	A	19990202	US 1996-750355	19961206
BG 63104	B1	20010430	BO 1997-101116	19970106
HK 1012572	A1	20020726	HK 1998-113970	19981217
US 6083938	A	20000704	US 1998-219692	19981223
SE 1994-2001	A	19940609		
WO 1995-FI315	W	19950602		
US 1996-750355	A1	19961206		

PRIORITY APPL. INFO.:
 OTHER SOURCE(S): MARPAT 124:185557
 GI



AB The present invention relates to certain optionally ring substituted pyridinylaminomethylidene bisphosphonic acid tetraalkyl esters (I), where R1 to R4 = straight or branched saturated C1-5 alkyl, X and Y = H, straight or branched saturated C1-5 alkyl, halogen, benzyloxy, nitro trifluoromethyl, etc., or NR5R6 where R5 and R6 = the same or different and are H, C1-5 alkyl or acyl. Their use for the treatment of bone diseases, such as osteolytic bone diseases due to malignancy, Paget's disease and primary and secondary osteoporosis are described.

IT 24016-03-3P, 2-Amino-3-benzyloxy pyridine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; pyridylbisphosphonates preparation for use as therapeutic agents)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

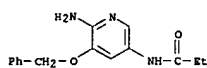


L22 ANSWER 78 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1996:86801 CAPLUS
 DOCUMENT NUMBER: 124:146154
 TITLE: Preparation of imidazopyridine derivatives as bradykinin antagonists
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Sato, Shigeki; Abe, Yoshito; Sawada, Yuki; Tanaka, Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
 CODEN: JKJXAP
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07242666	A2	19950919	JP 1994-37276	19940308

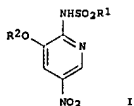
PRIORITY APPL. INFO.:
 OTHER SOURCE(S): MARPAT 124:146154
 GI For diagram(s), see printed CA issue.
 AB The title compds. I [R1 = H, alkyl, alkenyl, hydroxyalkyl, substituted hydroxyalkyl, alkylthio, hydroxy, alkoxy, haloalkyl, acyl, halo, R2 = H, alkyl, haloalkyl, acyl, aryl, or R1R2 = alkylene; R3 = H, alkyl, haloalkyl, acyl, R4 = (un)substituted aryl, (un)substituted heterocyclic ring, etc.; ring A = Q1, etc.; Q = O, NH, S, SO, SO2, CO2, alkenylene; X1 = H, CR5; R5 = H, halo; Y1, Y2 = single bond, alkylene and salts thereof are claimed. In an in vitro test, 4-[2,6-dichloro-3-(N-methyl-N-

IT	166259-94-51 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of aminonitropyridine derivative by amination of nitropyridine derivative and conversion into diaminohydroxypyridine derivative)
RN	166259-94-5 CAPLUS
CN	Propanamide, N-[6-amino-5-(phenylmethoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

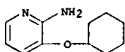


L22 ANSWER 81 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:330408 CAPLUS
 DOCUMENT NUMBER: 122:105677
 TITLE: Preparation of sulfonamidopyridines as pharmaceuticals
 INVENTOR(S): Yoshikawa, Yoshinari; Saito, Hideji; Shimazaki, Yoichi; Kashiwa, Mariko; Hatakeyama, Katsuo
 PATENT ASSIGNEE(S): Teisho Pharma Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
 CODEN: JKKXAP
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

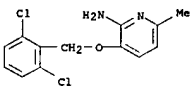
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06271542	A2	19940927	JP 1993-57354	19930317
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):				
GI				



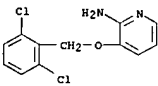
AB The title compds. I [R1 = alkyl; R2 = alkyl, benzyl, etc.], useful as inflammation and allergy inhibitors, analgesics, and antipyretics (no data), are prepared I [R1 = Me; R2 = cyclohexyl] was prepared in a 3-step process starting with 2-amino-3-hydroxypyridine.
 IT 160656-01-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of sulfonamidopyridines as pharmaceuticals)
 RN 160656-01-9 CAPLUS
 CN 2-Pyridinamine, 3-(cyclohexyloxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 82 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:330513 CAPLUS
 DOCUMENT NUMBER: 122:105879



IT 107229-64-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of imidazopyridine bradykinin antagonist)
 RN 107229-64-1 CAPLUS
 CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)

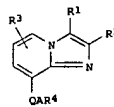


L22 ANSWER 83 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1994:557652 CAPLUS
 DOCUMENT NUMBER: 121:157652
 TITLE: [[(Tetraazolybiphenyl)methyl]amino]pyridinecarboxylates as Angiotensin II Receptor Antagonists
 INVENTOR(S): Winn, Martin; De, Biswanath; Zydowsky, Thomas M.; Kerkman, Daniel J.; Debernardis, John F.; Rosenberg, Saul H.; Shiozaki, Kazumi; Basha, Fatima Z.; Tasker, Andrew S.; et al.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: U.S., 98 pp. Cont.-in-part of U.S. Ser. No. 744,241.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

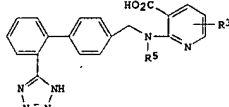
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5250548	A	19931005	US 1992-844351	19920302
CA 2050723	AA	19920311	CA 1991-2050723	19910905
AU 9183744	A1	19920312	AU 1991-83744	19910909
AU 647174	B2	19940317		
JP 04261156	A2	19920917	JP 1991-258343	19910910
JP 07053551	A2	19950228	JP 1993-187412	19930630
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):				
GI				

TITLE: Preparation of imidazo[1,2-a]pyridines as bradykinin antagonists.
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Yuki, Sawada, Tanaka, Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 117 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

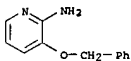
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 596406	A1	19940511	EP 1993-117474	19931028
EP 596406	B1	19981216		
AU 9350242	A1	19940512	AU 1993-50242	19931026
AU 686115	B2	19980205		
ZA 9308011	A	19940609	ZA 1993-8011	19931027
IL 107426	A1	19970713	IL 1993-107426	19931027
AT 174596	S	19990115	AT 1993-117474	19931028
ES 2125294	T3	19990301	ES 1993-117474	19931028
CA 2102137	AA	19940503	CA 1993-2102137	19931101
CN 1089947	A	19940727	CN 1993-119684	19931101
HU 66302	A2	19941128	HU 1993-3119	19931102
JP 07300478	A2	19951114	JP 1993-274643	19931102
JP 2763036	B2	19980611		
US 5574042	A	19961112	US 1995-441786	19950516
US 5750699	A	19980512	US 1996-662198	19960612
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):				
GI				



AB Title compds. [I; R1 = halo; R2, R3 = H, alkyl, haloalkyl, acyl, R4 = aryl having suitable substituent(s); heterocyclyl optionally having suitable substituent(s); Q = O or NR11; R11 = H, acyl; and A = alkylenyl], were prepared. Thus, 8-(2,6-dichloro-3-nitrobenzyloxy)-2-methylimidazo[1,2-a]pyridine was stirred with N-bromosuccinimide in EtOH/dioxane to give 3-bromo-8-(2,6-dichloro-3-nitrobenzyloxy)-2-methylimidazo[1,2-a]pyridine. I at 10-5 M gave 95-100% inhibition of 3H-bradykinin binding to guinea pig ileum preps.
 IT 151411-35-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for imidazopyridine bradykinin antagonist)
 RN 151411-35-7 CAPLUS
 CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]-6-methyl- (9CI) (CA INDEX NAME)

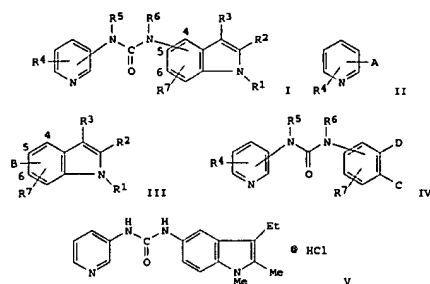


AB The title compds., [(tetrazolybiphenyl)methyl]amino]pyridinecarboxylates I (R3 = H, alkyl, halo; R5 = alkyl) were disclosed. Pharmacol. test data for I as angiotensin receptor antagonists were reported.
 IT 24016-03-3, 2-Amino-3-(benzyloxy)pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant for [(tetrazolybiphenyl)methyl]amino]pyridinecarboxylates)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 84 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1994:533970 CAPLUS
 DOCUMENT NUMBER: 121:133970
 TITLE: preparation of heterocyclic compounds as 5-HT1c antagonists
 INVENTOR(S): Beecham Group P.L.C., UK
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 59 pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

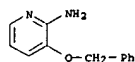
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1076197	A	19930915	CN 1992-102504	19920309
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):				
GI				



AB Title compds. I [R1,R2,R3 = H, C1-6 alkyl; R4 = H, C1-6 alkyl, halo, OH, (un)substituted amino; R5, R6 = H, C1-6 alkyl; R7 = H, C1-6 alkyl, halo], 5-HT1c antagonists and therefore useful for treatment of many ailments. are prepared via coupling of the pyridine deriva. II with indole deriva. III (where A and B indicate the reaction sites) and cyclization of the resulting urea deriva. IV [C and D are groups that can together with the benzene ring form an indole moiety]. E.g., 5-amino-3-ethyl-1,2-dimethyl-1H-indole (prepared according to a method published in J. Med. Chemical in 1986 by P. Fludzinski et. al) was reacted with phosgene and 3-aminopyridine in toluene-CH2Cl2 containing Et3N at room temperature for 3.5 h to give, after treatment with HCl, the title compound V. In an in vitro study using this had a pKi of 7.6 for antagonizing the affinity of a 3H-labeled methylthio derivative of ergine for the 5-HT1c receptors.

IT 24016-03-3, 2-Amino-3-(benzyloxy)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of 5-HT1c antagonists)

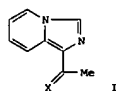
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 85 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1994:323567 CAPLUS
DOCUMENT NUMBER: 120:323567
TITLE: Preparation of (hydroximinomethyl)diazoles as anticholesteremic
INVENTOR(S): Larsen, Scott D.; Spilman, Charles H.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

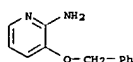
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9325553	A1	19931223	WO 1993-04059	19930505
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RM: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342933	A1	19940104	AU 1993-42933	19930505
EP 649423	B1	19950426	EP 1993-912362	19930505
EP 649425	B1	19950426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07507796	T2	19950831	JP 1993-501458	19930505
AT 177426	E	19950315	AT 1993-912362	19930505
ES 2130269	T3	19950701	ES 1993-912362	19930505
CN 1081678	A	19940209	CN 1993-107183	19930617
PRIORITY APPLN. INFO.:			US 1992-900229	A2 19920617
			WO 1993-04059	A 19930505
OTHER SOURCE(S):		MARPAT 120:323567		
OI				



AB RR2C:NR1 [R = e.g. (substituted)pyrazolo[1,5-a]pyridin-3-yl, etc.; R1 = OH, hydroxyalkoxy, alkanoyloxy, etc.; R2 = alkyl, (substituted)Ph, etc.] were prepared. Thus, imidazopyridinylethanone I (X = O) was condensed with HONH2.HCl to give I (X = NH) which gave LDL/VLDL serum cholesterol level 41% that of controls in chow-fed quail receiving 50mg/kg from feed.

IT 24016-03-3, 3-Benzyloxy-2-aminopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of anticholesteremic)

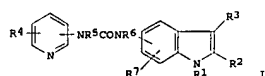
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 86 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1994:134294 CAPLUS
DOCUMENT NUMBER: 120:134294
TITLE: Preparation of indolyl pyridylureas as 5-HT1c receptor antagonists
INVENTOR(S): Forbes, Ian Thomson; Martin, Roger Thomas
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

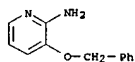
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318026	A1	19930916	WO 1992-GB381	19920304
W: BR, CS, FI, HU, NO, PL				
PRIORITY APPLN. INFO.:			WO 1992-GB381	19920304
OTHER SOURCE(S):		MARPAT 120:134294		
OI				



AB Title compds. I (R1, R2, R3 = H, C1-6 alkyl; R4 = H, C1-6 alkyl, halo, HO, R9R8N where R8, R9 = H, C1-6 alkyl; R5, R6 = H, C1-6 alkyl, halo) or a salt thereof, are prepared 5-Amino-1-methyl-1H-indole (preparation given), and 3-aminopyridine were reacted to give I (R1 = Me, R2-7 = H) converted to the HCl salt (II). In test to assess the antagonist action, II had a KB (apparent dissociation constant) of 1 + 10-7M. I are claimed to be useful in CNS disorders treatment (no data) in rat stomach fundus.

IT 24016-03-3, 2-Amino-3-benzyloxy pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of 5-HT1c antagonist)

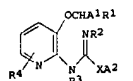
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 87 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1994:8473 CAPLUS
DOCUMENT NUMBER: 120:8473
TITLE: [(Alkoxy)pyridinyl]amine derivative gastric acid secretion inhibitors, their preparation and use as medicines
INVENTOR(S): Ife, Robert John; Leach, Colin Andrew; Dhanak, Dasbyant
PATENT ASSIGNEE(S): Smithkline Beecham Intercredit B.V., Neth.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315055	A1	19930805	WO 1993-EP174	19930126
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RM: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

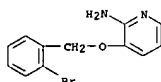
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 9333525	A1	19930901	AU 1993-33525	19930126
EP 625143	A1	19941123	EP 1993-902250	19930126
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07503021	T2	19950330	JP 1993-512929	19930126
US 5409943	A	19950425	US 1994-256697	19940720
PRIORITY APPLN. INFO.:			GB 1992-1693	A 19920127
			WO 1993-EP174	A 19930126
OTHER SOURCE(S):		MARPAT 120:8473		
OI				



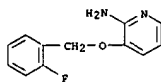
AB The title compds. I [A1, A2 = (un)substituted Ph; R1-R3 = H, C1-4 alkyl; R4 = H, halogen, C1-6 alkyl, C1-6 alkoxy; X = CH2, NR5; R5 = H, C1-4 alkyl], useful as gastric acid secretion inhibitors, are prepared. Thus, 2-MeC8H4CH2CN was reacted with HCl gas in EtOH forming Et 2-methylphenylacetimidate hydrochloride, which was reacted with 2-amino-3-benzyloxy pyridine, producing N-[3-(benzyloxy)-2-pyridyl]-2-methylphenylacetamide hydrochloride, m.p. 119-120°.

IT 26419-18-1P 79707-17-8P 81066-59-3P
81066-64-0P 107229-58-3P 107229-61-8P
107229-64-1P 117523-95-2P 151410-97-8P
151411-04-0P 151411-08-4P 151411-13-1P
151411-17-5P 151411-20-0P 151411-26-6P
151411-35-7P 151411-38-0P 151411-41-5P
151411-43-7P 151411-63-1P 151411-94-8P
151411-97-1P 151412-01-0P 151412-08-7P
151412-11-2P 151412-16-7P 151412-31-6P
RL: RCT (Reactant); RPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of gastric acid secretion inhibitors)

RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)

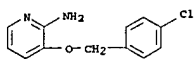


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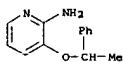


RN 81066-59-3 CAPLUS

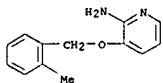
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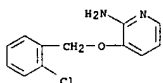
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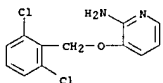
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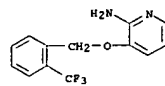
RN 107229-61-8 CAPLUS
CN 2-Pyridinamine, 3-[(2-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



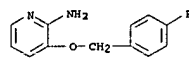
RN 107229-64-1 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



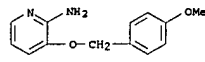
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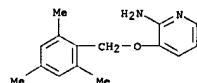
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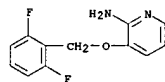
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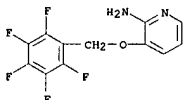
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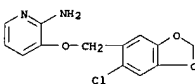
RN 151411-13-1 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-difluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



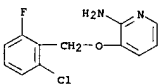
RN 151411-17-5 CAPLUS
CN 2-Pyridinamine, 3-[(pentafluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



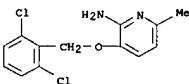
RN 151411-20-0 CAPLUS
CN 2-Pyridinamine, 3-[(6-chloro-1,3-benzodioxol-5-yl)methoxy]- (9CI) (CA INDEX NAME)



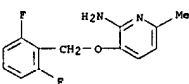
RN 151411-26-6 CAPLUS
CN 2-Pyridinamine, 3-[(2-chloro-6-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



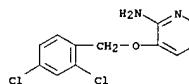
RN 151411-35-7 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]-6-methyl- (9CI) (CA INDEX NAME)



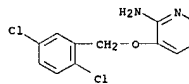
RN 151411-38-0 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-difluorophenyl)methoxy]-6-methyl- (9CI) (CA INDEX NAME)



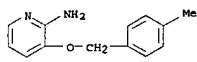
RN 151411-41-5 CAPLUS
CN 2-Pyridinamine, 3-[(2,4-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



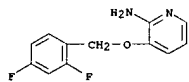
RN 151411-43-7 CAPLUS
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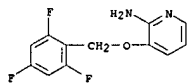
RN 151411-63-1 CAPLUS
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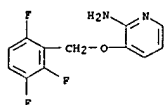
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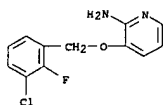
RN 151411-97-1 CAPLUS
CN 2-Pyridinamine, 3-[(2,4,6-trifluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



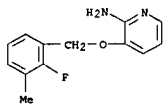
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CN 2-Pyridinamine, 3-[(2,3,6-trifluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



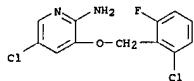
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CN 2-Pyridinamine, 3-[(3-chloro-2-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



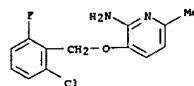
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CN 2-Pyridinamine, 3-[(2-fluoro-3-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



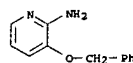
RN 151412-16-7 CAPLUS
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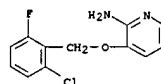
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CN 2-Pyridinamine, 3-[(2-chloro-6-fluorophenyl)methoxy]-6-methyl- (9CI) (CA INDEX NAME)



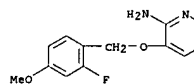
IT 24016-03-3, 2-Amino-3-benzoyloxypyridine 151411-26-6
151412-06-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of gastric acid secretion inhibitors)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 151411-26-6 CAPLUS
CN 2-Pyridinamine, 3-[(2-chloro-6-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)

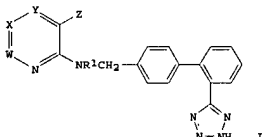


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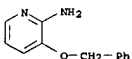
L22 ANSWER 88 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1993:671084 CAPLUS
DOCUMENT NUMBER: 119:271084
TITLE: 2-(Alkylamino)nicotinic acid and analogs. Potent angiotensin II antagonists
AUTHOR(S): Winn, Martin; De, Biewanath; Zydowsky, Thomas M.; Altenbach, Robert J.; Basha, Fatima Z.; Boyd, Steven A.; Brune, Michael E.; Buckner, Steven A.; Crowell, DeAnne; et al.
CORPORATE SOURCE: Cardiovas. Res. Div., Abbott Lab., Abbott Park, IL, 60064, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(10), 2676-88
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal

LANGUAGE: English
GI



AB A series of pyridines and other six-membered ring heterocycles connected to a biphenyl-tetrazole with a -CH2-NR1-link were discovered to be potent angiotensin II antagonists. In the pyrimidine carboxylic acid series I (W = CR, X = N, Y = CH, Z = COOH), compds. with an alkyl group (R1) on the exocyclic nitrogen were much more potent than compds. with an alkyl group (R) on the heterocyclic ring. The corresponding pyridine, pyridazine, pyrazine, and 1,2,4-triazine carboxylic acids also showed potent in vitro angiotensin II antagonism. The pyridine I (W, X, Y = CH, Z = COOH, R1 = n-C3H7) demonstrated potent in vitro activity (pA2 = 10.10, rabbit aorta, and Ki = 0.61 nM, receptor binding in rat liver) as well as exceptional oral antihypertensive activity and bioavailability. Any nonacidic replacement for the carboxylic acid was detrimental for activity.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



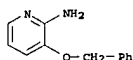
L22 ANSWER 89 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1993:240939 CAPLUS
DOCUMENT NUMBER: 118:240939
TITLE: Pharmaceuticals containing antipsychotic 3-piperidinyl-1,2-benzisoxazoles
INVENTOR(S): Janssen, Cornelius O. M.; Knaeps, Alfonsus G.; Kennis, Ludo E. J.; Vandenberg, Jan
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 267,857, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

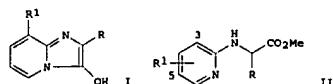
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ES 2075036	T3	19951001	ES 1989-202724	19891030
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JP 2758045	B2	19980525		
KR 146053	B1	19980817	KR 1989-16114	19891107
US 5254556	A	19931019	US 1992-932142	19920819
US 6320048	B1	20011120	US 1993-100907	19930803
PRIORITY APPLN. INFO.:			US 1988-267857	B2 19881107
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			US 1992-932142	A3 19920819

OTHER SOURCE(S): MARPAT 118:240939
AB The compds. have long-acting antipsychotic properties useful in the treatment of warm-blooded animals. Thus, 3-(2-(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl)ethyl)-6,7,8,9 tetrahydro-7-methoxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one was reacted with iodotrimethylsilane in acetonitrile and refluxed overnight, evaporated and the residue purified to obtain 3-(2-(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl)ethyl)-6,7,8,9 tetrahydro-9-hydroxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (I). The antipsychotic activity of I was studied in dogs. A capsule contained I 20, Na lauryl sulfate 6, starch 56, lactose 56, silicon dioxide 0.8, Mg stearate 1.2 parts.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antipsychotics)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



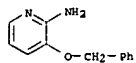
L22 ANSWER 90 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1993:124978 CAPLUS
DOCUMENT NUMBER: 118:124978
TITLE: Syntheses of functionalized N-(2-pyridyl)- amino acids and esters by ring opening of imidazo[1,2-a]pyridine
AUTHOR(S): Doise, Muriel; Blondeau, Dominique; Sliwa, Henri
CORPORATE SOURCE: Lab. Chim. Organ. Environ., Univ. Sci. Technol. Lille, Villeneuve d'Ascq, 59655, Fr.
SOURCE: Heterocycles (1992), 34(11), 2079-93
CODEN: HETCYM; ISSN: 0365-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:124978
GI



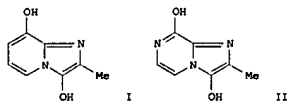
AB The ring opening of the imidazole nucleus of functionalized imidazo[1,2-a]pyridines I (R = Me, Ph, R₁ = OCH₂Ph, NO₂) by MeOH in strong acid medium (HClO₄) is reported, leading to esters of N-(2-pyridyl)-α-amino acids II in which the heterocyclic moiety bears a functional group. I (R = H, Me, Ph, 4-ClCH₂, 4-OMeCH₂, R₁ = 3-OCH₂Ph, 3-NO₂, 5-NO₂) were also prepared by direct condensation of glyoxal deriva. RCOCHO with the corresponding 2-aminopyridine deriva. in methanolic perchloric acid.

IT 24016-03-3 2-Amino-3-benzoyloxy-pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation-methanolysis of, with glyoxal deriva., pyridyl amino esters from acid-promoted)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 91 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1993:124491 CAPLUS
 DOCUMENT NUMBER: 118:124491
 TITLE: Syntheses of 3,8-dihydroxyimidazo[1,2-a]pyridines and -[1,2-a]pyrazines
 AUTHOR(S): Doise, Muriel; Blondeau, Dominique; Gliwa, Henri
 CORPORATE SOURCE: Lab. Chim. Org. Environ., Univ. Sci. Technol. Lille, Villeneuve d'Ascq, Fr.
 SOURCE: Heterocycles (1992), 34(11), 2065-77
 CODEN: HETCYM; ISSN: 0365-5414
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 118:124491
 GI

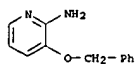


AB 3,8-Dihydroxyimidazo[1,2-a]pyridines, e.g. I, and -[1,2-a]-pyrazines, e.g.

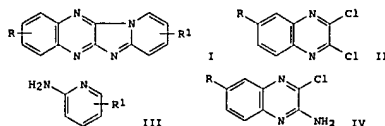
II, were prepared by condensation of glyoxal deriva. with Me or benzyl ethers of 2-amino-3-hydroxypyridine and -pyrazine followed by cleavage of the ether group.

IT 24016-03-3 2-Amino-3-(benzyloxy)pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with glyoxals)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



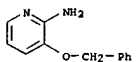
L22 ANSWER 92 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1992:571381 CAPLUS
 DOCUMENT NUMBER: 117:171381
 TITLE: Synthesis of pyrido[1',2':1,2]imidazo[4,5-b]quinoxalines
 AUTHOR(S): Tanaka, Kiyoshi; Takahashi, Hideki; Takimoto, Kozo; Sugita, Masahiko; Mitsuhashi, Keiyo
 CORPORATE SOURCE: Fac. Eng., Seikei Univ., Musashino, 180, Japan
 SOURCE: Journal of Heterocyclic Chemistry (1992), 29(4), 771-7
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:171381
 GI



AB Synthesis of title compds. I (R = H, 6-, 9-Cl, 8-, 9-Bz, 8-, 9-NO₂; R₁ = H, 1-, 2-, 3-, 4-Me, 4-PhCH₂O) by the facile cyclizations of 2,3-dichloroquinoxalines II with 2-aminopyridines III and of 2-amino-3-chloroquinoxalines IV (R = H) with various substituted pyridines is described.

IT 24016-03-3 2-Amino-3-(benzyloxy)pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with dichloroquinoxaline)

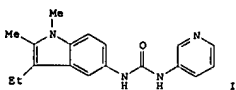
RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 93 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1992:571227 CAPLUS
 DOCUMENT NUMBER: 117:171227
 TITLE: N-indolyl-N'-pyridylureas, a method for their preparation and their use as 5-HT receptor antagonists and anxiolytics
 INVENTOR(S): Forbes, Ian Thomson; Martin, Roger Thomas
 PATENT ASSIGNEE(S): Beecham Group PLC, UK
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXX22
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 9205170	A1	19920402	MO 1991-GB1553	19910911
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2091246	AA	19920314	CA 1991-3091246	19910911
AU 9185038	A1	19920415	AU 1991-85038	19910911
AU 642041	B2	19931007		
ZA 9107217	A	19920626	ZA 1991-7217	19910911
EP 550507	A1	19930714	EP 1991-916525	19910911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06500551	T2	19940120	JP 1991-514979	19910911
US 5328922	A	19940712	US 1993-30103	19930311
PRIORITY APPL. INFO.:				
GB 1990-20030 A 19900913				
GB 1991-6079 A 19910322				
GB 1991-6092 A 19910322				
GB 1991-6094 A 19910322				
WO 1991-GB1553 A 19910911				

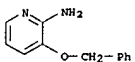
OTHER SOURCE(S): CASREACT 117:171227; MARPAT 117:171227
 GI



AB Certain N-indolyl-N'-pyridylureas are claimed. A process for their preparation comprises the coupling of a pyridine derivative with an indole derivative. The use of said ureas for the treatment of anxiety, depression, migraines, anorexia, Alzheimer's disease, etc., is claimed. Treatment of 3-ethyl-1,2-dimethyl-1H-indol-5-amine with phosgene in toluene/methylene chloride was followed by addition of 3-pyridinamine gave N-(3-ethyl-1,2-dimethyl-1H-indol-5-yl)-N'-3-pyridylurea (I). I had in vitro activity as 5-HT_{1C} receptor antagonist and as anxiolytic activity in rats.

IT 24016-03-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (condensation reaction of, with phosgene and amine, urea derivative from)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



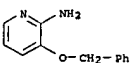
L22 ANSWER 94 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1992:151695 CAPLUS
 DOCUMENT NUMBER: 116:151695
 TITLE: Studies on antiulcer drugs. I. Synthesis and antiulcer activities of imidazo[1,2-a]pyridinyl-2-oxobenzoxazolidinones, -3-oxo-2H-1,4-benzoxazines and related compounds
 AUTHOR(S): Katsura, Yousuke; Nishino, Shigetaka; Takasugi, Hisashi
 CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(11), 2937-43
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of imidazo[1,2-a]pyridinyl-2-oxobenzoxazolidinones I (R = H, 6-, 7-Me, 8-OH, 8-OCH₂Ph; R₁ = Me; R₂ = Me, Me₂CH, Me₃CO₂CH₂; X = O), -3-oxo-2H-1,4-benzoxazines II (R₃ = H, 6-, 7-, 8-Me, 8-EO; R₄ = H, Me, Et, Br, Me₂CH₂, R₅ = H, Me, Et₂CHCH₂, R₆ = H, Me, Et; X₁ = O), their thio analogs I (R = H, 7-Me; R₁ = H, Me, Et, Me₂CH₂; R₂ = H, Me, Et₂CHCH₂; X = S) and II (R₃ = H, 7-Me; R₄ = Me; R₅ = H, Me; X₁ = S) and 5,6,7,8-tetrahydroimidazo[1,2-a]pyridinyl deriva., e.g., III and IV, were synthesized and tested for anti-stress ulcer activity in rats. Several compds. were more active than the reference compds., tolidimide, cimetidine and sucralfate. Among them, I (R = 7-Me, R₁ = R₂ = Me, X = O) and II (R₃ = 7-Me, R₄ = R₅ = Me, R₆ = H, Et₂CHCH₂, X₁ = O) also exhibited potent protective activity against ethanol-induced gastric lesion. The synthesis and structure-activity relationships of these compds. are discussed.

IT 24016-03-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with bromocyclobenzoxazolidinone)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 95 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1992:68603 CAPLUS

DOCUMENT NUMBER: 116:68603

TITLE: Synthesis and coordination ability of substituted imidazo-pyridines, structural analogs of oxine. Influence of copper(II) and nickel(II) ions on toxicity of the organic ligand

AUTHOR(S): Sawicka, Jolanta; Youyou, Nasser; Swiatek, Jolanta; Decock, Patrick; Kozłowski, Henryk; Blondeau, Dominique; Lenormand, Isabelle

CORPORATE SOURCE: Dep. Basic Med. Sci., Med. Acad., Wrocław, Pol. Journal of Inorganic Biochemistry (1991), 44(2), 117-25

CODEN: JIBIDJ; ISSN: 0162-0134

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Potentiometric and EPR study on Cu(II) and Ni(II) ion complexes with several imidazopyridines have shown that the oxine type of donor set, (N, O-), is an effective binding site for metal ions, although the formed complexes are considerably weaker than those of oxine itself. The modification of the ligand mol. may drastically change the coordination equilibrium and stabilities of the resp. species. The rec-assay tests detecting the chemical's toxicity indicate that metal ion binding to organic mol. may lead to toxicity which is not observed for each of the complex components.

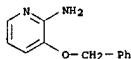
IT 24016-03-39

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with Et bromopyruvate)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 96 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1991:679942 CAPLUS

DOCUMENT NUMBER: 115:279942

TITLE: Synthesis of new heterocyclic phenols: 9-hydroxyprido[1,2-a]pyrimidin-4-one and derivatives

AUTHOR(S): Dennin, F.; Blondeau, D.; Sliwa, H. Lab. Chim. Org., Univ. Sci. Tech. Lille Plandres

CORPORATE SOURCE: Artois, Villeneuve d'Ascq, F 59655, Fr. Journal of Heterocyclic Chemistry (1991), 28(5), 1287-91

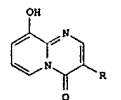
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:279942

GI



AB Hydroxyprido[1,2-a]pyrimidinone I [R = H; R1 = H (II)] was prepared by condensation of 2-amino-3-hydroxypridine with isopropylidene aminomethylenemalonate. The reaction first led to an enamine ester intermediate which underwent cyclization by heating at 250° affording the new heterocyclic phenol II. A similar condensation performed on 2-amino-3-benzoyloxypridine yielded the corresponding benzyl ether which can be easily debenzylated to II by hydrogenolysis. Furthermore, 2-amino-3-benzoyloxypridine condensed with di-Et ethoxymethylenemalonate to pyridopyrimidinone I (R = CH2Ph; R1 = CO2Et) which was also debenzylated to the corresponding free phenol.

IT

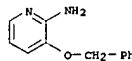
24016-03-39

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and condensation of, with Meldrum's acid)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 97 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1991:632170 CAPLUS

DOCUMENT NUMBER: 115:232170

TITLE: Betaines from new heterocyclic phenols: 9-oxido-pyrdo[1,2-a]pyrimidin-5-ium and derivatives

AUTHOR(S): Dennin, F.; Blondeau, D.; Sliwa, H. Lab. Chim. Pharm., Univ. Rene Descartes, Paris, F-75006, Fr.

CORPORATE SOURCE: Tetrahedron Letters (1991), 32(34), 4307-8

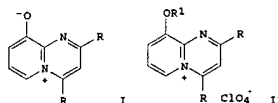
CODEN: TELBAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:232170

GI



AB The title compds. I (R = H, Me) were obtained from the corresponding hydroxy compds. II (R1 = H, CH2Ph) by ion exchange on Amberlite IRA 401 S. II in turn were prepared by the cyclocondensation of pyridines III with MeCOCH2COMe or (MeO)2CHCH2CH(OMe)2 in HClO4.

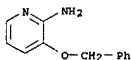
IT 24016-03-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(cyclocondensation of, with acetoacetone or tetramethoxypropane)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 98 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1990:591384 CAPLUS

DOCUMENT NUMBER: 113:191384

TITLE: Preparation of 3-[[4-oxopyrido[1,2-a]pyrimidin-3-yl]piperidin-4-yl]-1,2-benzisoxazoles as antipsychotics

AUTHOR(S): Janssen, Cornelius Gerardus Maria; Knaepe, Alfonsus Quilielmus; Kennis, Ludo Edmond Josephine; Vandenberk, Jan

CORPORATE SOURCE: Janssen Pharmaceutica N. V., Belg. Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

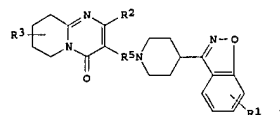
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 368388	A2	19900516	EP 1989-202724	19891030
EP 368388	A3	19910717		
EP 368388	B1	19950510		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2000786	A	19900507	CA 1989-2000786	19891016
CA 2000786	C	19900126		
AT 122349	E	19950515	AT 1989-202724	19891030
ES 2075036	T3	19951001	ES 1989-202724	19891030
DK 8905519	A	19900508	DK 1989-5519	19891106
DK 169923	B1	19950403		
NO 8904411	A	19900508	NO 1989-4411	19891106
NO 173015	B	19930705		
NO 173015	C	19931013		
AU 8944436	A1	19900510	AU 1989-44436	19891106
AU 614437	B2	19910829		
ZA 8908436	A	19910731	ZA 1989-8436	19891106
FI 92201	B	19940630	FI 1989-5261	19891106
FI 92201	C	19941010		
JP 02191276	A2	19900727	JP 1989-289842	19891107
JP 2758045	B2	19980525		
KR 146053	B1	19980817	KR 1989-16114	19891107
PRIORITY APPL. INFO.: OTHER SOURCE(S):			US 1988-267857	A 19881107
GI			MARPAT 113:191384	



AB Title compds. I (R1 = C1-4 alkyl, H, halo; R2 = C1-4 alkyl; R3 = NO, R4CO2, R4 = C1-19 alkyl; R5 = C1-4 alkanediyl) are prepared 3-(2-Chloroethyl)-6,7,8,9-tetrahydro-9-hydroxy-4H-pyrdo[1,2-a]pyrimidin-4-one, 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole.HCl, Me2CHNHCHMe2 and MeOH were stirred overnight at 60° to give I (R1 = 6-F; R2 = Me; R3 = 9-HO; R5 = Et) (II). Antipsychotic activity was demonstrated in the combined apomorphine, tryptamine and norepinephrine test in rats or the apomorphine test in dogs. The ED50's for II [apomorphine, tryptamine (convulsion, hyperemia), norepinephrine] were 0.25, 0.31, 0.002, 0.08, mg/kg, resp. Pharmaceutical formulations of I are presented.

IT

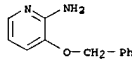
24016-03-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of antipsychotics)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 99 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1990:591333 CAPLUS

DOCUMENT NUMBER: 113:191333

TITLE: Benzazoles as histamine H2 antagonists

AUTHOR(S): Takasugi, Hirosaki; Katsura, Youzuke; Inoue, Yoshikazu; Nishino, Shigetaka; Takaya, Takao

CORPORATE SOURCE: Fujisawa Pharmaceutical Co., Ltd., Japan

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

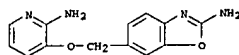
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

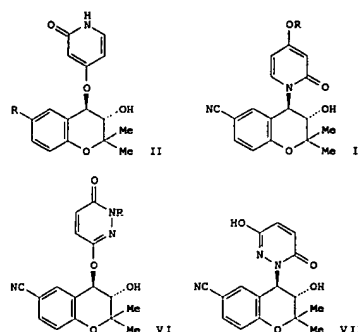
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 356234	A2	19900228	EP 1989-308593	19890824
EP 356234	A3	19900801		
EP 356234	B1	19940511		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5047411	A	19910910	US 1989-397669	19890823
AT 105554	E	19940515	AT 1989-308593	19890824
JP 02104578	A2	19900417	JP 1989-219383	19890825
JP 2697271	B2	19950531		
PRIORITY APPL. INFO.: OTHER SOURCE(S):			GB 1988-20231	A 19880825
GI			EP 1989-308593	A 19890824

R1A-c1ccc2c(c1)c(c[nH]2)X(R2)

CN 2-Benzoxazamine, 6-[[[2-amino-3-pyridinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



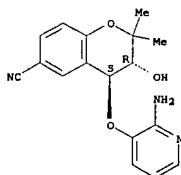
L22 ANSWER NO. OF 144 CASLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1990:552203 CASLUS
DOCUMENT NUMBER: 113:152203
TITLE:
4-Heterocycloxy-2H-1-benzopyran potassium channel
activators
Bergmann, Rolf; Riemann, Volker; Gericke, Rolf
Cent. Anal. Lab., R. Merck, Darmstadt, D-6100, Germany
SOURCE:
Journal of Medicinal Chemistry (1990), 33(10), 2759-67
CODEN: JMCMAH; ISSN: 0022-2623
DOCUMENT TYPE:
Journal
LANGUAGE:
English
OTHER SOURCE(S):
CASREACT 113:152203



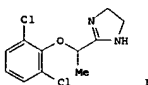
AB The reaction of 2,4-dihydroxypyridines with 3,4-epoxy-3,4-dihydro-2,3-dimethyl-2H-1-benzopyran-6-carbinol (I) yielded the 4-((1,2-dihydro-2-oxo-4-pyridyl)oxy) compound II (R = CN) (III) accompanied by small amounts of the isomeric 4-(1,2-dihydro-4-hydroxy-2-oxo-1-pyridyl) IV (R = H) (V). This could also be prepared by hydrogenation of the benzyl oxy derivative IV (R = PhCH₂O). Reaction of 3,6-pyridazinediol with I gave the 4-((1,6-dihydro-6-oxo-3-pyridazinyl)oxy) compound VI (R = H) (VII) which in turn rearranged on heating to give the 4-((1,6-dihydro-6-oxo-3-pyridazinyl)oxy) compound VIII (R = H) (IX). The differences between the 4-heterocycloalkoxychromanols and the isomeric N-substituted compds. V and VIII were elucidated by NMR investigations. While in DMSO the former appeared to be conformationally flexible mol., the latter were rigid. All compds. were tested for oral antihypertensive activity in spontaneously hypertensive rats, using doses of 1 mg/kg. High and long lasting activities were found for the pyridyl oxy compds. III and V (R = NO₂), the pyridazinyl compound VII and its N-alkylation products, as well as for the 3S,4R-enantiomers. VI (R = Me) was selected for further development.

2H-1-Benzopyran-6-carbonitrile, 4-[(2-amino-3-pyridinyl)oxy]-3,4-dihydro-3-hydroxy-2,2-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



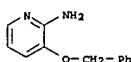
L22 ANSWER 101 of 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:55714 CAPLUS
DOCUMENT NUMBER: 112:55714
TITLE: Potential antiseecretory antidiarrheals. 2.
4 α -Adrenergic 2-[(aryloxy)alkyl]imidazoles
AUTHOR(S): Moormann, Alan E.; Pitelke, Barnett S.; Jones, P. H.;
Gullikson, Gary W.; Albin, David; Yu, Stella S.;
Bianchi, Robert G.; Sanguinetti, Elizabeth L.; Rubin,
Barbara; et al.
CORPORATE SOURCE: Preclin. Res., G. D. Searle and Co., Skokie, IL,
60077, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(2), 614-26
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:55714
01



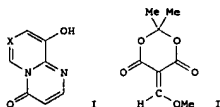
AB Lofexidine (I) an α_2 -agonist, has central hypotensive activity and peripheral antinociceptive antiretroviral activity. Analogs were synthesized with increased polarity in an attempt to prevent penetration of the blood-brain barrier. The compds. were evaluated in the cholera toxin-treated ligated jejunum of the rat and in the Using chamber with a rabbit ileum preparation Active compds. were determined to be α_2 -adrenergic agonists by yohimbine reversals of their Using chamber activities. The 2,6-di-Me derivative of I was as active as I in vivo, but derivs. with 2,6-substituents larger than Et were inactive. Aryloxyalkyl groups, which have an imidoline group, and the larger group of an alkyl exhibited the best antiretroviral activity. Compds. with substituents in the para position of the Ph ring were generally inactive. The 3-amino-1,6-dimethyl derivative was twice as active as 2,6-di-Me derivative; a 2-Me substituent is required in the 3-amino series to retain good activity. Substituents on the 3-amino group did not affect the activity, but substituting a hydroxyl for the amino group produced an inactive compound. Replacing the Ph moiety with a 4-indole resulted in retention of activity, but activity was poor. Compds. were inactive in the active compds. in the rat cholera toxin assay (RCTA), when evaluated in the dog exhibited antiretroviral activity, but also exhibited central nervous system CNS

effects, sedation, and ataxia, at 10 mg/kg, and in spontaneously hypertensive rats at 50 mg/kg. A measure of polarity, log P, was calculated for the aryloxyalkyl groups. Regression anal. showed no correlation of antisecretory ED50 to the calculated log P. The active comds. did not show a separation of the central CNS effects from the peripheral antisecretory activity by increasing the polarity.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with DMF dimethylacetal)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

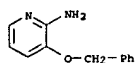


L22 ANSWER NO2 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1989:594704 CAPLUS
DOCUMENT NUMBER: 111:194704
TITLE:
Synthesis of new heterocyclic phenols:
3-hydroxypyridin[1,2-a]pyrimidin-4-one and
3-hydroxypyrimidin[1,6-a]pyrimidin-4-one
Dennin, F.; Blondeau, D.; Sliva, H.
Lab. Chim. Org. Univ. Sci. Tech. Lille Flandres
Artois, Villeneuve D'Ascq, 59658, Fr.
SOURCE:
Tetrahedron Lett. (1989), 30(12), 1529-30
CODEN: TETLEY; ISSN: 0040-4039
DOCUMENT TYPE:
Journal
LANGUAGE:
English
OTHER SOURCE(S):
CASREACT 111:194704
01

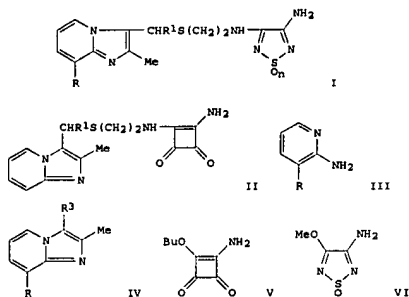


AB The novel title phenols I (X = CH, N) were prepared by condensation of a derivative II of Meldrum's acid with 3-benzoyloxy-2-aminopyridine or 5-benzoyloxy-4-aminopyrimidine, and hydrogenolysis of the protecting group.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with di-St ethoxymethylenemalonate)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

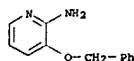


L22 ANSWER 103 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1989:553703 CAPLUS
 DOCUMENT NUMBER: 111:153703
 TITLE: Synthesis and biological activity of 3-substituted imidazo[1,2-a]pyridines as antiulcer agents
 AUTHOR(S): Sterrett, John E., Jr.; Montzka, Thomas A.; Crosswell, Alfred R.; Cavanagh, Robert L.
 CORPORATE SOURCE: Pharm. Res. Dev. Div., Bristol-Myers Co., Wallingford, CT, 06492, USA
 SOURCE: Journal of Medicinal Chemistry (1989), 32(9), 2204-10
 CODEN: JMCMAH; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 111:153703
 GI



AB New imidazo[1,2-a]pyridines I (R = H, OCH₂Ph; R₁ = H, Me; n = 0) and II (R₁ = H, Me) were prepared as potential antisecretory and cytoprotective antiulcer agents. The synthetic routes began with cyclization of aminopyridines III (R = H, OCH₂Ph) with MeCOCHClCOR₂ (R₂ = Me, OEt) to give imidazo[1,2-a]pyridines IV (R₃ = COR₂). The side chain at the 3-position was elaborated to give primary amines IV (R₃ = CHR₁CH₂CH₂NH₂), which were treated with either butoxycarbonylchlorobutenedione V or methoxycarbonylchlorobutenedione VI to give II and I (n = 1), resp. I (n = 1) were converted to I (n = 0) in a two-step process which involved extrusion of the sulfoxide in I (n = 1) to afford diimidamide intermediates, which were treated with thiois(phthalimide). None of the compds. displayed significant antisecretory activity in the gastric

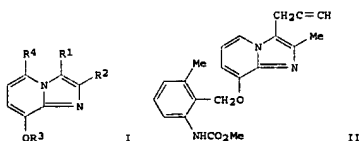
fistula rat model, but several demonstrated good cytoprotective properties in both the EtOH and HCl models. I (R = OCH₂Ph, R₁ = Me, n = 0) showed comparable cytoprotective activity to SCH-28080.
 IT 24016-03-3, 3-(Benzyloxy)-2-aminopyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, with chloropentanedione, imidazopyridine derivative from)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 104 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1989:75496 CAPLUS
 DOCUMENT NUMBER: 110:75496
 TITLE: Preparation of imidazo[1,2-a]pyridines as ulcer inhibitors
 INVENTOR(S): Shiokawa, Youichi; Nagano, Masanobu; Itani, Hiromichi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 59 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 268989	A1	19880601	EP 1987-117018	19871118
EP 268989	B1	19920708		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8708442	A	19880727	ZA 1987-8442	19871110
US 4831041	A	19890516	US 1987-119577	19871112
AT 78035	E	19920715	AT 1987-117018	19871118
ES 2064310	T3	19950201	ES 1987-117018	19871118
DK 8706088	A	19880527	DK 1987-6088	19871119
FI 8705157	A	19880527	FI 1987-5157	19871123
NO 8704904	A	19880527	NO 1987-4904	19871125
AU 8781693	A1	19880602	AU 1987-81693	19871125
JP 63146881	A2	19880618	JP 1987-297182	19871125
HU 45526	A2	19880728	HU 1987-5302	19871125
CN 87108027	A	19880608	CN 1987-108027	19871126
PRIORITY APPLN. INFO.:				
			GB 1986-28262	A 19861126
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			EP 1987-117018	A 19871118

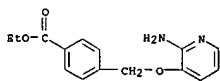
OTHER SOURCE(S): MARPAT 110:75496
 GI



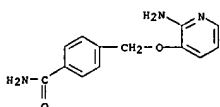
AB The title compds. (I; R₁ = alkynyl, alkynylalkoxyalkyl, dialkylaminoalkynyl; R₂ = alkyl; R₃ = substituted aralkyl; R₄ = H, alkyl) were prepared 2-Amino-3-(methoxymethoxy)pyridine and MeCOCH(OMe)CH₂C.tpbond.CH were refluxed 46.5 h in EtOH and the product stirred 5 h in 20% H₂SO₄ to give I (R₁ = CH₂C.tpbond.CH, R₂ = Me, R₃ = R₄ = H) which was stirred 2 h with 2,6-Me(MeO₂CNH)C₆H₃CH₂Cl in DMF containing K₂CO₃ to give title compound II. The latter gave 93.2% inhibition of EtOH-induced ulcers in rats at 32 mg/kg orally.

IT 117524-13-7P 117524-14-8P 117524-15-9P
 117524-17-1P 117524-18-2P 117524-19-3P
 117524-20-6P 117524-21-7P 117524-22-8P
 117524-23-9P 117524-24-0P 117524-25-1P
 117524-26-2P 117524-27-3P 117524-28-4P
 117524-29-5P 117524-30-8P 117524-35-3P
 117524-36-4P 117524-52-4P 117524-53-5P
 117524-54-6P 117524-55-7P 117524-56-8P
 117550-19-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of ulcer inhibitor)

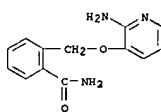
RN 117524-13-7 CAPLUS
 CN Benzoic acid, 4-[[[(2-amino-3-pyridinyl)oxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



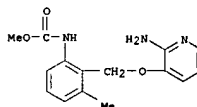
RN 117524-14-8 CAPLUS
 CN Benzamide, 4-[[[(2-amino-3-pyridinyl)oxy]methyl]- (9CI) (CA INDEX NAME)



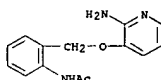
RN 117524-15-9 CAPLUS
 CN Benzamide, 2-[[[(2-amino-3-pyridinyl)oxy]methyl]- (9CI) (CA INDEX NAME)



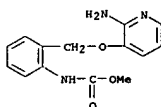
RN 117524-17-1 CAPLUS
 CN Carboxylic acid, 2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



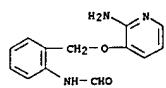
RN 117524-18-2 CAPLUS
 CN Acetamide, N-2-[[[(2-amino-3-pyridinyl)oxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



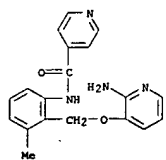
RN 117524-19-3 CAPLUS
 CN Carboxylic acid, 2-[[[(2-amino-3-pyridinyl)oxy]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



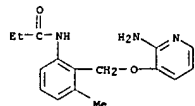
RN 117524-20-6 CAPLUS
 CN Formamide, N-2-[[[(2-amino-3-pyridinyl)oxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



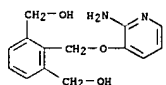
RN 117524-21-7 CAPLUS
CN 4-Pyridinecarboxamide, N-[2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]- (9CI) (CA INDEX NAME)



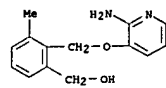
RN 117524-22-8 CAPLUS
CN Propanamide, N-[2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]- (9CI) (CA INDEX NAME)



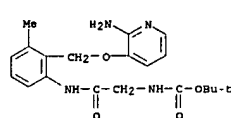
RN 117524-23-9 CAPLUS
CN 1,3-Benzenedimethanol, 2-[[[(2-amino-3-pyridinyl)oxy]methyl]]- (9CI) (CA INDEX NAME)



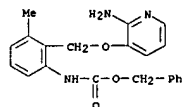
RN 117524-24-0 CAPLUS
CN Benzenemethanol, 2-[[[(2-amino-3-pyridinyl)oxy]methyl]]- (9CI) (CA INDEX NAME)



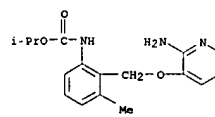
RN 117524-25-1 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]amino]-2-oxoethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



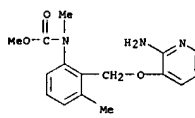
RN 117524-26-2 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]-, phenylmethyl ester (9CI) (CA INDEX NAME)



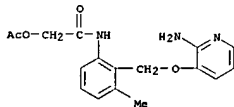
RN 117524-27-3 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



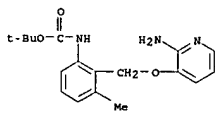
RN 117524-28-4 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



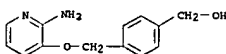
RN 117524-29-5 CAPLUS
CN Acetamide, 2-[2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]- (9CI) (CA INDEX NAME)



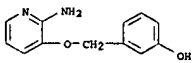
RN 117524-30-8 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



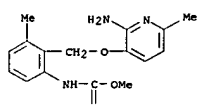
RN 117524-35-3 CAPLUS
CN Benzenemethanol, 4-[[[(2-amino-3-pyridinyl)oxy]methyl]]- (9CI) (CA INDEX NAME)



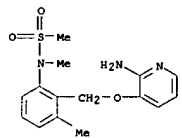
RN 117524-36-4 CAPLUS
CN Phenol, 3-[[[(2-amino-3-pyridinyl)oxy]methyl]]- (9CI) (CA INDEX NAME)



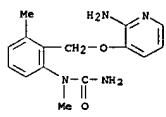
RN 117524-52-4 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-6-methyl-3-pyridinyl)oxy]methyl]-3-methylphenyl]]-, methyl ester (9CI) (CA INDEX NAME)



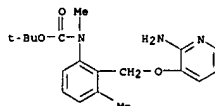
RN 117524-53-5 CAPLUS
CN Methanesulfonamide, N-[2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]-N-methyl- (9CI) (CA INDEX NAME)



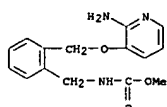
RN 117524-54-6 CAPLUS
CN Urea, N-[2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]]-N-methyl- (9CI) (CA INDEX NAME)



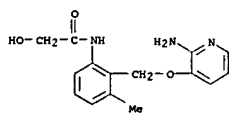
RN 117524-55-7 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



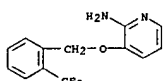
RN 117524-56-8 CAPLUS
CN Carbamic acid, [2-[[[(2-amino-3-pyridinyl)oxy]methyl]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



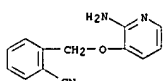
RN 117550-19-3 CAPLUS
CN Acetamide, N-[2-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]-2-hydroxy- (9CI) (CA INDEX NAME)



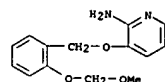
IT 117523-95-2P 117523-99-6P 117524-00-2P
117524-01-3P 117524-08-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of ulcer inhibitors)
RN 117523-95-2 CAPLUS
CN 2-Pyridinamine, 3-[[2-(trifluoromethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



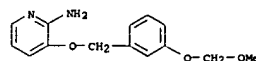
RN 117523-99-6 CAPLUS
CN Benzonitrile, 2-[[[(2-amino-3-pyridinyl)oxy]methyl]- (9CI) (CA INDEX NAME)



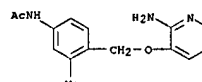
RN 117524-00-2 CAPLUS
CN 2-Pyridinamine, 3-[[2-(methoxymethoxy)phenyl]methoxy]- (9CI) (CA INDEX NAME)



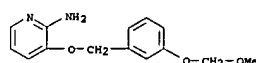
RN 117524-01-3 CAPLUS
CN 2-Pyridinamine, 3-[[2-(methoxymethoxy)phenyl]methoxy]- (9CI) (CA INDEX NAME)



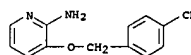
RN 117524-08-0 CAPLUS
CN Acetamide, N-[4-[[[(2-amino-3-pyridinyl)oxy]methyl]-3-methylphenyl]- (9CI) (CA INDEX NAME)



IT 117524-01-3 117524-12-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of ulcer inhibitors)
RN 117524-01-3 CAPLUS
CN 2-Pyridinamine, 3-[[2-(methoxymethoxy)phenyl]methoxy]- (9CI) (CA INDEX NAME)

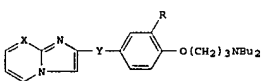


RN 117524-12-6 CAPLUS
CN Benzonitrile, 4-[[[(2-amino-3-pyridinyl)oxy]methyl]- (9CI) (CA INDEX NAME)



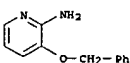
L22 ANSWER 105 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1988:570365 CAPLUS
DOCUMENT NUMBER: 109:170365
TITLE: Synthesis of (aryloxy)alkylamines. 2. Novel imidazo-fused heterocycles with calcium channel

AUTHOR(S): blocking and local anesthetic activity
Sanfilippo, Pauline J.; Urbanski, Maud; Press, Jeffery S.; Dubinsky, Barry; Moore, John B., Jr.
CORPORATE SOURCE: Res. Lab., Ortho Pharm. Corp., Raritan, NJ, 08869, USA
SOURCE: Journal of Medicinal Chemistry (1988), 31(11), 2221-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:170365
GI



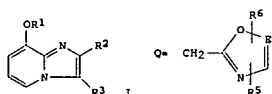
AB A series of imidazo-fused heterocycles (e.g., I, X = N, Y = bond, R = H; X = CMe, Y = CO, R = H) substituted with an (aryloxy)alkylamine side chain were prepared as modifications to butoproline and found to possess calcium channel blocking activity similar in potency to that of bepridil in trachea smooth muscle and similar to that of verapamil in nitrendipine binding affinity in rabbit cardiac muscle. Of the various imidazo-fused heterocycles prepared, the imidazo[1,2-a]pyridines were also found to be potent local anesthetic agents. While most comds. in this series were equipotent to lidocaine in our initial screen, I (X = CMe, Y = bond; R = H, MeO) showed local anesthetic activity approx. 100 times more potent than lidocaine in our preliminary assays. These comds. represent a novel structural class of local anesthetic agents, and I (X = CMe, Y = bond, R = H) is under further investigation.

IT 24016-03-3, 2-Amino-3-(benzyloxy)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with bromo(chloropropoxy)acetophenone)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



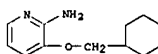
L22 ANSWER 106 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1988:49799 CAPLUS
DOCUMENT NUMBER: 109:92979
TITLE: Preparation and testing of imidazopyridines as gastric acid secretion inhibitors
INVENTOR(S): Yanagisawa, Isao; Ohta, Mitsuaki; Koide, Tokuo; Shikama, Hirotake; Miyata, Keiji
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 266890	A1	19880511	EP 1987-308663	19870930
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 8779287	A1	19880414	AU 1987-79287	19871001
HU 45252	A2	19880628	HU 1987-4469	19871005
HU 197572	B	19890428		
DK 8705224	A	19880408	DK 1987-5224	19871006
CN 1106804	A	19880518	CN 1987-106804	19871006
ZA 8707530	A	19880629	ZA 1987-7530	19871007
JP 63225376	A2	19880920	JP 1987-253282	19871007
AT 390438	B	19900510	AT 1987-2636	19871008
AT 8702636	A	19891015		
PRIORITY APPL. INFO.: JP 1986-239863			A	19861007
OTHER SOURCE(S): CASREACT 109:92979; MARPAT 109:92979				
GI				

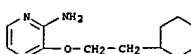


AB The title comds. [I; R1 = alkyl, alkenyl, cycloalkylalkyl; R2 = OH, alkyl, hydroxyalkyl, alkoxyalkyl, (un)substituted Ph, etc.; R3 = H, NO, O, CH2C(X)NH2, CH2YR8, (un)substituted alkyl, etc.; D = NH, S; E = N, CH; R5, R6 = H, Ph, alkoxyalkyl; R8 = cyanoalkyl, alkynyl; X = O, S, NR7; R7 = sulfamoyl, acylamino, alkynyl; Y = O, S] were prepared 2-Amino-3-(2-methylpropoxy)pyridine and MeCOCHClCO2Et were refluxed 6 h in EtOH containing Et3N to give I (R1 = CH2CMe2, R2 = Me, R3 = CO2Et) which was stirred with LiAlH4 to give I (R1, R2 as above, R3 = CH2OH). The latter was stirred 5 h with SOCl2 and the product stirred 3 h with NaCN in DMSO to give I (R1, R2 as above, R3 = CH2CN). Similarly prepared I (R1 = CH2CH:Me2, R2 = Me, R3 = CH2CN), at 3 mg/kg orally, gave 82% inhibition of histamine-induced gastric acid secretion in dogs.

IT 107229-69-6P 115835-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of gastric acid secretion inhibitors)
RN 107229-69-6 CAPLUS
CN 2-Pyridinamine, 3-(cyclohexylmethoxy)- (9CI) (CA INDEX NAME)



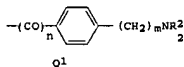
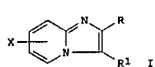
RN 115835-71-7 CAPLUS
CN 2-Pyridinamine, 3-(2-cyclohexylethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 107 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:454775 CAPLUS
 DOCUMENT NUMBER: 109:54775
 TITLE: Preparation and testing of (aminoalkylaryl)imidazo[1,2-
 a]pyridines
 INVENTOR(S): Press, Jeffery B.
 PATENT ASSIGNEE(S): Ortho Pharmaceutical Corp., USA
 SOURCE: Eur. Pat. Appl., 20 pp.
 CODEN: SPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 261912	A2	19880330	EP 1987-308334	19870921
EP 261912	A3	19890920		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 472145	A	19880223	US 1986-909648	19860922
US 479117	A	19881213	US 1987-90111	19870831
PRIORITY APPL. INFO.:			US 1986-909648	A 19860922
			US 1987-90111	A 19870831

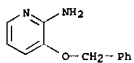
OTHER SOURCE(S): MARPAT 109:54775
 Q1



AB The title compds. (I; R = H, Q1; R1 = H, Me, Q1; R2 = Cl-6 alkyl; X = H, halo, OH, alkoxy, PhCH2O, Cl-6 alkyl; n = 0, 1; m = 2-6) were prepared as local anesthetics, Ca channel blockers, and gastric antisecretory agents. A mixture of p-hydroxyacetophenone, Br(CH2)3Cl, and KOH was refluxed 24 h in MeOH to give 68% p-chloropropoxyacetophenone, which was brominated in Et2O for 16 h to give 88% α-bromo-4-chloropropoxyacetophenone. The latter was refluxed 3 h with 2-aminopyridine in EtOH to give 32% 2-(4-chloropropoxyphenyl)imidazo[1,2-a]pyridine, which was refluxed in dibutylamine to give 93% 2-(4-dibutylaminopropoxyphenyl)imidazo[1,2-a]pyridine (II). II caused local anesthetic activity at 0.1% concn. when injected into the quadriceps femoris of rats.

IT 24016-03-3, 3-Benzoyloxy-2-aminopyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with bromo(chloropropoxy)acetophenone)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

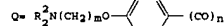
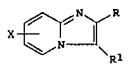


L22 ANSWER 108 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:221703 CAPLUS

DOCUMENT NUMBER: 108:221703
 TITLE: Preparation of 2- or 3-aryl substituted imidazo[1,2-a]pyridines as local anesthetics
 INVENTOR(S): Press, Jeffery B.
 PATENT ASSIGNEE(S): Ortho Pharmaceutical Corp., USA
 SOURCE: U.S., 8 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4727145	A	19880223	US 1986-909648	19860922
US 4791117	A	19881213	US 1987-90111	19870831
AU 8778493	A1	19880324	AU 1987-78493	19870916
AU 597108	B2	19900524		
DK 8704952	A	19880323	DK 1987-4952	19870921
DK 164669	B	19920727		
DK 164669	C	19921221		
EP 261912	A1	19880330	EP 1987-308334	19870921
EP 261912	A3	19890920		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8707085	A	19890426	ZA 1987-7085	19870921
JP 63091391	A2	19880422	JP 1987-236427	19870922
US 4831149	A	19890523	US 1988-181949	19880415
US 4871745	A	19891003	US 1988-258146	19881017
PRIORITY APPL. INFO.:			US 1986-909648	A2 19860922
			US 1987-90111	A 19870831

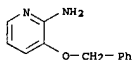
OTHER SOURCE(S): CASREACT 108:221703; MARPAT 108:221703
 Q1



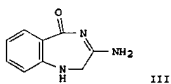
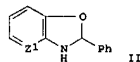
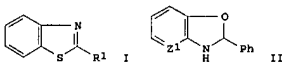
AB The title compds. (I; R = H, substituted alkoxyphenyl or alkoxybenzoyl moiety Q; R1 = H, Me, Q; at least 1 of R, R1 = Q, but not both; R2 = Cl-6 alkyl; X = H, 21 Cl-6 alkyl, Cl-3 alkoxy, PhCH2O, OH, halo; m = 2-6; n = 0, 1 when R = Q; n = 0 when R1 = Q) were prepared as local anesthetics. 4-HOCH2COOMe was alkylated with Cl(CH2)3Br to give 4-Cl(CH2)3OC6H4COMe. This was cyclocondensed with 2-amino-3-methylpyridine to give 2-(4-(3-chloropropoxy)phenyl)-8-methylimidazo[1,2-a]pyridine. The latter was aminolyzed with Bu2NH to give after acidification, 1.3HCl (R = Q, R1 = H, R2 = Bu, X = 8-Me, m = 3, n = 0) (II). Twice injected in the quadriceps femoris muscle of one hind leg with a solution of 0.001% II led to impaired ability to grasp an inverted wire screen with that leg, a measure of local anesthetic activity.

IT 24016-03-3, 2-Amino-3-(benzyloxy)pyridine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with bromoacetophenone)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



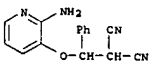
L22 ANSWER 109 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:112274 CAPLUS
 DOCUMENT NUMBER: 108:112274
 TITLE: Synthetic studies using aromatic amino compounds and activated nitriles
 AUTHOR(S): El Shafei, Ahmed K.; El-Sayed, Ahmed M.; Soliman, Ahmed M.
 CORPORATE SOURCE: Dep. Chem., Fac. Sci., Sohag, Egypt
 SOURCE: Gazzetta Chimica Italiana (1987), 117(7), 385-9
 CODEN: GCITA9; ISSN: 0016-5603
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 Q1



AB Benzothiazoles I (R1 = Ph, CH2CN), fused oxazolines II (Z1 = CH, N), and benzodiazepine III were prepared from 2-H2NCH2CH2OH, 2-H2NCH2CH2OH, 2-amino-3-pyridinol, and anthranilamide and PhCH2C(CN)2, CH2(CN)2, PhCHO, and ClCH2CN.

IT 113125-42-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)

RN 113125-42-1 CAPLUS
 CN Propanedinitrile, [(2-amino-3-pyridinyl)oxy]phenylmethyl- (9CI) (CA INDEX NAME)

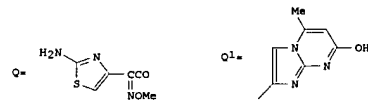
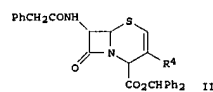
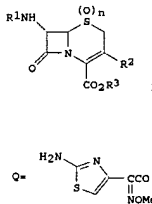


L22 ANSWER 110 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:112067 CAPLUS
 DOCUMENT NUMBER: 108:112067
 TITLE: Preparation of cephalosporin derivatives and their salts

INVENTOR(S): Yoshida, Chosaku; Tanaka, Kiyoshi; Santo, Tetsuo; Komatsu, Miwako; Kishimoto, Sumiko; Watanabe, Yasuo;
 Tai, Masaru; Saikawa, Isamu
 PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62181284	A2	19870808	JP 1986-22146	19860205
JP 08032707	B4	19960329		

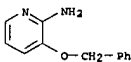
PRIORITY APPL. INFO.: JP 1986-22146 19860205
 Q1



AB The title compds. (I; n = 0; R1 = H, NH2-protecting group; R2 = heterocyclyl; R3 = H, CO2H-protecting group) are prepared 2-Cephem derivative II (R4 = COCH2Br) was cyclocondensed with 2-aminopyridine in DMF to give 76.9% II (R4 = imidazo[1,2-a]pyridin-2-yl), which was treated with m-ClC6H4COOH in CH2Cl2 to give I (R1 = PhCH2CO, R2 = imidazo[1,2-a]pyridin-2-yl, R3 = CHPh2, n = 1), which in DMF was treated with PCl3 to give I (R1 = PhCH2CO, R2 = imidazo[1,2-a]pyridin-2-yl, R3 = CHPh2, n = 0). The min. inhibition concentration of I (R1 = Q, R2 = Q1, R3 = H, n = 0) against Escherichia coli was <0.1 µg/mL.

IT 24016-03-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with (bromoacetyl)cephem deriv.)

RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

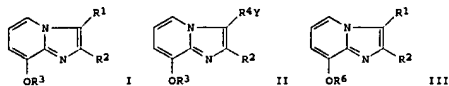


L22 ANSWER 111 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:94555 CAPLUS
 DOCUMENT NUMBER: 108:94555

TITLE: Preparation of imidazopyridine derivatives as gastric antilucer agents
 INVENTOR(S): Ueda, Ikuo; Shiohara, Youichi; Take, Kazuhiko; Itani, Hiromichi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Sur. Pat. Appl., 36 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

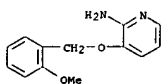
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 228006	A1	19870708	EP 1986-117340	19861212
R: CH, DS, FR, GB, IT, LI				
JP 62187471	A2	19870815	JP 1986-198533	19861215
US 4782055	A	19881101	US 1986-942379	19861216
PRIORITY APPLN. INFO.:			GB 1985-10878	A 19851216
			GB 1986-27736	A 19861120
			US 1986-865331	A2 19860521

OTHER SOURCE(S): MARPAT 108:75255
 GI

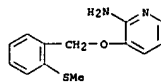


AB The title compds. I [R1 = alkynyl, alkynoxyalkyl; R2 = alkyl; R3 = (un)substituted aralkyl, heterocyclylalkyl], useful as gastric antilucer agents, were prepared by: (a) reaction of the appropriate aminopyridine with R2COCHX1R1 (R1, R2 = as given above; X1 = acid residue); (b) reaction of imidazopyridine deriva. II (R2, R3 = as given above; R4 = alkylene; Y = leaving group) with R5OH (R5 = alkynyl); (c) oxidation of imidazopyridine deriva. III (R1, R2 = as given above; R6 = aralkyl having a lower alkylthio group) to give III (R1, R2 = as given above; R6 = aralkyl having a lower alkanesulfonyl group); (d) reaction of hydroxyimidazopyridine deriva. with R3X2 (R3 = as given above; X2 = acid residue). A solution of 3.5 g 2-amino-3-(2-methoxybenzyloxy)pyridine (preparation given) and 4.86 g 1-tosyloxy-5-hexyn-2-one in 30 mL EtOH was stirred and refluxed for 24 h to give 1.49 g imidazopyridine derivative I (R3 = 2-methoxybenzyl, R1 = 2-propynyl, R2 = Me) (IV). At 32 mg/kg orally, IV completely inhibited stress-induced ulcer in rats.

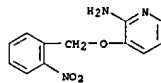
IT 112762-72-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclocondensation of, with hexynone derivative)
 RN 112762-72-8 CAPLUS
 CN 2-Pyridinamine, 3-[(2-methoxyphenyl)methoxy]- (9CI) (CA INDEX NAME)



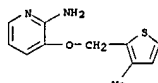
IT 112739-23-8P 112739-24-9P 112739-25-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, in preparation of gastric antilucer agent)
 RN 112739-23-8 CAPLUS
 CN 2-Pyridinamine, 3-[(2-methylthio)phenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 112739-24-9 CAPLUS
 CN 2-Pyridinamine, 3-[(2-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)



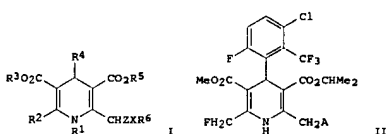
RN 112739-25-0 CAPLUS
 CN 2-Pyridinamine, 3-[(3-methyl-2-thienyl)methoxy]- (9CI) (CA INDEX NAME)



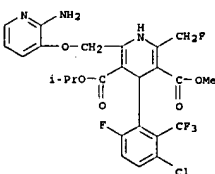
L22 ANSWER 112 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:75226 CAPLUS
 DOCUMENT NUMBER: 108:75226
 TITLE: Preparation of 4-phenyldihydropyridine-3,5-dicarboxylates as calcium channel blockers
 INVENTOR(S): Baxter, Andrew John Gilby; Dixon, John; McInally, Thomas; Tinker, Alan Charles
 PATENT ASSIGNEE(S): Fisons PLC, UK
 SOURCE: Eur. Pat. Appl., 77 pp.
 CODEN: SPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 225175	A2	19870610	EP 1986-309244	19861127
EP 225175	A3	19881228		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 62187453	A2	19870815	JP 1986-280953	19861127
PRIORITY APPLN. INFO.:			GB 1985-29301	A 19851128
			GB 1985-29786	A 19851203
			GB 1985-29787	A 19851203

OTHER SOURCE(S): MARPAT 108:75226
 GI

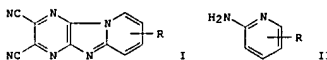


AB The title compds. I [R1 = H, alkyl; R2 = (fluoro)alkyl; R3 = alkyl; R4 = (un)substituted Ph, naphthyl, 8-containing heterocyclyl; R5 = (un)substituted alkyl, thietanyl; R6 = H, CH2CH2NH2, N-containing heterocyclyl, etc.; X = O, NR, SO, bond; Z = H; ZR = bond; n = 0-2] were prepared as calcium channel blockers (no data). Title compound II (A = H) was stirred with pyridinium bromide perbromide in CH2Cl2 containing pyridine to give II (A = Br) which was stirred with NaOMe and pyridine-3-ol in MeCN to give II (A = 3-pyridyloxy).
 IT 112639-96-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as calcium channel blocker)
 RN 112639-96-0 CAPLUS
 CN 3,5-Pyridinedicarboxylic acid, 2-[(2-amino-3-pyridinyl)oxymethyl]-4-[3-chloro-6-fluoro-2-(trifluoromethyl)phenyl]-6-(fluoromethyl)-1,4-dihydro-, 5-methyl 3-(1-methylethyl) ester (9CI) (CA INDEX NAME)

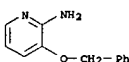


L22 ANSWER 113 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:21837 CAPLUS
 DOCUMENT NUMBER: 108:21837
 TITLE: Synthesis of pyrido[1',2':1,2]imidazo[4,5-b]pyrazines from 2,3-dichloro-5,6-dicyanopyrazine with 2-aminopyridines
 AUTHOR(S): Suzuki, Toshinobu; Nagae, Yasuaki; Mitsuhashi, Keiyo
 CORPORATE SOURCE: Coll. Technol., Seikei Univ., Tokyo, 180, Japan
 SOURCE: Journal of Heterocyclic Chemistry (1986), 23(5), 1419-21

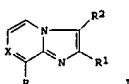
DOCUMENT TYPE: CODEN: JHTCAD; ISSN: 0022-152X
 LANGUAGE: Journal
 OTHER SOURCE(S): English
 CASREACT 108:21837
 GI



AB Novel synthesis of the title compds. I (R = H, 6-, 7-, 8-, 9-Me, 8-Cl, 8-Br, 6-PhCH2O) by the facile cyclization between 2,3-dichloro-5,6-dicyanopyrazine and various 2-aminopyridines II under relatively mild conditions is described. The reactivity depended on the basicity of 2-aminopyridines.
 IT 24016-03-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with dichlorodicyanopyrazine)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



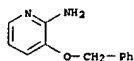
L22 ANSWER 114 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1988:21791 CAPLUS
 DOCUMENT NUMBER: 108:21791
 TITLE: Antilucer agents. 2. Gastric antisecretory, cytoprotective, and metabolic properties of substituted imidazo[1,2-a]pyridines and analogs
 AUTHOR(S): Kaminski, James J.; Hilbert, James M.; Pramanik, B. N.; Solomon, Daniel M.; Conn, David J.; Rizvi, Razia K.; Elliott, Arthur J.; Guzik, Henry; Lovey, Raymond G.; et al.
 CORPORATE SOURCE: Pharm. Res. Div., Schering-Plough Corp., Bloomfield, NJ, 07003, USA
 SOURCE: Journal of Medicinal Chemistry (1987), 30(11), 2031-46
 CODEN: JMCNAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 108:21791
 GI



AB In search of a successor to the imidazol[1,2-*a*]pyridine I (X = CH, R = OCH₂Ph, R₁ = Me, R₂ = CH₂CN) (II) (Sch 28080), a compound that exhibits gastric antisecretory and cytoprotective properties, a series of imidazopyridines, e.g., I (X = CH; R = OCH₂Ph; R₁ = Me, NH₂; R₂ = Me, CH₂CN, NH₂) and of imidazopyrazines, e.g., I (X = N, R = OCH₂Ph, R₁ = Me, R₂ = NH₂) (III) were prepared. In three of these potential successors of II, an amino group functions as a surrogate for the 3-cyanomethyl substituent of the prototype. In addition to an evaluation of the structure-activity relationships of a series of analogs of II, preliminary studies of the pharmacodynamics and metabolism of II were performed with the aid of cyano carbon labeled versions of the drug. II is well-absorbed and extensively metabolized; the major metabolite of II is the thiocyanate anion. A similar study performed on I (X = CH, R = OCH₂Ph, R₁ = Me, R₂ = NH₂) (IV), labeled at the 3-position with carbon-13 or carbon-14, revealed that IV, which has an antisecretory/cytoprotective profile comparable to that of II, is also metabolized to thiocyanate anion, although this must occur via a different mechanism. The potential sites of protonation of the pharmacol. similar IV and the structurally related imidazo[1,2-*a*]pyrazine III is discussed. Predictions based on charge d. and protonation product stabilities are presented. That N1 is the site of protonation in these analogs has been definitively demonstrated by x-ray crystal structure anal., which also unequivocally established the assigned imidazopyridine and imidazo[1,2-*a*]pyrazine ring structures.

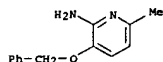
IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with halo ketones, imidazopyridines from)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

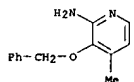


IT 81066-67-3P 91848-95-2P 110223-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with halo ketones, imidazopyridines from)

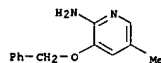
RN 81066-67-3 CAPLUS
CN 2-Pyridinamine, 6-methyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



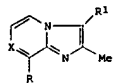
RN 91848-95-2 CAPLUS
CN 2-Pyridinamine, 4-methyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 110223-14-8 CAPLUS
CN 2-Pyridinamine, 5-methyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



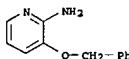
L22 ANSWER 115 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:598179 CAPLUS
DOCUMENT NUMBER: 107:198179
TITLE: Antilucer agents. 3. Structure-activity-toxicity relationships of substituted imidazo[1,2-*a*]pyridines and a related imidazo[1,2-*a*]pyrazine
AUTHOR(S): Kaminaki, James J.; Perkins, D. G.; Frantz, J. D.; Solomon, Daniel M.; Elliott, Arthur J.; Chiu, P. J. S.; Long, James F.
CORPORATE SOURCE: Pharm. Res. Div., Schering-Plough Corp., Bloomfield, NJ, 07003, USA
SOURCE: Journal of Medicinal Chemistry (1987), 30(11), 2047-51
CODEN: JMCMAH; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:198179
OI



AB Interrelationship between structure, antilucer activity, and toxicol. screening data derived from a series of compe. selected from structure-activity studies directed toward identifying a successor to 3-(cyanomethyl-2-methyl-8-phenylmethoxyimidazo[1,2-*a*]pyridine, Sch 28080, I (R = PhCH₂O, R₁ = CH₂CN, X = cyano; II) has identified pyridines I (R = PhCH₂O, R₁ = CH₂CN, X = CMe; R = PhCH₂CH₂O, R₁ = NH₂, X = CH; R = PhCH₂O, R₁ = NH₂, X = N). These analogs exhibit a combination of antisecretory and cytoprotective activity in animal models, while eliminating the adverse effects of the prototype II. One of these I (R = PhCH₂O, R₁ = NH₂, X = N), Sch 32651, has a profile meeting all criteria.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with (bromo)oxobutyrate)

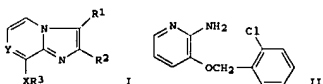
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 116 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:138443 CAPLUS
DOCUMENT NUMBER: 106:138443
TITLE: Imidazopyridines and -pyrazines as antiulcer agents
INVENTOR(S): Ueda, Tkuo; Shiohawa, Youichi; Take, Kazuhiko; Itani, Hiromichi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 72 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 204285	A1	19861210	EP 1986-107418	19860602
EP 204285	B1	19920115		
ZA 8603805	A	19870429	ZA 1986-3805	19860521
US 4725601	A	19880216	US 1986-865331	19860521
FI 8602210	A	19861205	FI 1986-2210	19860526
DK 8602503	A	19861205	DK 1986-2503	19860528
CA 1257264	A1	19890711	CA 1986-510496	19860530
JP 62016403	A2	19870124	JP 1986-128941	19860602
AT 71625	E	19920215	AT 1986-107418	19860602
NO 8602208	A	19861205	NO 1986-2208	19860603
HU 40798	A2	19870227	HU 1986-2332	19860603
CN 86104313	A	19870304	CN 1986-104313	19860603
ES 555653	A1	19871201	ES 1986-555653	19860603
AU 8658345	A1	19861211	AU 1986-58345	19860604
AU 593802	B2	19900222		
US 4782055	A	19881101	US 1986-942379	19861216
			GB 1985-14080	19850604
			GB 1985-30878	19851216
			US 1986-865331	A2 19860521
			EP 1986-107418	A 19860602
			GB 1986-27736	A 19861120

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): CASREACT 106:138443; MARPAT 106:138443
OI

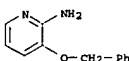


AB The title compe. [I: R₁ = alkenyl, alkynyl, alkadienyl, alkenyloxyalkyl, alkenyloxyalkyl (protected) carboxyalkenyloxyalkyl; R₂ = H, alkyl, aryl; R₃ = (substituted) aralkyl; X = O, NH, Y = CH, N] were prepared as antiulcer agents. Thus, (benzyloxy)pyridinamine II cyclocondensed with ClCH₂CO₂Me to give I (R₁ = H, R₂ = Me, R₃ = 2-ClCH₂CH₂, X = O, Y = CH). This was condensed with HCHO and MeNH₂, followed by methylation and treatment with HCl.tpbond.CCH₂OH, to give I (R₁ = CH₃OCH₂C.tpbond.CH, R₂ = Me, R₃ = 2-ClCH₂CH₂, X = O, Y = CH) (III). In rats 32 mg III/kg orally gave 98.2% inhibition of EtOH-induced ulcers and 100% inhibition of stress-induced ulcers.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)

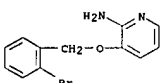
(cyclocondensation of, with chlorohexanone, imidazopyridine derivative by)

RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

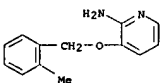


IT 26419-18-1P 107229-58-3P 107229-59-4P
107229-60-7P 107229-61-8P 107229-62-9P
107229-63-0P 107229-64-1P 107229-65-2P
107229-66-3P 107229-67-4P 107229-68-5P
107229-69-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with halo ketones, imidazopyridines by)

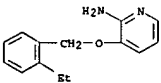
RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



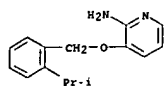
RN 107229-58-3 CAPLUS
CN 2-Pyridinamine, 3-[(2-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



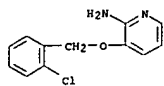
RN 107229-59-4 CAPLUS
CN 2-Pyridinamine, 3-[(2-ethylphenyl)methoxy]- (9CI) (CA INDEX NAME)



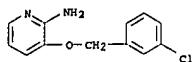
RN 107229-60-7 CAPLUS
CN 2-Pyridinamine, 3-[[2-(1-methylethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



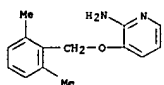
RN 107229-61-8 CAPLUS
CN 2-Pyridinamine, 3-[(2-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



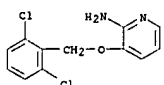
RN 107229-62-9 CAPLUS
CN 2-Pyridinamine, 3-[(3-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



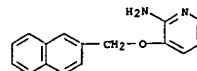
RN 107229-63-0 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-dimethylphenyl)methoxy]- (9CI) (CA INDEX NAME)



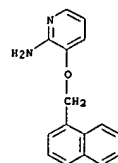
RN 107229-64-1 CAPLUS
CN 2-Pyridinamine, 3-[(2,6-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



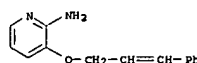
RN 107229-65-2 CAPLUS
CN 2-Pyridinamine, 3-(2-naphthalenylmethoxy)- (9CI) (CA INDEX NAME)



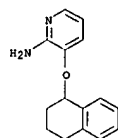
RN 107229-66-3 CAPLUS
CN 2-Pyridinamine, 3-(1-naphthalenylmethoxy)- (9CI) (CA INDEX NAME)



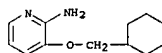
RN 107229-67-4 CAPLUS
CN 2-Pyridinamine, 3-[(3-phenyl-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



RN 107229-68-5 CAPLUS
CN 2-Pyridinamine, 3-[(1,2,3,4-tetrahydro-1-naphthalenyl)oxy]- (9CI) (CA INDEX NAME)



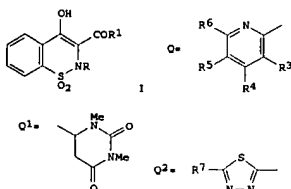
RN 107229-69-6 CAPLUS
CN 2-Pyridinamine, 3-(cyclohexylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 117 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1987:18583 CAPLUS
DOCUMENT NUMBER: 106:18583
TITLE: 1,2-Benzothiazine-3-carboxamide derivatives
INVENTOR(S): Kikazawa, Kazuo; Hiiregi, Mineji; Irino, Osamu; Nakazato, Kikuo; Kanetsuka, Satoyuki; Oba, Seichiro; Makizaka, Kikuo; Murayama, Yu; Riyutau, Masakatsu
PATENT ASSIGNEE(S): Grelan Pharmaceutical Co., Ltd., Japan; Permethem Asia, Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
DOCUMENT TYPE: CODEN: JKXXAF
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: 1 Japanese
PATENT INFORMATION:

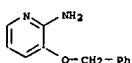
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61161281	A2	19860721	JP 1985-1460	19850110

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): CASREACT 106:18583
GI



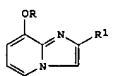
AB The title compds. [I: R = alkyl; R1 = NHR2; R2 = Q (R3, R4, R5, R6 = H, Cl, Me, MeCH2CH2, OCH2Ph), Q1, Q2 (R7 = H, SH), pyrazol-3-yl, benzimidazol-2-yl, 4-methylbenzothiazole-2-yl], useful as antiinflammatory agents, were prepared. Thus, a mixture of I (R = Me, R1 = OMe) and QNH2 (R3 = Me, R4 = R6 = H; R5 = Cl) in xylene was refluxed for 16 1/2 h to give 14.2% I (R = Me, R1 = QNH2, R3 = Me, R4 = R6 = H; R5 = Cl). The title compds. at 4 mg/kg o.p. inhibited by 33.6% carrageenin-induced inflammation in rats.

IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation by, of Me benzothiazinecarboxylate)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

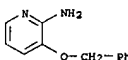


L22 ANSWER 118 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN

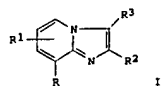
ACCESSION NUMBER: 1986:148791 CAPLUS
DOCUMENT NUMBER: 104:148791
TITLE: Synthesis of new heterocyclic phenols: 8-hydroxyimidazo[1,2-a]pyridine
AUTHOR(S): Rydzkowski, R.; Blondeau, D.; Sliwa, H.
CORPORATE SOURCE: Lab. Chim. Org., Univ. Sci. Tech. Lille, Villeneuve d'Ascq, 59655, Fr.
SOURCE: Tetrahedron Letters (1985), 26(21), 2571-4
DOCUMENT TYPE: CODEN: TELEAY; ISSN: 0040-4039
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:148791
GI



AB New title compound I (R = R1 = H) was prepared by condensing 2-amino-3-hydroxypyridine with ClCH2CHO. Activation by the free phenolic OH allows preferential nitration of the pyridine ring, whereas related ethers undergo electrophilic substitution on the imidazole moiety. I (R = Me, CH2Ph, CH2CH:CH2, CH2C.tplbond.CH; R1 = H, Ph) were also prepared
IT 24016-03-3
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with halomethyl carbonyl compds.. imidazopyridines from)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 119 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1985:400192 CAPLUS
DOCUMENT NUMBER: 103:192
TITLE: Antiulcer agents. 1. Gastric antisecretory and cytoprotective properties of substituted imidazo[1,2-a]pyridines
AUTHOR(S): Kaminski, James J.; Bristol, James A.; Puchalski, Chester; Lovey, Raymond G.; Elliott, Arthur J.; Guzik, Henry; Solomon, Daniel M.; Conn, David J.; Domaleski, Martin S.; et al.
CORPORATE SOURCE: Pharm. Res. Div., Schering-Plough Corp., Bloomfield, NJ, 07003, USA
SOURCE: Journal of Medicinal Chemistry (1985), 28(7), 876-92
CODEN: JMCNAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The title compds. (I; R = H, OH, CHO, PhO, (un)substituted benzyloxy, PhCH2NH, etc.; R1 = H, or PhCH2CH2; R2 = H, Me, Et, CHMe2; R3 = H, Me, CO2H, CO2Et, CN, CH2CN, etc.), prepared in general by condensation of substituted 2-aminopyridines with α -halocarbonyls, were evaluated for gastric antisecretory activity in the pylorus-ligated rat and inhibition of histamine-stimulated gastric secretion in the adult dog and gastric cytoprotective activity in the rat. In the pylorus-ligated rat, I were given at 40 mg/kg i.p., at time of ligation and reduction in acid output was measured after 4 h, and in the dog I was let administered i.v. 0.1-5 mg/kg and reduction in the acid output relative to nondrug-treated control value in the same animal was measured. For gastric cytoprotective activity I was given orally 1-30 mg/kg 30 min before oral administration of absolute EtOH, and the effect against EtOH-induced lesions was determined after

1 h. The results show that I are not histamine (H2) receptor antagonists nor are they prostaglandin analogs, yet they exhibit both gastric antisecretory and cytoprotective properties. The mechanism of gastric antisecretory activity may involve inhibition of H+/K+-ATPase. 3-(Cyanomethyl)-2-methyl-8-(phenylmethoxy)imidazo[1,2-a]pyridine (I); R = PhCH2O, R1 = H, R2 = Me, R3 = CH2CN (SCH 28080) [76081-98-6] was selected for clin. evaluation. Structure-activity relations are discussed.

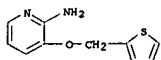
IT 79707-48-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(alkylation of, with halocarbonyls)

RN 79707-48-5 CAPLUS

CN 2-Pyridinamine, 3-(2-thienylmethoxy)- (9CI) (CA INDEX NAME)



IT 79707-17-8 79707-19-0 81066-59-3

81066-60-6 81066-61-7 81066-62-8

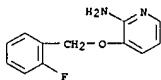
81066-63-9 81066-65-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(alkylation of, with α -halocarbonyls)

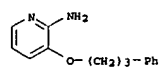
RN 79707-17-8 CAPLUS

CN 2-Pyridinamine, 3-[(2-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)



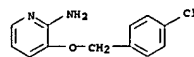
RN 79707-19-0 CAPLUS

CN 2-Pyridinamine, 3-(3-phenylpropoxy)- (9CI) (CA INDEX NAME)



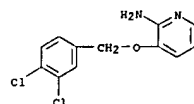
RN 81066-59-3 CAPLUS

CN 2-Pyridinamine, 3-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



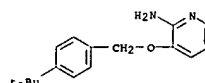
RN 81066-60-6 CAPLUS

CN 2-Pyridinamine, 3-[(3,4-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



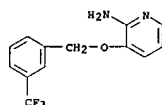
RN 81066-61-7 CAPLUS

CN 2-Pyridinamine, 3-[(4-(1,1-dimethylethyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)



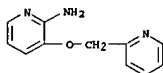
RN 81066-62-8 CAPLUS

CN 2-Pyridinamine, 3-[(3-(trifluoromethyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)



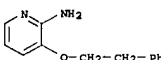
RN 81066-63-9 CAPLUS

CN 2-Pyridinamine, 3-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 81066-65-1 CAPLUS

CN 2-Pyridinamine, 3-(2-phenylethoxy)- (9CI) (CA INDEX NAME)



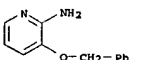
IT 24016-03-3

RL: BIOL (Biological study)

(condensation of, with bromoacetaldehyde di-Et acetal)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



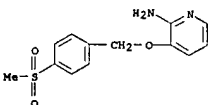
IT 96428-80-7P 96428-82-9P 96428-83-0P

RL: SYN (Synthetic preparation); PREP (Preparation)

(preparation and alkylation with α -halocarbonyls)

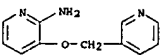
RN 96428-80-7 CAPLUS

CN 2-Pyridinamine, 3-[(4-(methylsulfonyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)



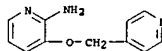
RN 96428-82-9 CAPLUS

CN 2-Pyridinamine, 3-(3-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 96428-83-0 CAPLUS

CN 2-Pyridinamine, 3-(4-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 120 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:184882 CAPLUS

DOCUMENT NUMBER: 102:184882

TITLE: The synthesis of 4-deoxy-2,2'-bipyridine[1',2'-1,2]imidazo[5,4-c]rifamycin EV derivatives

AUTHOR(S): Brufani, Mario; Cellai, Luciano; Marchi, Egidio;

Segre, Annalaura

CORPORATE SOURCE: Gruppo Chim. Biol. Strutt. Chim., Univ. "La Sapienza",

Rome, 00185, Italy

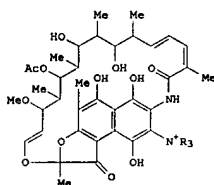
SOURCE: Journal of Antibiotics (1984), 37(12), 1611-22

CODEN: JANTAJ; ISSN: 0031-8820

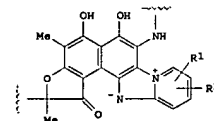
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

AB Two series of new semisynthetic rifamycin EV derivs. I [N-R3 = N-Et3, (un)substituted pyridinium] and II (R1 = H, 3-Me, 4-Me, 5-Me, 3-OC2H5, R2 = H; R1R2 = 3,4-CH:CH:CH) have been prepared. The intermediate rifamycin S were also isolated. Whereas I had poor antibacterial activity in vitro, II were highly active in vitro but poorly absorbed in vivo. They could thus have potential as agents in the therapy of intestinal infections.

IT

24016-03-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with bromorifamycin S)

RN 24016-03-3 CAPLUS

CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

AB Cardiotonic and antiulcer title compds. I [X = N, CR3; R = H, alkyl, halo; R1 = H, alkyl, halo, (un)substituted aminomethyl, piperazinomethyl; R2 = (un)substituted benzoxazoliny, benzimidazoliny, benzothiaziny,

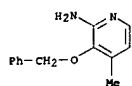
AB Denziesothiazolamines I [R = (un)substituted 2-amino-3-pyridinyl,
2-amino-4-pyridinyl; R = S, SO, SO₂; n = 2-4] were prepared. Thus,
H₂N(CH₂)₃OH was treated with Na and 4-chloro-2-pyridinamine to give
4-(3-aminopropoxy)-2-pyridinamine which was treated with
3-chlorobenzoisothiazole 1,1-dioxide to give I (R = 2-amino-4-pyridinyl, R =
SO₂, n = 3, 11). It had an apparent dissociation constant of 8.0 in the
guinea pig heart atridium H₂-receptor test compared with 6.5 for cimetidine
and at 32 mg/kg intraduodenally in rat inhibited gastric acid secretion
by 57%.

IT 93174-96-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydrazinolysis of)

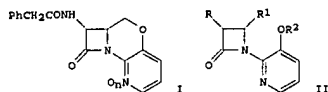
RN 93174-96-0 CAPLUS

A9 Imidazopyridines **1** (R = 2XRA; R¹ = H, alkyl, halo; R² = CH₂CN, CH₂NC, alkyl, hydroxyalkyl, amino, nitroso; R³ = H, alkyl, haloalkyl; R⁴ = H, phenyl, pyridyl, furanyl, Ph, haloalkenyl, alkylphenyl; X = alkylene, ethenylene, propenylene; Ph = O, NH, bond) and their 2,3-dihydro-, 4,5,6,7-tetrahydro-, and 5,6,7,8-tetrahydro- derivatives were prepared in the prevention or treatment of ulcers (no data). were prepared Thus, 2-amino-3-pyridinol was O-benzylated and cyclocondensed with ClCH₂CO₂Me to give **1** (R = 8-PhCH₂O, R¹ = R² = H, R³ = Me). The latter was treated with 2-amino-3-pyridinol and CH₂NCMe to give **1** (R = 8-PhCH₂O, R¹ = R² = CH₂NC, R³ = Me) and treated with NaCl of give **1** (R = 8-PhCH₂O, R¹ = H, R² = CH₂NC, R³ = Me).

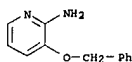
IT 91848-95-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and cyclocondensation of, with chlorooxopentanenitrile)
 RN 91848-95-2 CAPLUS
 CN 2-Pyridinamine, 4-methyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 124 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1984:490631 CAPLUS
 DOCUMENT NUMBER: 101:90631
 TITLE: The synthesis of cis- and trans-7-phenylacetamido-0-2-isocephem
 AUTHOR(S): Hakimelahi, Gholam Hosein
 CORPORATE SOURCE: Dep. Chem., Shiraz Univ., Shiraz, Iran
 SOURCE: Helvetica Chimica Acta (1984), 67(3), 902-5
 CODEN: HCACAV; ISSN: 0018-019X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The title compds. I (n = 0) and N-oxides (I, n = 1) were prepared from 2-amino-3-benzoyloxy-4-methylpyridine via the azetidinones II (R = Br, N3, PhCH2CONH; R1 = CO2Me, CH2OH, CH2O3SMe; R2 = CH2Ph, H).
 IT 24016-03-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with glyoxylate and azidoacetyl chloride)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

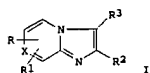


L22 ANSWER 125 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1983:438461 CAPLUS
 DOCUMENT NUMBER: 99:38461
 TITLE: Imidazo[1,2-a]pyridines and pyrazines and pharmaceutical compositions containing them
 INVENTOR(S): Bristol, James Arthur; Fuchalski, Chester; Lovey, Raymond George
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: Eur. Pat. Appl., 77 pp.
 CODEN: EPXNDW
 DOCUMENT TYPE: Patent

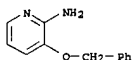
LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 68378	A1	19830105	EP 1982-105411	19820621
EP 68378	B1	19860305		
US 4507294	A	19850326	US 1982-356052	19820308
AT 18402	E	19860315	AT 1982-105411	19820621
DK 8202844	A	19821227	DK 1982-2844	19820624
FI 8202266	A	19821227	FI 1982-2266	19820624
FI 73433	B	19870630		
FI 73433	C	19871009		
NO 8202128	A	19821227	NO 1982-2128	19820624
NO 159724	B	19881024		
NO 159724	C	19890201		
AU 8285178	A1	19830106	AU 1982-85178	19820624
AU 556062	B2	19861023		
ZA 8204516	A	19840229	ZA 1982-4516	19820624
JP 58013584	A2	19830126	JP 1982-109694	19820625
JP 04004318	B4	19920127		
ES 513431	A1	19830801	ES 1982-513431	19820625
HU 28470	O	19831228	HU 1982-2071	19820625
HU 189595	B	19860728		
IL 66141	A1	19870227	IL 1982-66141	19820625
CA 1248957	A1	19890117	CA 1982-406007	19820625
US 4450164	A	19840522	US 1982-450885	19821220
CA 1202630	A1	19860401	CA 1983-423133	19830308
PRIORITY APPL. INFO.:			US 1981-277576	A 19810626
			US 1982-356052	A 19820308
			US 1980-114473	A2 19800123
			ZA 1981-219	A 19810113
			EP 1982-105411	A 19820621

OTHER SOURCE(S): CASREACT 99:38461; MARPAT 99:38461
 GI



AB Antiulcer (no data) imidazopyridines and imidazopyrimidines I (R = H, halo, alkyl; R1 = ZR4, OZR4, MZR4; R2, R3 = H, (un)substituted alkyl, NO, amino; R4 = (un)substituted Ph, furyl, pyridyl, thienyl; X = CH, N, Z = alkylene, alkenylene) were prepared. Thus, 2-amino-3-hydroxy-4-methylpyridine was benzylated and cyclocondensed with ClCH2COMe to give I (R = R3 = H, R1 = 8-PhCH2O, R2 = Me, X = CH). This was treated with NaNO2 to give I (R = H, R1 = 8-PhCH2O, R2 = Me, R3 = NO, X = CH).
 IT 24016-03-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclocondensation of, with chloroacetone)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

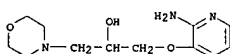


L22 ANSWER 126 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1982:615940 CAPLUS
 DOCUMENT NUMBER: 97:215940
 TITLE: Pyridinol derivatives. I. Synthesis and pharmacological activity of 3-pyridyl glycidyl ether derivatives
 AUTHOR(S): Kurihara, Tozaburo; Takeda, Hideo; Hisamichi, Kanehiko
 CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, 983, Japan
 SOURCE: Annual Report of the Tohoku College of Pharmacy (1981), (28), 63-70
 CODEN: TYKNAQ; ISSN: 0495-7342
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese

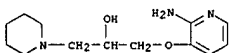
AB Ten new derivs. of 3-(3-dialkylamino-2-hydroxy-1-propoxy)pyridine (I) and their 2-amino derivs. were synthesized, and their pharmacol. activities were examined. 3-Pyridinol (II) or 2-amino-3-pyridinol was heated with anhydrous K2CO3 and epichlorohydrin in dry MeCN for 15 h to give 3-(2,3-epoxypropoxy)pyridine (III) or its 2-amino derivative in 60 or 70% yield, resp. By reactions with morpholine, piperidine, pyrrolidine, Pr2NH, and (MeCH)2NH, III or the 2-amino derivative gave the corresponding I or 2-amino derivs. I (alkyl = Pr) showed local anesthetic activity comparable to that of Lidocaine (IV). The morpholino and piperidino derivs. in the 2-amino series showed stronger activities than IV. Writting response test results in mice were given.

IT 83751-86-4P 83751-87-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and anesthetic activity of)

RN 83751-86-4 CAPLUS
 CN 4-Morpholinethanol, α-[[[(2-amino-3-pyridinyl)oxy]methyl]- (9CI) (CA INDEX NAME)

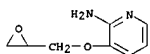


RN 83751-87-5 CAPLUS
 CN 1-Piperidineethanol, α-[[[(2-amino-3-pyridinyl)oxy]methyl]- (9CI) (CA INDEX NAME)

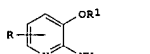


IT 83751-84-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, with amines)
 RN 83751-84-2 CAPLUS

CN 2-Pyridinamine, 3-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

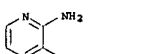


L22 ANSWER 127 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1982:122587 CAPLUS
 DOCUMENT NUMBER: 96:122587
 TITLE: An improved synthesis of 2-amino-3-alkoxy-4-methylpyridines by a phase-transfer catalyzed ether synthesis
 AUTHOR(S): Bristol, James A.; Gross, Irwin; Lovey, Raymond G.
 CORPORATE SOURCE: Dep. Chem. Res., Schering-Plough Corp., Bloomfield, NJ, 07003, USA
 SOURCE: Synthesis (1981), (12), 971-3
 CODEN: SYNTPE; ISSN: 0039-7881
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 96:122587
 GI

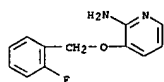


AB 2-Amino-3-pyridinols reacted with aralkyl chlorides and Adogen 464 to yield ethers I (R = H, 6-Cl, 6-Me; R1 = (un)substituted phenylalkyl, 2-pyridylmethyl, 2-thienylmethyl). A mixture of 2-amino-3-pyridinol, PhCH2Cl, Adogen 464, and NaOH in CH2Cl2 was stirred 16 h at 25° to give I (R = H, R1 = PhCH2).

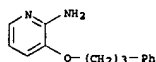
IT 24016-03-3P 79707-17-8P 79707-19-0P
 79707-48-5P 81066-59-3P 81066-60-6P
 81066-61-7P 81066-62-8P 81066-63-9P
 81066-64-0P 81066-65-1P 81066-66-2P
 81066-67-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



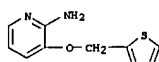
RN 79707-17-8 CAPLUS
 CN 2-Pyridinamine, 3-[[[2-fluorophenyl]methoxy]- (9CI) (CA INDEX NAME)



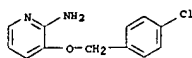
RN 79707-19-0 CAPLUS
CN 2-Pyridinamine, 3-[(3-phenylpropoxy)- (9CI) (CA INDEX NAME)]



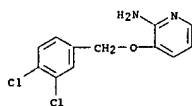
RN 79707-48-5 CAPLUS
CN 2-Pyridinamine, 3-[(2-thienylmethoxy)- (9CI) (CA INDEX NAME)]



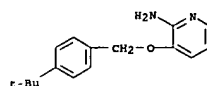
RN 81066-59-3 CAPLUS
CN 2-Pyridinamine, 3-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)]



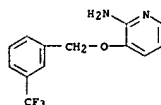
RN 81066-60-6 CAPLUS
CN 2-Pyridinamine, 3-[(3,4-dichlorophenyl)methoxy]- (9CI) (CA INDEX NAME)]



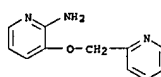
RN 81066-61-7 CAPLUS
CN 2-Pyridinamine, 3-[(4-(1,1-dimethylethyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)]



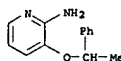
RN 81066-62-8 CAPLUS
CN 2-Pyridinamine, 3-[(3-(trifluoromethyl)phenyl)methoxy]- (9CI) (CA INDEX NAME)]



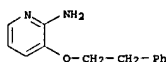
RN 81066-63-9 CAPLUS
CN 2-Pyridinamine, 3-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)]



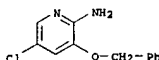
RN 81066-64-0 CAPLUS
CN 2-Pyridinamine, 3-(1-phenylethoxy)- (9CI) (CA INDEX NAME)]



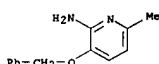
RN 81066-65-1 CAPLUS
CN 2-Pyridinamine, 3-(2-phenylethoxy)- (9CI) (CA INDEX NAME)]



RN 81066-66-2 CAPLUS
CN 2-Pyridinamine, 5-chloro-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)]

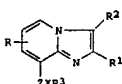


RN 81066-67-3 CAPLUS
CN 2-Pyridinamine, 6-methyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)]



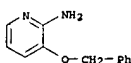
L22 ANSWER 128 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:104236 CAPLUS
DOCUMENT NUMBER: 96:104236
TITLE: Imidazo[1,2-a]pyridines and pharmaceutical compositions containing them
INVENTOR(S): Bristol, James Arthur; Puchalski, Chester
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: Eur. Pat. Appl., 93 pp.
CODEN: EPXNDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 33094	A1	19810805	EP 1981-100247	19810115
EP 33094	B1	19841010		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DK 8100250	A	19810724	DK 1981-250	19810120
FI 8100147	A	19810724	FI 1981-147	19810120
AU 8166337	A1	19810730	AU 1981-66337	19810120
AU 540840	B2	19841206		
JP 56113782	A2	19810907	JP 1981-7121	19810120
CA 1167845	A1	19840522	CA 1981-368901	19810120
IL 61939	A1	19860131	IL 1981-61939	19810120
NO 8100198	A	19810724	NO 1981-198	19810121
NO 157781	B	19880208		
NO 157781	C	19880525		
HU 29032	O	19840130	HU 1981-137	19810122
HU 185857	B	19850428		
PRIORITY APPLN. INFO.: US 1980-114473 A 19800123				
OTHER SOURCE(S): MARPAT 96:104236				
Q1				

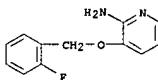


AB Imidazo[1,2-a]pyridines I (R = H, alkyl, halo, hydroxy, CF₃, alkoxy; R₁ = H, alkyl, CF₃, aryl; R₂ = alkylamino, alkylcarboxamide, Z = O, S, SO, SO₂, NH; X = bond, C1-12 alkylene with 5-5 carbons between Z and R₃; R₃ = Ph, pyridyl, thienyl, furanyl, imidazolyl), useful as antiulcer agents (no data), were prepared. Thus, stirring BrCH₂COCOC₂Et with 2-amino-3-benzoyloxy-pyridine in MeOCH₂CH₂OMe 1 h gave I (R = R₂ = H, R₁ = CO₂Et, R₃XZ = PhCH₂SO).

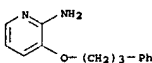
IT 24016-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction of, with chloroacetate)
(preparation and cyclocondensation reactions of, imidazopyridines from)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)]



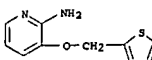
IT 79707-17-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloroacetate)
RN 79707-17-8 CAPLUS
CN 2-Pyridinamine, 3-[(2-fluorophenyl)methoxy]- (9CI) (CA INDEX NAME)]



IT 79707-19-0 79707-48-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloroacetate)
RN 79707-19-0 CAPLUS
CN 2-Pyridinamine, 3-[(3-phenylpropoxy)- (9CI) (CA INDEX NAME)]



RN 79707-48-5 CAPLUS
CN 2-Pyridinamine, 3-(2-thienylmethoxy)- (9CI) (CA INDEX NAME)]



L22 ANSWER 129 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:68719 CAPLUS

DOCUMENT NUMBER: 96:68719
TITLE: Imidazorifamycin derivatives with antibacterial activity
PATENT ASSIGNEE(S): Alfa Farmaceutici S.p.A., Italy
SOURCE: Belg., 40 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 888895	A1	19810916	BE 1981-59169	19810521
NL 8102290	A	19811216	NL 1981-2290	19810511
NL 187022	B	19901203		
NL 187022	C	19910501		
US 4341785	A	19820727	US 1981-262123	19810511
AU 8170655	A1	19811126	AU 1981-70655	19810518
AU 537093	B2	19840607		
AT 8102227	A	19830615	AT 1981-2227	19810519
AT 373599	B	19840210		
FR 2482967	A1	19811127	FR 1981-10058	19810520
FR 2482967	B1	19850329		
DK 102247	A	19811123	DK 1981-2247	19810521
DK 157876	B	19900226		
DK 157876	C	19900730		
FI 8101565	A	19811123	FI 1981-1565	19810521
FI 69467	B	19851031		
FI 69467	C	19860210		
NO 8101731	A	19811123	NO 1981-1731	19810521
NO 155622	B	19870119		
NO 155622	C	19870429		
SE 8103216	A	19811123	SE 1981-3216	19810521
SE 453089	B	19880111		
SE 453089	C	19880421		
ES 502906	A1	19820401	ES 1981-502906	19810521
ZA 8103430	A	19820630	ZA 1981-3430	19810521
CA 1142518	A1	19830308	CA 1981-378015	19810521
GB 2079270	A	19820120	GB 1981-15790	19810522
GB 2079270	B2	19840118		
JP 57011997	A2	19820121	JP 1981-77877	19810522
JP 61023192	B4	19860604		
DE 3120460	A1	19820311	DE 1981-3120460	19810522
DE 3120460	C2	19901213		
CH 648037	A	19850228	CH 1981-3381	19810522
IT 1980-3429	A	19800522		

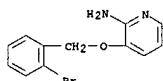
PRIORITY APPL. INFO.:
OTHER SOURCE(S): MARPAT 96:68719
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Treating halorifamycins with 2-aminopyridines gave pyridoimidazorifamycins I and II [R, R1 = H, alkyl, OCH2Ph, aminoalkyl, alkoxyalkyl, CH2OH, hydroxyalkyl, NO2; R2 = (un)substituted benzo; R3 = H, Ac], which exhibited bactericidal activity. Thus, stirring 3-bromorifamycin S and 2-amino-4-methylpyridine in EtOH at room temperature gave I (R = Me, R1 = H, R2 = Ac).
IT 24016-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction of, with bromorifamycin S)
RN 24016-03-3 CAPLUS

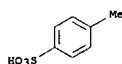
CM 1

CRN 26419-18-1
CMF C12 H11 Br N2 O



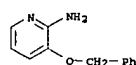
CM 2

CRN 104-15-4
CMF C7 H8 O3 S

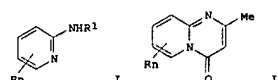


L22 ANSWER 131 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:564114 CAPLUS
DOCUMENT NUMBER: 83:164114
TITLE: Synthesis of pyrido[1,2-a]pyrimido[4,5-d]pyrimidin-5-ones. Cyclization reaction of 4-[(3-hydroxy-2-pyridyl)amino]-2-phenyl-5-pyrimidinecarboxylic acid with acetic anhydride.
AUTHOR(S): Kim, Dong Han; Santilli, Arthur A.
CORPORATE SOURCE: Res. Div., Wyeth Lab. Inc., Radnor, PA, USA
SOURCE: Journal of Heterocyclic Chemistry (1975), 12(3), 477-80
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:164114
GI For diagram(s), see printed CA Issue.
AB Treatment of 4-[(3-hydroxy-2-pyridyl)amino]-2-phenyl-5-pyrimidinecarboxylic acid (II) with Ac2O under refluxing conditions gave 10-hydroxy-2-phenyl-5H-pyrido[1,2-a]pyrimido[4,5-d]pyrimidin-5-one acetate (III). I was prepared from 4-chloro-2-phenyl-5-pyrimidinecarboxylic acid ethyl ester, which with the Na salt of 2-amino-3-hydroxypyridine at room temperature gave 4-(2-amino-3-pyridyloxy)-2-phenyl-5-pyrimidinecarboxylic acid ethyl ester (III). Treatment of III with a hot aqueous NaOH solution and subsequent acidification gave I. Involvement of 4-[(3-hydroxy-2-pyridyl)amino]-2-phenyl-5-pyrimidinecarboxylic acid ethyl ester (IV) (Smiles rearrangement product) as an intermediate in the above alkaline hydrolysis reaction of III to I was demonstrated by the isolation of IV and its subsequent conversion into I under alkaline hydrolysis conditions. Acetylation of IV with Ac2O in pyridine solution gave 4-[(3-hydroxy-2-pyridyl)amino]-2-phenyl-5-pyrimidinecarboxylic acid ethyl ester acetate, which gave II on fusion at 220°. This alternative synthesis of II supported the structural assignment. Fusion of III gave 10-hydroxy-2-phenyl-5H-pyrido[1,2-a]pyrimido[4,5-d]pyrimidin-5-one (I). The latter was also obtained when IV was fused at 210°. Acetylation of V with Ac2O gave II.

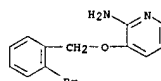
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 130 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:567962 CAPLUS
DOCUMENT NUMBER: 87:167962
TITLE: The 6- and 7-substituted 4H-pyrido[1,2-a]pyrimidin-4-ones. Synthesis via the acid-catalyzed isomerization of 2-(acetoacetamido)pyridines
Yale, Harry L.; Spitzmuller, E. R.
Squibb Inst. Med. Res., Princeton, NJ, USA
Journal of Heterocyclic Chemistry (1977), 14(4), 637-46
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:167962
GI

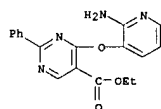


AB The 2-acetoacetamido pyridines I [Rn = 5-Me, 6-Me, 5-Br, 5-Cl, 6-OH, 3-OH, 3-OH-5-Me, 5-Cl-3-Me, 3-[(o-bromophenyl)oxy], R1 = AcCH2CO], prepared by acetoacetylation of I (R1 = H) with diketene, were isomerized-cyclized in the presence of p-MeC6H4SO3H to give the pyrido[1,2-a]pyrimidinones II, via the enamines I (R1 = CMe:CHCO2H).
IT 26419-18-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acetoacetylation of)
RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



IT 64500-43-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64500-43-2 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

IT 54108-34-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, pyridopyrimidopyrimidine from)
RN 54108-34-8 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[(2-amino-3-pyridinyl)oxy]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

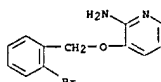


L22 ANSWER 132 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:73047 CAPLUS
DOCUMENT NUMBER: 82:73047
TITLE: Dihydropyridobenzoxa (or thia)zepines, intermediates and derivatives
Yale, Harry L.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

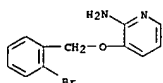
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3649424	A	19741119	US 1972-232283	19720306
CH 530399	A	19721115	CH 1971-530399	19710405
FR 2093432	A5	19720128	FR 1971-12174	19710406
HU 162333	P	19730129	HU 1971-SU610	19710406
GB 1350265	A	19740418	GB 1971-25937	19710419

PRIORITY APPL. INFO.:
GI For diagram(s), see printed CA Issue.
AB The pyridobenzoxazepine I (R = H) was prepared by treating 2-amino-3-pyridinol (II, R1 = R2 = H) with o-BrC6H4Br, formylating II (R1 = o-BrC6H4-CH2, R2 = H), cyclizing to I (R = CHO), and hydrolysis of the formyl group. II (R1 = Ac, R2 = o-BrC6H4CH2, R3 = Ac) also were prepared as intermediates for I.

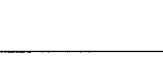
IT 26419-18-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



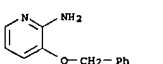
L22 ANSWER 133 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1975:43307 CAPLUS
 DOCUMENT NUMBER: 82:43307
 TITLE: Enamines derived from the reactions of 2-amino-3-(o-bromobenzoyloxy)pyridine with esters of acetoacetic and β -aminocrotonic acids. Enamines as intermediates in the formation of 4H-pyrido[1,2-a]pyrimidin-4-ones
 AUTHOR(S): Yale, Harry L.
 CORPORATE SOURCE: Squibb Inst. Med. Res., Princeton, NJ, USA
 SOURCE: Journal of Heterocyclic Chemistry (1974), 11(5), 739-42
 CODEN: JHCTAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB Stable crystalline enamines, I (R = Et, Me) were isolated from the reactions of 2-amino-3-(o-bromobenzoyloxy)pyridine, with acetoacetic or β -aminocrotonic acid esters. The formation of I occurred in the absence of a solvent or in diethylbenzene at 100-75°, and was always accompanied by the cyclized derivative, II. Mol. models, ir, and PMR spectra establish the structure of I and demonstrate that in solution they exist as 6-membered chelates, with intramolecular H bonding between the NH proton and the ester carbonyl O. Thermal cyclization of I to II occurred in diethylbenzene at 170-75°, by fusion at 175-180° under atmospheric pressure, or by heating at 175-80°/1 mm, thus suggesting that an enamine is the intermediate in cyclizations that lead to the formation of pyrido[1,2-a]pyrimidin-4-ones.
 IT 26419-18-1
 RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with acetoacetate)
 RN 26419-18-1 CAPLUS
 CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 134 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1975:25442 CAPLUS
 DOCUMENT NUMBER: 82:25442
 TITLE: Syntheses and spectrophotometric studies of 5-(2-pyridylazo)-2,4-diaminotoluene and its derivatives as analytical reagents. Spectrophotometric determination of cobalt with 5-[(3,5-dichloro-2-pyridyl)azo]-2,4-diaminotoluene
 AUTHOR(S): Shibata, Shozo; Furukawa, Masamichi; Kamata, Eihiro
 CORPORATE SOURCE: Gov. Ind. Res. Inst., Nagoya, Japan
 SOURCE: Analytica Chimica Acta (1974), 73(1), 107-19
 CODEN: ACACAM; ISSN: 0003-2670
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB The pyridylazo dyes I (R1 = H, Me, Cl, or Br and R2 = H, benzoyloxy Cl, or Br) were prepared and their anal. potential for the determination of Co was studied spectrophotometrically. The molar absorptivities and selectivity of these reagents were greater than those of 4-(2-pyridylazo)-1,3-diaminobenzene. Co(II) and I (R1 = R2 = Cl) at pH 3 formed a complex which was very stable



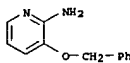
L22 ANSWER 136 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1974:77975 CAPLUS
 DOCUMENT NUMBER: 80:77975
 TITLE: New, high sensitive organic reagents for cobalt
 AUTHOR(S): Shibata, Shozo; Furukawa, Masamichi
 CORPORATE SOURCE: Gov. Ind. Res. Inst., Nagoya, Japan
 SOURCE: Bunseki Kagaku (1973), 22(8), 1077-8
 CODEN: BNSKAK; ISSN: 0525-1931
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese
 AB 5-(2-Pyridylazo)-2,4-diaminotoluene (PADAT), 5-[(3-benzoyloxy-2-pyridyl)azo]-2,4-diaminotoluene (3-benzoyloxy-PADAT), 5-[(5-chloro-2-pyridyl)azo]-2,4-diaminotoluene (5-Cl-PADAT), 5-[(5-bromo-2-pyridyl)azo]-2,4-diaminotoluene (5-Br-PADAT), and 5-[(3,5-dichloro-2-pyridyl)azo]-2,4-diaminotoluene (3,5-diCl-PADAT) were prepared and their use as reagents for the photometric determination of Co was studied. Co(II) reacts with PADAT and its
 IT 24016-03-3
 RL: ANST (Analytical study) (diazotization, and coupling of, with diaminotoluene)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L22 ANSWER 137 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1973:159547 CAPLUS
 DOCUMENT NUMBER: 78:159547
 TITLE: 9-Hydroxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one and its derivatives
 AUTHOR(S): Yale, Harry L.; Sheehan, John T.
 CORPORATE SOURCE: Squibb Inst. Med. Res., Princeton, NJ, USA
 SOURCE: Journal of Heterocyclic Chemistry (1973), 10(2), 143-7
 CODEN: JHCTAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 78:159547
 AB 2-Amino-3-(o-bromobenzoyloxy)pyridine (I) and AcCH2CO2Et gave 9-(o-bromobenzoyloxy)-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (II) in 2% yield. When I and Me β -aminocrotonate (III) were reacted, benzyl ether cleavage occurred and the products were 9-hydroxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (IV) and its ammonium salt. These observations led to an alternative synthesis in which 2-amino-3-pyridinol (V) and either III or Me acetoacetate (VI) in diethylbenzene at 185° gave IV in 86 and 87% yields, resp. and the anion of IV and

even in the presence of strong mineral acids. The complex has 2 absorption maximum at 548 and 590 nm in 2.4M HCl. The color is very stable and the system conforms to Beer's law; the optimum range for measurement in a 1-cm cell is 0.01-0.4 ppm Co. In practice, this color reaction is specific. The molar absorptivity is 1.38×10^5 at 590 nm. The sensitivity is 0.00042 μ g Co/cm² at 590 nm. The method was used to determine Co in steel and waspalyo.

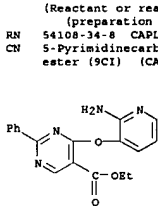
IT 24016-03-3
 RL: RCT (Reactant); RACT (Reactant or reagent) (diaz coupling of, with diaminotoluene)
 RN 24016-03-3 CAPLUS
 CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



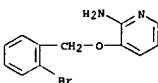
L22 ANSWER 135 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1974:552268 CAPLUS
 DOCUMENT NUMBER: 81:552268
 TITLE: 10-Hydroxy-2-phenyl-5H-pyrido[1,2-a]pyrimidin-5-one
 INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.
 PATENT ASSIGNEE(S): American Home Products Corp.
 SOURCE: U.S., 4 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3836533	A	19740917	US 1972-102381	19721030
PRIORITY APPL. INFO.			US 1972-102381	A 19721030

GI For diagram(s), see printed CA Issue.
 AB The central depressant title compound (I) and its 10-acetate were prepared by thermal cyclization of 4-(2-amino-3-pyridyloxy)- or 4-[(3-hydroxy-2-pyridyl)amino]-2-phenyl-5-pyrimidinecarboxylic acid or their Et esters followed optionally by acetylation with Ac2O. I at 400 mg/kg (mice, orally) exhibited decreased motor activity and respiration.
 IT 54108-34-8
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and cyclization of)
 RN 54108-34-8 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 4-[(2-amino-3-pyridinyl)oxy]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



o-bromobenzyl bromide gave II in 64% yield. Even in diethylbenzene at 185°, I and VI gave only trace amts. of II. Thus, o-bromobenzoylation of the 3-hydroxyl group in V markedly decreased the reactivity of the amino group in V toward reactions with acetoacetic esters.
 IT 26419-18-1
 RL: RCT (Reactant); RACT (Reactant or reagent) (reaction with acetoacetate and with aminocrotonate)
 RN 26419-18-1 CAPLUS
 CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 138 OF 144 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1972:551947 CAPLUS
 DOCUMENT NUMBER: 77:551947
 TITLE: Acylated 2-amino-3-hydroxypyridine
 INVENTOR(S): Philippe, Jean
 PATENT ASSIGNEE(S): Ferlux
 SOURCE: Ger. Offen., 45 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

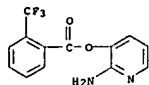
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2205194	A	19720824	DE 1972-2205194	19720204
FR 2124163	A1	19720922	FR 1971-4418	19710210
FR 2124163	A5	19720922		
BE 779145	A1	19720809	BE 1972-113779	19720209
PRIORITY APPL. INFO.			FR 1971-4418	A 19710210

GI For diagram(s), see printed CA Issue.
 AB About 60 title compds. [I, R = H, Ac, or XC6H4-nR4Rn5 (with X = CO, COCH2O, CO-CH2S, CONHSO2, or SO2; R4 = H or all; R5 = H, F, Cl, Me, o-Ome, p-NO2, 2,3- or 2,6-Me2, or 2,3- or 2,4-Cl2); R1 = H or Ac; or R1 = CHC6H4OH-o; R2 = H, Ac, nicotinoyl, 2-chromonecarbonyl, ZC6H4-nR6R7m (with 2 = CO, COCH2O, COCH2O, COCH2S, CONH, COCH2CH, or SO2; R6 = R4; R7 = R5 or o-OEt, o-CP3, p-NHAc, or 3,4,5-(OMe)3], CONMe2, or CONHR8 (with R8 = Cl-4 alkyl) and II (R3 = H or SO2-C6H4Cl-p; Q = - or CH2)] or their salts with HCl, used as cardiovascular and hypertensive drugs or as analgesics and antiinflammatory drugs or useful as central nervous system stimulants and antiepileptics, were prepared. Reaction of I (R = R1 = R2 = H) with R2Cl gave I (R = R1 = H, R2 as above), with (EtOCCl)2O gave II (R3 = H) (which optionally reacted with R2Cl), with ROEt gave I (R as above, R1 = R2 = H) (III), with o-OHC-C6H4OH gave I (R1 = CHC6H4OH-o). Reaction of I (R = COCH2OPh, R1 = R2 = H) with Br, Na2CO3, and ClCONMe2 gave I (R = COCH2OPh, R1 = H, R2 = CONMe2, and with R8NCO gave I (R2 = CONHR8). I.HCl (R = R1 = H, R2 = COCH2OC6H4OMe-o) (IV) (90 mg/kg rat, orally) decreased inflammation by 30%, and 100 mg/kg mice (orally) protected analgetically 40% mice.
 IT 38016-20-5P 38016-21-6P 38016-22-7P
 38016-23-8P 38016-30-7P 38016-31-8P
 38016-46-5P 38052-50-5P 38052-52-7P
 38052-54-9P 38020-71-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

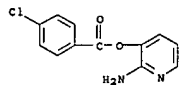
RN 38016-20-5 CAPLUS

CN Benzoic acid, 2-(trifluoromethyl)-, 2-amino-3-pyridinyl ester (9CI) (CA INDEX NAME)



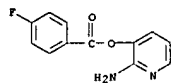
RN 38016-21-6 CAPLUS

CN Benzoic acid, 4-chloro-, 2-amino-3-pyridinyl ester (9CI) (CA INDEX NAME)



RN 38016-22-7 CAPLUS

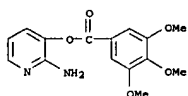
CN Benzoic acid, 4-fluoro-, 2-amino-3-pyridinyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

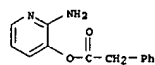
RN 38016-23-8 CAPLUS

CN Benzoic acid, 3,4,5-trimethoxy-, 2-amino-3-pyridinyl ester (9CI) (CA INDEX NAME)



RN 38016-30-7 CAPLUS

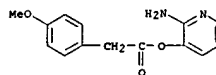
CN Benzeneacetic acid, 2-amino-3-pyridinyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 38016-31-8 CAPLUS

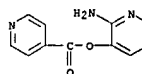
CN Benzeneacetic acid, 4-methoxy-, 2-amino-3-pyridinyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 38016-46-5 CAPLUS

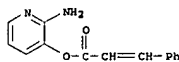
CN 4-Pyridinecarboxylic acid, 2-amino-3-pyridinyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

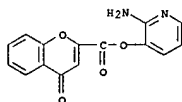
RN 38052-50-5 CAPLUS

CN 2-Propenoic acid, 3-phenyl-, 2-amino-3-pyridinyl ester (9CI) (CA INDEX NAME)



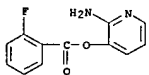
RN 38052-52-7 CAPLUS

CN 4H-1-Benzopyran-2-carboxylic acid, 4-oxo-, 2-amino-3-pyridinyl ester (9CI) (CA INDEX NAME)



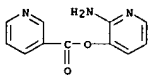
RN 38052-54-9 CAPLUS

CN Benzoic acid, 2-fluoro-, 2-amino-3-pyridinyl ester (9CI) (CA INDEX NAME)



RN 38420-71-2 CAPLUS

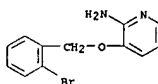
CN 3-Pyridinecarboxylic acid, 2-amino-3-pyridinyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L22 ANSWER 139 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1972:513777 CAPLUS
DOCUMENT NUMBER: 77:113777
TITLE: Formulation of amines with phenyl formate
AUTHOR(S): Yale, Harry L.
CORPORATE SOURCE: Squibb Inst. Med. Res., New Brunswick, NJ, USA
SOURCE: Journal of Organic Chemistry (1971), 36(21), 3238-40
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:113777
AB N-(3-Hydroxy-2-pyridyl)formamide (I) is prepared by the use of HCOPh. Thus, 2-amino-3-pyridinol (II) is treated with HCO2Ph at 0° to give I. Similarly prepared is the 3-benzoyloxy analog (III). N-(3-Hydroxy-2-pyridyl)acetamide (IV) is obtained when II is treated with PhOAc. II is heated with HCO2H to give II HCO2H salt.
IT 31354-44-6P
RL: SPN (Synthetic preparation); PRSP (Preparation)
(preparation of)
RN 31354-44-6 CAPLUS
CN Formic acid, compd. with 3-[(2-bromophenyl)methoxy]-2-pyridinamine (1:1) (9CI) (CA INDEX NAME)
CM 1

CRN 26419-18-1
CMF C12 H11 Br N2 O



CM 2

CRN 64-18-6
CMF C H2 O2

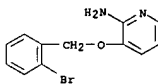
O=CH-OH

IT 26419-18-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phenyl formate)

RN 26419-18-1 CAPLUS

CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



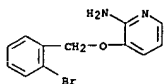
L22 ANSWER 140 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1972:25322 CAPLUS
DOCUMENT NUMBER: 76:25322
TITLE: Benzoyloxy- or benzylthiopyridines
INVENTOR(S): Yale, Harry L.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: Ger. Offen., 28 pp.
CODEN: GWKXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2116531	A	19711028	DE 1971-2116531	19710405
CH 530399	A	19721115	CH 1971-530399	19710405
FR 2093432	A5	19720128	FR 1971-12174	19710406
HU 162333	P	19730129	HU 1971-60610	19710406
GB 1350265	A	19740418	GB 1971-25937	19710419
PRIORITY APPL. INFO.:			US 1970-26147	A 19700406

AB 2-Bromobenzyl bromide (I) is treated with 2-amino-3-hydroxypyridine (II) or 2-amino-3-mercaptopyridine (or derivs.) to prepare 2-amino-3-(2-bromobenzoyloxy)pyridine (III) or 2-amino-3-(2-bromobenzylthio)pyridine (or derivs.). These are used to prepare 6,11-dihydropyrido[3,2-b][4,1]benzoxazepine (IV), 6,11-dihydropyrido[3,2-b][4,1]benzothiazepine,

and deriva. Thus, II in EtOH is treated in turn with NaOMe and I to prepare III which is treated (in AcOEt) with dicyclohexylcarbodiimide and HCO₂H to yield N-[3-(2-bromobenzoyloxy)-2-pyridyl]formamide. This is heated with K₂CO₃, Cu bronze, and diethylbenzene to prepare 6,11-dihydropyrido[3,2-b][4,1]benzoxazepine-11-carboxaldehyde which is heated with NaOH and EtOH to give IV.

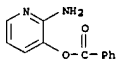
IT 26419-18-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 141 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1971:518282 CAPLUS
DOCUMENT NUMBER: 75:118282
TITLE: Heterocyclic studies. 34. Tolylsulfonyl derivatives of 2,3-dihydro-5-methyl-6-phenyl-1,2-diazepin-4-one. Rearrangement to a 1,4-dihydropyridazine
AUTHOR(S): Moore, James A.; Volker, Eugene J.; Kopey, Charles M.
CORPORATE SOURCE: Dep. Chem., Univ. Delaware, Newark, DE, USA
SOURCE: Journal of Organic Chemistry (1971), 36(18), 2676-80
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 75:118282
GI For diagram(s), see printed CA Issue.
AB 2,3-Dihydro-5-methyl-6-phenyl-2-tosyl-4H-1,2-diazepin-4-one (I) undergoes rearrangement in Et₃N to 3-hydroxy-4-methyl-5-phenyl-2-(tosylamido)pyridine. With Na alkoxides, I rearranges with loss of ArSO₂H to give Et and Me esters of 4-carboxy-4-methyl-5-phenyl-1,4-dihydropyridazine. 5-Methyl-4-phenyl-2-tosyl-1,2-diazabicyclo[3.2.0]hept-3-en-6-one (II) undergoes ring opening in MeOH and rearrangement to 3-hydroxy-4-methyl-5-phenyl-1-(tosylamido)pyridinium betaine in strong acid. In base, II gives 3-hydroxy-4-methyl-5-phenyl-6-(tosylamido)pyridine.

IT 30428-33-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30428-33-2 CAPLUS
CN 3-Pyridinol, 2-amino-, benzoate (ester) (8CI) (CA INDEX NAME)



L22 ANSWER 142 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1971:100128 CAPLUS
DOCUMENT NUMBER: 74:100128
TITLE: Formamido(benzoyloxy)- and -(benzylthio)pyridines
INVENTOR(S): Yale, Harry L.; Plusecc, Jelka
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.

SOURCE: Ger. Offen., 26 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2029497	A	19710128	DE 1970-2029497	19700615
US 3644378	A	19720222	US 1969-836654	19690625
BR 6915340	A0	19730607	BR 1969-215340	19691219
GB 1319076	A	19730531	GB 1970-27913	19700609
GB 1319077	A	19730531	GB 1972-58099	19700609
FR 2051262	A5	19710402	FR 1970-23416	19700624
CH 532576	A	19730228	CH 1970-9669	19700625
CH 545290	A	19740131	CH 1972-1141	19700625
CH 545786	A	19740215	CH 1972-1143	19700625
CH 549017	A	19740515	CH 1972-1142	19700625
US 3714172	A	19730130	US 1971-154666	19710618
			US 1969-836654	A 19690625

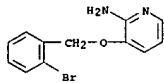
PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

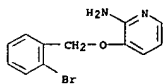
AB The title comds. (I, R = CHO, X = O, S), were prepared and used for the preparation of the pyridobenzoxazepines II (R = CHO, H) or pyridobenzothiazepines III, resp. Thus, refluxing 3-hydroxy-2-nitropyridine with KOH and o-BrC₆H₄-CH₂Br in EtOH gave 60% 2-nitro-3-(o-bromobenzoyloxy)pyridine, which on reduction and isomerization of the mixture of the 2-amino derivative with the 2-imino compound

(method A) gave I (R = R₁ = R₂ = H, X = O, RNH in 2-position) (IV). Reaction of IV with HCO₂H gave I (R = CHO, R₁ = R₂ = H, X = O, RNH in 2-position). Similarly prepared were I (R, R₁, R₂, X, and position of RNH given): CHO, H, H, S, 4; CHO, Cl, H, O, 2. Reaction of 2-amino-3-pyridinol with Ac₂O gave 100% acetate of the N-acetyl derivative, which was converted to the N,N-diacetyl derivative (V). Reaction of V with MeONa in EtOH and o-BrC₆H₄-CH₂Br gave I (R = Ac, R₁ = R₂ = H, X = O, RNH in 2-position), which was refluxed with NaOH (Method B) to give IV. Similarly prepared by method A or B were I (R = H) (R₁, R₂, X, and position of RNH given): CF₃, H, O, 2; H, Cl, S, 2; Cl, Me, S, 2; Me₂NSO₂, H, O, 2.

IT 26419-18-1P 31294-58-3P 31321-78-5P
31321-88-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)

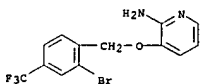


RN 31294-58-3 CAPLUS
CN Pyridine, 2-amino-3-[(o-bromobenzyl)oxy]-, hydrochloride (8CI) (CA INDEX NAME)

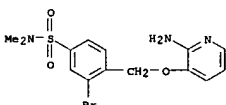


●x HCl

RN 31321-78-5 CAPLUS
CN Pyridine, 2-amino-3-[(2-bromo-4-(trifluoromethyl)benzyl)oxy]- (8CI) (CA INDEX NAME)

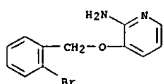


RN 31321-88-7 CAPLUS
CN p-Toluenesulfonamide, α-[(2-amino-3-pyridyl)oxy]-3-bromo-N,N-dimethyl- (8CI) (CA INDEX NAME)



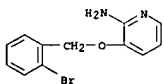
L22 ANSWER 143 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1971:22808 CAPLUS
DOCUMENT NUMBER: 74:22808
TITLE: Preparation of 6,11-dihydropyrido[2,3-b][4,1]benzoxazepine
AUTHOR(S): Yale, Harry L.; Plusecc, Jelka
CORPORATE SOURCE: Squibb Inst. Med. Res., New Brunswick, NJ, USA
SOURCE: Journal of Organic Chemistry (1970), 35(12), 4254-6
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 74:22808
GI For diagram(s), see printed CA Issue.
AB The title compound (I) is prepared from 2-nitro-3-(o-bromobenzoyloxy)pyridine (II). II is reduced to the 2-amino derivative (III), which is converted into IV. IV is heated with K₂CO₃ in diethylbenzene to give 1 N-formyl derivative (V) which is converted into I.
IT 26372-55-4P 26419-18-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26372-55-4 CAPLUS
CN Pyridine, 2-amino-3-[(o-bromobenzyl)oxy]-, monohydrochloride (8CI) (CA INDEX NAME)

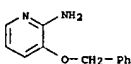


● HCl

RN 26419-18-1 CAPLUS
CN 2-Pyridinamine, 3-[(2-bromophenyl)methoxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 144 OF 144 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1969:491232 CAPLUS
DOCUMENT NUMBER: 71:91232
TITLE: O-alkylation of 3-pyridinols
AUTHOR(S): Nedenekov, Poul; Clauson-Kaas, Niels; Lei, Joergen; Heide, Henning; Olsen, Gert; Jansen, Gert
CORPORATE SOURCE: Den.
SOURCE: Acta Chemica Scandinavica (1947-1973) (1969), 23(5), 1791-6
CODEN: ACSA44; ISSN: 0001-5393
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A general scheme for the preparation of 3-alkoxy-pyridines (I) by alkylation of 3-pyridinols in Me₂SO is given and is used to prepare 35 new I. Alkylation of disodium salts of 3-hydroxy-2-pyridones under the same conditions gave 1-alkyl-3-alkoxy-2-pyridones.
IT 24016-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24016-03-3 CAPLUS
CN 2-Pyridinamine, 3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



---Logging off of STN---

1
=>

Executing the logoff script...

=> LOG Y

=>

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

751.02

1317.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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